=> file caplus
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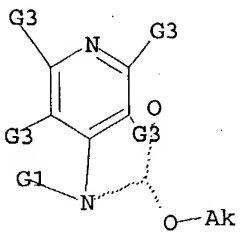
FILE COVERS 1907 - 28 Sep 2004 VOL 141 ISS 14
FILE LAST UPDATED: 27 Sep 2004 (20040927/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que
L5 STR



G1 H,Ak

G2 Cb,Ak

G3 H,Cl,Br,F,I,NO2,Ak

Structure attributes must be viewed using STN Express query preparation.
L7 450 SEA FILE=REGISTRY SSS FUL L5
L8 180 SEA FILE=CAPLUS L7

=> d l8 1-180 ibib abs hitstr

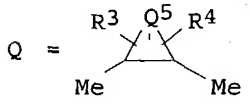
L8 ANSWER 1 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:612093 CAPLUS
DOCUMENT NUMBER: 141:156930
TITLE: Preparation of diamides and their use as factor Xa inhibitors and blood coagulation inhibitors for oral treatment of thrombotic diseases
INVENTOR(S): Kanno, Hideyuki; Yoshino, Toshiharu; Nagata, Tsutomu; Mochizuki, Akiyoshi
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 227 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

10/730,495

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004210716	A2	20040729	JP 2002-382164	20021227
PRIORITY APPLN. INFO.:			JP 2002-382164	20021227

GI

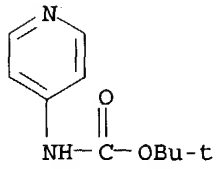


AB Q1Q2X1Q3X2Q4 [Q1 = (un)substituted (un)saturated 5- to 6-membered cyclic hydrocarbyl, (un)substituted (un)saturated 5- to 7-membered heterocyclyl, etc.; Q2 = bond, linear or branched C1-6 alkylene, linear or branched C2-6 alkenylene, (un)substituted (un)saturated 5- to 6-membered cyclic hydrocarbylene, etc.; Q3 = Q, CR3aR3bCR4aR4b; Q5 = (heteroatom-containing) alkylene, C2-8 alkenylene; R3, R4, R3a, R3b, R4a, R4b = H, alkyl, alkenyl, halo, cyano, NH2, (un)substituted 3- to 6-membered heterocyclylcarbonyl, aryl, etc.; Q4 = (un)substituted aryl(alkenyl), (un)substituted heteroaryl(alkenyl), etc.; X1 = T0NR1; X2 = T1NR2; T0 = (thio)carbonyl; T1 = CO, SO2, COCONR', etc.; R1, R2, R' = H, OH, alkyl, alkoxy], their salts, solvates, or N-oxides, useful or prophylactic and therapeutic treatment of cerebral infarction, angina pectoris, etc., are prepared Thus, Boc-β-alanine was amidated with 2-amino-5-chloropyridine, deprotected, condensed with 2-(tert-butylaminosulfonyl)-1,1'-biphenyl-4'-carboxylic acid, and deprotected to give 2-H2NSO2C6H4-4-C6H4CONH(CH2)2CONHZ (Z = 5-chloro-2-pyridinyl), which inhibited human FXa with IC50 of 31 nM.

IT **98400-69-2P**, 4-[(tert-Butoxycarbonyl)amino]pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diamides as factor Xa inhibitors for oral treatment of thrombotic diseases)

RN 98400-69-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:589417 CAPLUS

DOCUMENT NUMBER: 141:140320

TITLE: A preparation of insecticidal piperidine and pyridine derivatives

INVENTOR(S): Ding, Ping; Henrie, Robert H., II; Cohen, Daniel H.; Lyga, John W.; Rosen, David S.; Theodoridis, George; Zhang, Qun; Yeager, Walter H.; Donovan, Stephen F.; Zhang, Steven Shunxiang; Shulman, Inna; Yu, Seong Jae; Wang, Guozhi; Zhang, Y. Larry; Gopalsamy, Ariamala; Warkentin, Dennis L.; Rensner, Paul E.; Silverman, Ian

R.; Cullen, Thomas G.
PATENT ASSIGNEE(S): FMC Corporation, USA
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004060371	A1	20040722	WO 2003-US38878	20031208

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-434718P P 20021218
US 2003-495059P P 20030814

GI

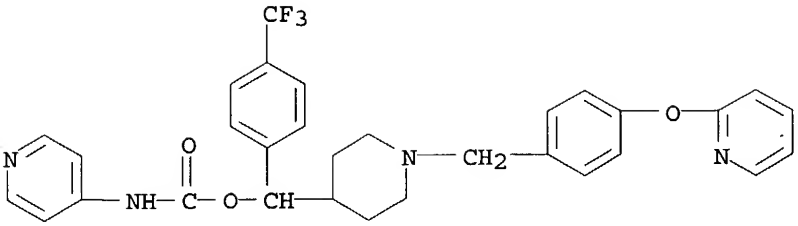
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of insecticidal piperidine and pyridine derivs. of formula I [wherein: A is C or CH; B is substituted phenyl; C is 00-1; D is (CH2)0-3; E is a bridging group selected from (CR9R10)-(CR11R12)0-1, (CR9R10)-(CR11R12)0-10, C3H6, C(O), or C(S)NH, etc.; R1 is H, alkyl, alkoxyalkyl, or aryl; R2, R3, R4, R5, and R6 are independently selected from H, halogen, (halo/hydroxy)alkyl, alkylthio, CN, or NO2, etc.; R7 is (halo/hydroxy/alkoxy/dialkylamino)alkyl, sulfonatoalkyl, arylalkyl, or arylcarbonyl, etc.; R8 is H, (cyclo)alkyl, alkoxy, amino, morpholinyl, or indolyl, etc.; R9, R10, R11, and R12 are independently selected from H, alkyl, aryl, etc.]. Prepared compds. were evaluated for activity against tobacco budworm in a surface-treated diet test. For instance, piperidine derivative II (compound 101, insecticidal activity: 100% mortality, 100% growth inhibition) was prepared via elimination reaction of hydroxymethylpiperidine derivative III, N-benzylation of the obtained methylenepiperidine derivative IV by 4-nitrophenylmethyl bromide, subsequent reduction of the nitro-group, N-carboxylation of the obtained amine V, and N-oxidation (example 1).

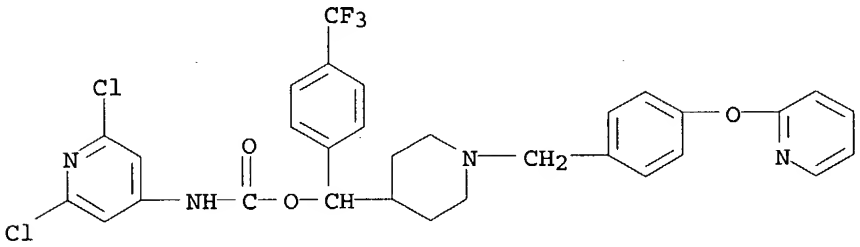
IT **726130-06-9P 726130-07-0P**
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of insecticidal piperidine and pyridine derivs.)

RN 726130-06-9 CAPLUS

CN Carbamic acid, 4-pyridinyl-, [1-[[4-(2-pyridinyloxy)phenyl]methyl]-4-piperidinyl][4-(trifluoromethyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



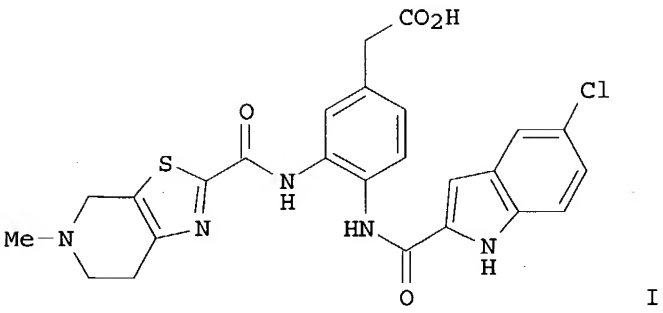
RN 726130-07-0 CAPLUS
CN Carbamic acid, (2,6-dichloro-4-pyridinyl)-, [1-[[4-(2-pyridinyloxy)phenyl]methyl]-4-piperidinyl][4-(trifluoromethyl)phenyl]methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 3 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:584667 CAPLUS
DOCUMENT NUMBER: 141:140425
TITLE: Preparation of 1,2-phenylenediamine amides as activated blood coagulation factor X inhibitors
INVENTOR(S): Takemura, Makoto; Ota, Toshiharu; Uoto, Koichi; Kawakami, Katsuhiro; Yoshino, Toshiharu; Yokomizo, Yoshihiro; Yoshikawa, Kenji
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 308 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004203791	A2	20040722	JP 2002-375655	20021225
PRIORITY APPLN. INFO.:			JP 2002-375655	20021225

GI



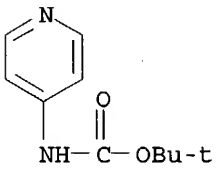
I

AB The title thiazolopyridinecarboxylic acid 1,2-phenylenediamine amides with general formula of Q1-Q2-A0-Q3-A00-Q4 [wherein Q1 = (un)substituted cyclohydrocarbyl, heterocyclyl, etc.; Q2 = a single bond, alkylene, alkenylene, etc.; Q3 = (un)substituted phenylene or any other (hetero)arylene; Q4 = (un)substituted aryl, arylalkenyl, etc.; A0 = (un)substituted CONH or CSNH; A00 = OCH2, (un)substituted CONH, SO2NH, etc.] or salts, solvates, or N-oxides thereof are prepared as activated blood coagulation factor X inhibitors. For example, the compound I was prepared in a multi-step synthesis. I inhibited human FXa with IC50 of 1.9 nM. The compds. are useful for the treatment of blood coagulation, thrombosis, embolism, etc. (no data).

IT **98400-69-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1,2-phenylenediamine amides as activated blood coagulation factor X inhibitors)

RN 98400-69-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



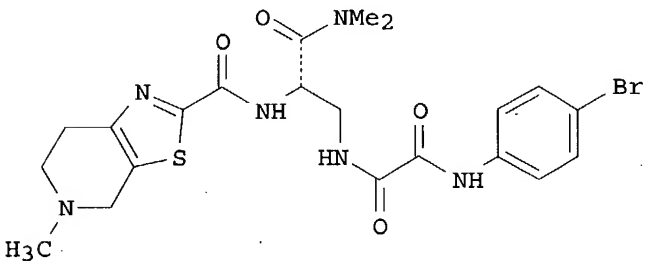
L8 ANSWER 4 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:565224 CAPLUS
DOCUMENT NUMBER: 141:123611
TITLE: Preparation of heterocycles containing ethylenediamine moiety as activated blood coagulation factor X inhibitors
INVENTOR(S): Nakamoto, Yumi; Yoshino, Toshiharu; Naito, Hiroyuki; Nagata, Tsutomu; Yoshikawa, Kenji; Suzuki, Makoto
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 503 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2004058728 A1 20040715 WO 2003-JP16556 20031224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: JP 2002-373025 A 20021224
OTHER SOURCE(S): MARPAT 141:123611
GI

Q^1-Q^2-T^0-N(R^1)-Q^3-N(R^2)-T^1-Q^4 I

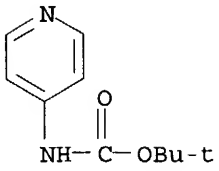


II

AB Tile compds. I [R1, R2 = H, OH, alkyl, etc.; Q1 = (un)substituted (un)saturated carbocycle, (un)substituted (un)saturated heterocycle, (un)substituted (un)saturated bi or tricarbocyclic, etc.; Q2 = single bond, alkylene, alkenylene, etc.; Q3 = C(R3a)(R4a){C(R3b)(R4b)}m1{C(R3c)(R4c)}m2{C(R3d)(R4d)}m3{C(R3e)(R4e)}m4C(R3f)(R4f); R3a, R3b, R3c, R3d, R3e, R3f, R4a, R4b, R4c, R4d, R4e, R4f = H, OH, alkyl, etc.; m1, m2, m3, m4 = 0, 1; Q4 = (un)substituted aryl, (un)substituted arylalkenyl, (un)substituted arylalkynyl, etc.; T0 = [CH2]n1, carbonyl, thiocarbonyl; n1 = 1-3; T1 = COCON(R'), CSCON(R'), COCSN(R'), etc.; R' = H, OH, alkyl, etc.] and their N-oxides were prepared In human activated blood coagulation factor X inhibition assays, the IC50 value of compound II was 0.81 nM. Compds. I are claimed useful as activated blood coagulation factor X (blood-coagulation factor Xa) inhibitor for the treatment and/or prophylaxis of cerebral infarction, cerebral embolism, etc.

IT **98400-69-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocycles containing ethylenediamine moiety as activated blood coagulation factor X inhibitors for treatment and/or prophylaxis of cerebral infarction, cerebral embolism, etc.)

RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



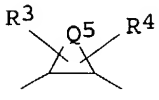
L8 ANSWER 5 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:565212 CAPLUS
DOCUMENT NUMBER: 141:106461
TITLE: Preparation of heterocyclyl moiety-containing diamine derivatives as factor Xa inhibitors
INVENTOR(S): Ohta, Toshiharu; Komoriya, Satoshi; Yoshino, Toshiharu; Uoto, Kouichi; Nakamoto, Yumi; Naito, Hiroyuki; Mochizuki, Akiyoshi; Nagata, Tsutomu; Kanno, Hideyuki; Haginoya, Noriyasu; Yoshikawa, Kenji; Nagamochi, Masatoshi; Kobayashi, Syozo; Ono, Makoto
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 1156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058715	A1	20040715	WO 2003-JP16783	20031225

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-373787 A 20021225
JP 2003-379163 A 20031107

OTHER SOURCE(S): MARPAT 141:106461
GI



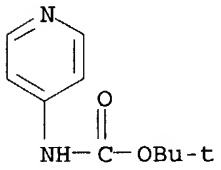
I

AB The title compds. Q1-Q2-T0-N(R1)-Q3-N(R2)-T1-Q4 [R1 and R2 represent each hydrogen, etc.; Q1 represents optionally substituted and saturated or unsatd. 5- to 6-membered cyclic hydrocarbyl, etc.; Q2 represents a single bond, etc.; Q3 represents I (wherein Q5 represent C1-8 alkylene, etc.; R3, R4 = H, alkyl, etc.; further detail on R3 and R4 is given); and T0 and T1 represent each carbonyl, etc.; Q4 represents (un)substituted aryl, etc.] its salt, solvates thereof or N-oxides of the same are prepared These compds. are useful as preventives and/or remedies for cerebral infarction,

cerebral embolism, myocardial infarction, angina, pulmonary infarction, pulmonary embolism, Burger's disease, multiorgan dysfunction syndrome (MODS), thrombosis in extracorporeal circulation and blood coagulation in blood collection, etc. Compds. of this invention in vitro showed IC50 values of 0.72 nM to 86 nM against human factor Xa.

IT **98400-69-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclyl moiety-containing diamines as factor Xa inhibitors)

RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 6 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:561478 CAPLUS
TITLE: New broad-spectrum parenteral cephalosporins exhibiting potent activity against both methicillin-resistant Staphylococcus aureus (MRSA) and Pseudomonas aeruginosa. Part 3: 7β-[2-(5-Amino-1,2,4-thiadiazol-3-yl)-2-ethoxyiminoacetamido] cephalosporins bearing 4-[3-(aminoalkyl)-ureido]-1-pyridinium at C-3'
AUTHOR(S): Yoshizawa, Hidenori; Kubota, Tadatoshi; Itani, Hikaru; Minami, Kyoji; Miwa, Hideaki; Nishitani, Yasuhiro
CORPORATE SOURCE: Shionogi Research Laboratories, Shionogi & Co., Ltd., Fukushima-ku, Osaka, 553-0002, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(15), 4221-4231
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

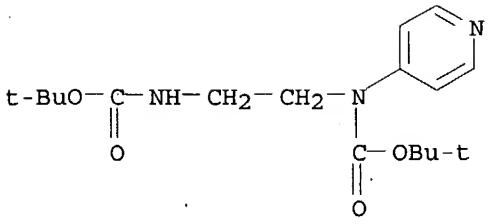
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Among prepared C-3' substituted-pyridinium cephalosporins, a series of 7β-[2-(5-amino-1,2,4-thiadiazol-3-yl)-2-ethoxyiminoacetamido] cephalosporins bearing 4-[3-(aminoalkyl)-ureido]-1-pyridinium at C-3' showed highly potent antibacterial activity against methicillin-resistant Staphylococcus aureus and Pseudomonas aeruginosa. These included I→IV.

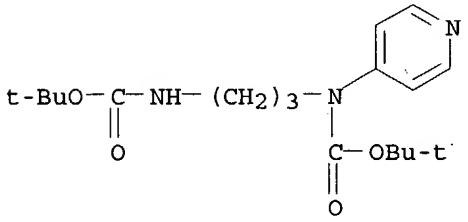
IT INDEXING IN PROGRESS

IT **752243-76-8P 752243-77-9P 752243-81-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in preparation of C-3' substituted pyridinium cephalosporins)

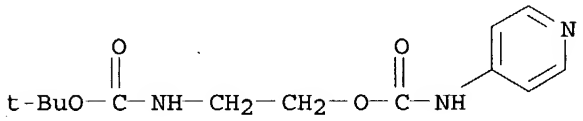
RN 752243-76-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 752243-77-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

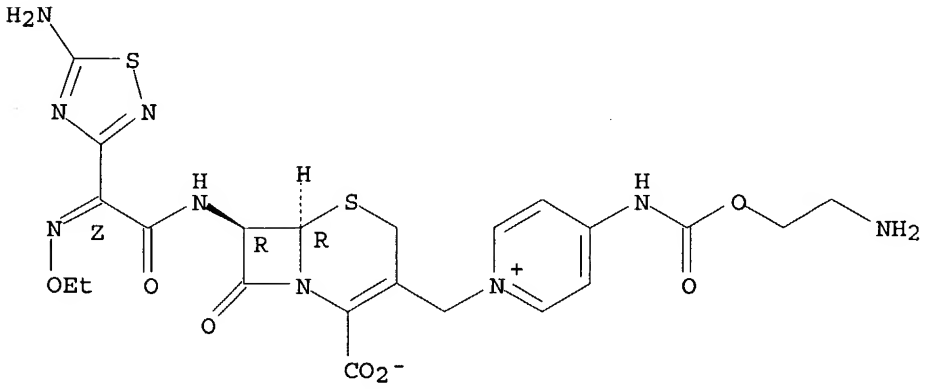


RN 752243-81-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



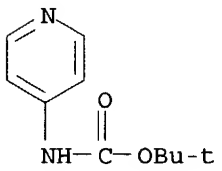
IT **752243-64-4P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of C-3' substituted pyridinium cephalosporins)
RN 752243-64-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:555884 CAPLUS
DOCUMENT NUMBER: 141:225377
TITLE: Facile methods for preparation of thiazolopyridine and tetrahydrothiazolopyridine derivatives
AUTHOR(S): Haginoya, Noriyasu; Komoriya, Satoshi; Osanai, Ken; Yoshino, Toshiharu; Nagata, Tsutomu; Nagamochi, Masatoshi; Muto, Ryo; Yamaguchi, Mitsuhiro; Nagahara, Takayasu; Kanno, Hideyuki
CORPORATE SOURCE: Medicinal Chemistry Research Laboratoty, Daiichi Pharmaceutical Co., Ltd, Tokyo, 134-8630, Japan
SOURCE: Heterocycles (2004), 63(7), 1555-1561
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Improved routes to prepare tetrahydrothiazolo[5,4-c]pyridine-2-carboxylic acid lithium salts were developed. Route A consisted of the improved preparation of thiazolopyridine intermediates, and Route B is applicable for a large scale synthesis of tetrahydrothiazolo[5,4-c]pyridine-2-carboxylic acid derivs. The methods may serve as facile means for preparing thiazolopyridine and tetrahydrothiazolopyridine derivs.
IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of thiazolopyridine and tetrahydrothiazolopyridine derivs.)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 8 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:513486 CAPLUS
DOCUMENT NUMBER: 141:47362
TITLE: Pyridines for treating injured mammalian nerve tissue
INVENTOR(S): Borgens, Richard B.; Shi, Riyi; Byrn, Stephen R.; Smith, Daniel T.
PATENT ASSIGNEE(S): Purdue Research Foundation, USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052291	A2	20040624	WO 2003-US38834	20031205

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,

NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004171587 A1 20040902 US 2003-730495 20031205
PRIORITY APPLN. INFO.: US 2002-431637P P 20021206
OTHER SOURCE(S): MARPAT 141:47362

AB The invention provides novel pyridines, pharmaceutical compns. comprising such pyridines, and the use of such compns. in treating injured mammalian nerve tissue, including but not limited to an injured spinal cord in one embodiment, the compds., compns., and methods of the instant invention treat a mammalian nerve tissue injury by restoring action potential or nerve impulse conduction through a nerve tissue lesion. Significantly, in vivo application of compds. of the instant invention established, on the basis of SSEP testing, that the compds. provide longer lasting effects at lower concns. than comparable treatment with the known agent 4-aminopyridine (4 AP).

IT **54287-92-2P 79546-31-9P 98400-69-2P**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyridines for treating injured mammalian nerve tissue)

RN 54287-92-2 CAPLUS
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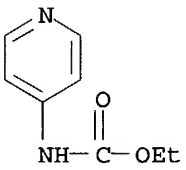

RN 79546-31-9 CAPLUS
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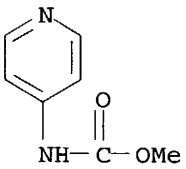
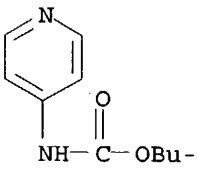


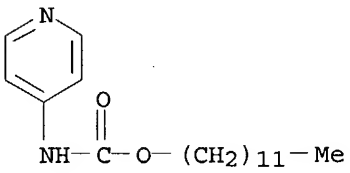
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



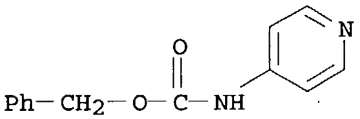
IT **125329-97-7P 260262-86-0P**

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyridines for treating injured mammalian nerve tissue)

RN 125329-97-7 CAPLUS
CN Carbamic acid, 4-pyridinyl-, dodecyl ester (9CI) (CA INDEX NAME)

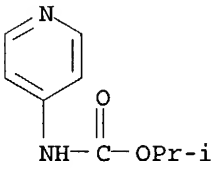


RN 260262-86-0 CAPLUS
CN Carbamic acid, 4-pyridinyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT **117652-47-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pyridines for treating injured mammalian nerve tissue)

RN 117652-47-8 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 9 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:490723 CAPLUS
DOCUMENT NUMBER: 141:54322
TITLE: Preparation of indazole derivatives as JNK inhibitors
INVENTOR(S): Kanai, Fumihiko; Kumazawa, Toshiaki; Saito, Junichi; Shimada, Junichi; Hirose, Ryo; Ichimura, Michio
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050088	A1	20040617	WO 2003-JP15481	20031203

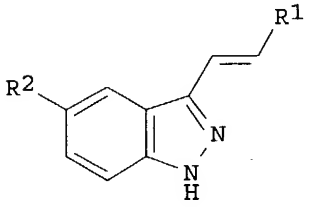
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-351345 A 20021203
OTHER SOURCE(S): MARPAT 141:54322

GI



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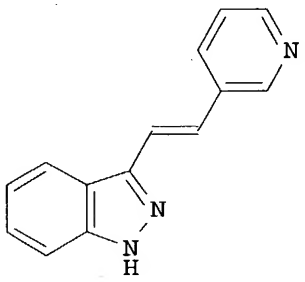


II

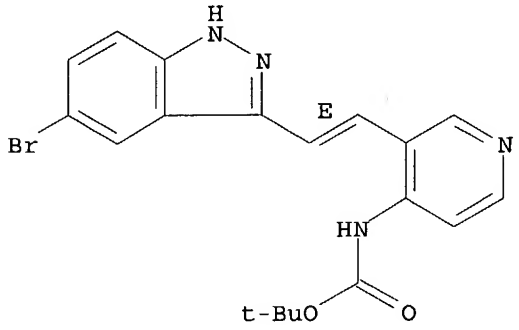
AB The title compds. I [wherein R1 = (un)substituted aryl or heterocyclyl; R2 = H, CO2H, (un)substituted NH2, CONH2, alkenyl, or alkynyl] or pharmaceutically acceptable salts thereof are prepared as c-Jun N-terminal Kinase (JNK) inhibitors. For example, the compound II was prepared in a multi-step synthesis. II showed inhibitory activity with IC50 of <0.5 μM against JNK3 in rat. A formulation method containing II as an active ingredient was also described. I are useful for the treatment of neurodegenerative disease, cerebral infarction, Parkinson's disease, Alzheimer's disease, etc. (no data).

IT **705264-68-2P 705264-69-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indazole derivs. as JNK inhibitors)

RN 705264-68-2 CAPLUS

CN Carbamic acid, [3-[(1E)-2-(5-bromo-1H-indazol-3-yl)ethenyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

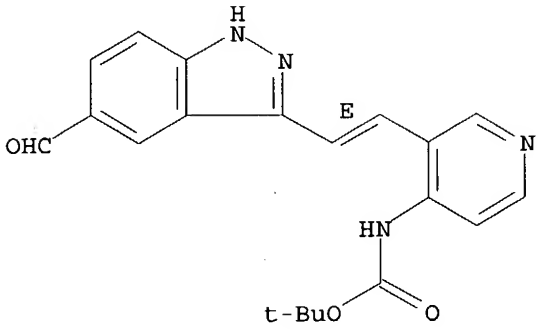
Double bond geometry as shown.



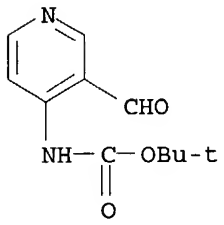
RN 705264-69-3 CAPLUS

CN Carbamic acid, [3-[(1E)-2-(5-formyl-1H-indazol-3-yl)ethenyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT **116026-93-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indazole derivs. as JNK inhibitors)
RN 116026-93-8 CAPLUS
CN Carbamic acid, (3-formyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:428912 CAPLUS
DOCUMENT NUMBER: 141:7437
TITLE: Preparation of phenyl or heteroaryl amino acid derivatives as prostacyclin receptor (IP) antagonists
INVENTOR(S): Murata, Toshiki; Umeda, Masaomi; Yoshikawa, Satoru; Urbahns, Klaus; Gupta, Jang; Sakurai, Osamu
PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany
SOURCE: PCT Int. Appl., 206 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043926	A1	20040527	WO 2003-EP11976	20031029

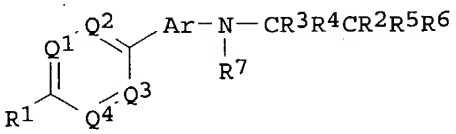
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2002-25024 A 20021111
EP 2003-11397 A 20030520

OTHER SOURCE(S): MARPAT 141:7437

GI



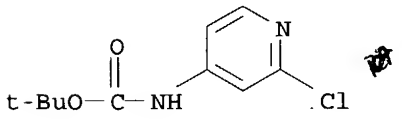
I

AB The invention relates to amino acid derivs. I [Ar is (un)substituted phenylene or 5- or 6-membered heteroaryl containing 1-3 heteroatoms selected from O, N and S; Q is CH, CR10 or N (R10 is halo, cyano, amino, nitro, formyl, hydroxymethyl, methylthio, alkyl, haloalkyl, alkoxy or phenylalkoxy); R1 is OR11 (R11 is alkoxyalkylene, a mono- or bicyclic ring, alkyl, etc.), CH2NHR11, COR11, CONHR11, SR11, SOR11, SO2R11, NHR11, NHCO2R11, NHCOR11, NHSO2R11, H, OH, halo, a mono- or bicyclic ring, alkyl, etc.; R2 is H, OH, amino, alkyl, cycloalkyl, alkylthio, alkylsulfonyl, aryl, heteroaryl, etc.; R3 is H, alkyl or haloalkyl; R4 is carboxy, tetrazolyl or N-hydroxyaminocarbonyl; R5 is H, alkoxy, aryl, heteroaryl, alkyl or haloalkyl; R6 is H, alkyl or haloalkyl] which have prostacyclin receptor (IP) antagonistic activity and can be used for the prophylaxis and treatment of diseases such urol. diseases or disorder or pain. Thus, N-[6-[4-(benzyloxy)phenyl]pyrimidin-4-yl]-D-phenylalanine was prepared by substitution reaction of 4,6-dichloropyrimidine with D-phenylalanine Me ester hydrochloride, followed by arylation with 4-(benzyloxy)phenylboronic acid and saponification IP binding/cAMP data for > 100 synthesized compds. are tabulated (IC50 values are classified as A < 0.1 μM ≤ B < 1 μM ≤ C).

IT **234108-73-7P 693793-29-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of Ph or heteroaryl amino acid derivs. as prostacyclin receptor (IP) antagonists)

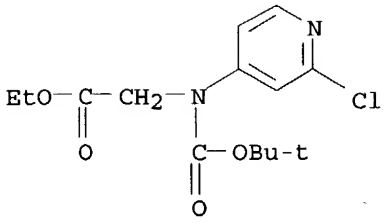
RN 234108-73-7 CAPLUS

CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 693793-29-2 CAPLUS

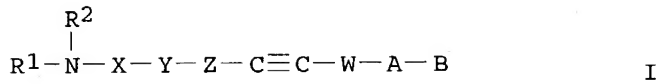
CN Glycine, N-(2-chloro-4-pyridinyl)-N-[(1,1-dimethylethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 11 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:390227 CAPLUS
DOCUMENT NUMBER: 140:406742
TITLE: Preparation of ethynylpyridines and related compounds as melanin-concentrating hormone receptor (MCH-1) antagonist for the treatment of metabolic disorders.
INVENTOR(S): Mueller, Stephan-Georg; Stenkamp, Dirk; Arndt, Kirsten; Roth, Gerald Juergen; Lotz, Ralf Richard Hermann; Lehmann-Lintz, Thorsten; Lenter, Martin; Lustenberger, Philipp; Rudolf, Klaus
PATENT ASSIGNEE(S): Boehringer Ingelheim, Germany
SOURCE: PCT Int. Appl., 361 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039780	A1	20040513	WO 2003-EP11887	20031025
WO 2004039780	C1	20040715		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10250708	A1	20040519	DE 2002-10250708	20021031

PRIORITY APPLN. INFO.: DE 2002-10250708 A 20021031
OTHER SOURCE(S): MARPAT 140:406742
GI



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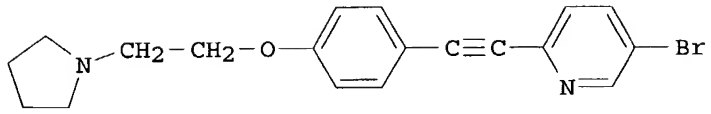
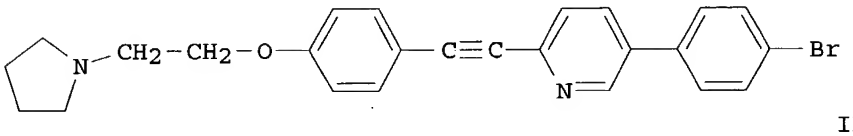


II



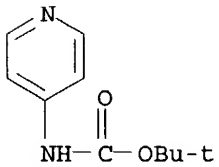
III

AB Title compds. I [R1, R2 = H, (un)substituted alkyl, cycloalkyl, etc; X = alkyl, alkenyl, alkynyl, etc.; W, Z = alkylene with provisos; Y = Cy with provisos; A = Cy; B = Cy, alkyl, alkenyl, etc.; Cy = (un)subsitiuted carbocycle, heterocycle] and their pharmaceutically acceptable salts and formulations were prepared For example, palladium mediated coupling of bromopyridine II, e.g., prepared from 4-iodophenol in 2-steps, and 4-bromophenylboronic acid afforded claimed ethynylpyridine III in 11% yield. In melanin concentrating hormone receptor (MCH-1R) binding assays, 2-examples of compds. I exhibited IC50 values ranging from 8-74 nM, e.g., the IC50 of ethynylpyridine III was 8 nM. Compds. I are claimed useful for the treatment of metabolic disorders and/or eating disorders, in particular, obesity, bulimia, anorexia, hyperphagia and diabetes.

IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of ethynylpyridines and related compds. as melanin-concentrating
hormone receptor (MCH-1) antagonist for the treatment of metabolic disorders.)

RN 98400-69-2 CAPLUS

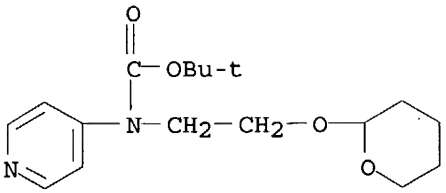
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **690264-66-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ethynylpyridines and related compds. as melanin-concentrating
hormone receptor (MCH-1) antagonist for the treatment of metabolic disorders.)

RN 690264-66-5 CAPLUS

CN Carbamic acid, 4-pyridinyl[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

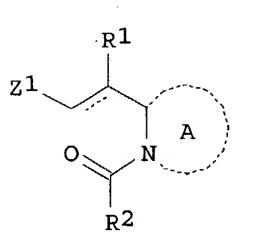


L8 ANSWER 12 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:372866 CAPLUS
DOCUMENT NUMBER: 140:391195
TITLE: Preparation of N-acylpyrrolidin-2-ylalkylbenzamidine derivatives as factor Xa inhibitors
INVENTOR(S): Czekaj, Mark; Klein, Scott I.; Pauls, Heinz W.
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 37 pp., Cont.-in-part of U.S. Ser. No. 143,190, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

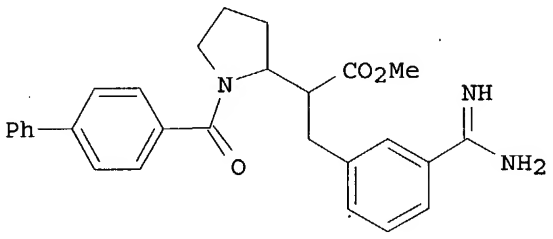
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004087570	A1	20040506	US 2003-686871	20031016
WO 2001034567	A1	20010517	WO 2000-EP10890	20001104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2003092698	A1	20030515	US 2002-143190	20020510

PRIORITY APPLN. INFO.:		
US 1999-164621P	P	19991110
GB 1999-30540	A	19991223
WO 2000-EP10890	A1	20001104
US 2002-143190	B2	20020510

OTHER SOURCE(S): MARPAT 140:391195
GI



I



II

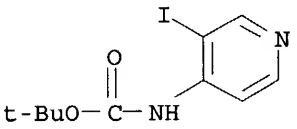
AB The title compds. [I; R1 = H, CO2R3, COR3, CONR3R3, CH2OR4, CH2SR4; ring A = (un)substituted 4-7 membered azaheterocycl(en)yl ring; R2 = alk(en)yl, heterocyclyl, (hetero)aryl, etc.; R3 = H, alkyl; R4 = H, alkyl, acyl, etc.; Z1 = aryl, cycloalkyl, heteroaryl, etc.; with provisos] were prepared

as factor Xa inhibitors (no data). Thus, Me N-Boc-pyrrolidine-2-acetate was alkylated by 3-(NC)C6H4CH2Br and the deprotected product amidated by 4-PhC6H4CO2H to give, in 3 addnl. steps, title compound II. The pharmaceutical composition comprising the compound I is claimed.

IT **211029-67-3**, tert-Butyl 3-iodo-4-pyridinylcarbamate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amidinophenyl(aroylpyrrolidinyl)propionates and analogs as factor Xa inhibitors)

RN 211029-67-3 CAPLUS

CN Carbamic acid, (3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

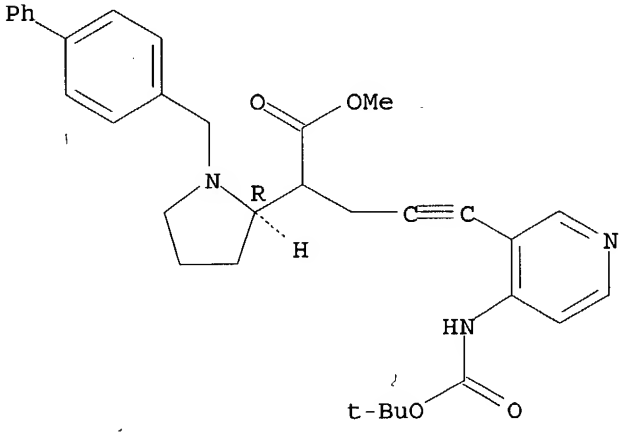


IT **340040-70-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinophenyl(aroylpyrrolidinyl)propionates and analogs as factor Xa inhibitors)

RN 340040-70-2 CAPLUS

CN 2-Pyrrolidineacetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-α-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]-2-propynyl]-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 13 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:333722 CAPLUS

DOCUMENT NUMBER: 140:357387

TITLE: Preparation of 2,3-dihydro-6-nitroimidazo[2,1-b]oxazoles as antibacterial agents

INVENTOR(S): Tsubouchi, Hidetsugu; Sasaki, Hirofumi; Kuroda, Hideaki; Itotani, Motohiro; Hasegawa, Takeshi; Haraguchi, Yoshikazu; Kuroda, Takeshi; Matsuzaki, Takayuki; Tai, Kuninori; Komatsu, Makoto; Matsumoto, Makoto; Hashizume, Hiroyuki; Tomishige, Tatsuo; Seike, Yuji; Kawasaki, Masanori; Sumida, Takumi; Miyamura, Shin

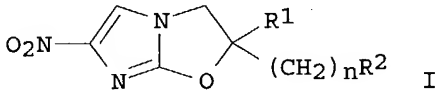
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

10/730,495

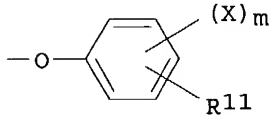
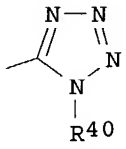
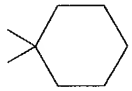
SOURCE: PCT Int. Appl., 1084 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004033463	A1	20040422	WO 2003-JP13070	20031010
W: AU, BR, BY, CA, CN, EG, ID, IN, KR, MX, PH, PL, RU, SG, UA, US, VN, ZA				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
JP 2004149527	A2	20040527	JP 2003-353868	20031014
PRIORITY APPLN. INFO.:			JP 2002-298259 A	20021011

OTHER SOURCE(S): MARPAT 140:357387
GI



I

Q=  Q1=  Q2= 

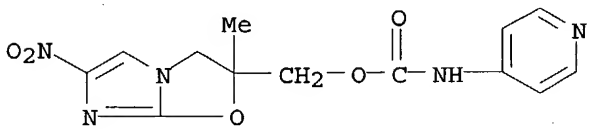
AB The title compds. [I; wherein R1 = H, C1-6 alkyl; n = an integer of 0-6; R2 = OR3, SR5, CO2R6, O2CNR7R8, Q, NR19R20, Q1; wherein R3 = H, C1-6 alkoxy, C1-6 alkoxy-C1-6 alkyl, (un)substituted phenyl-C1-6 alkoxy, biphenylyl-C1-6 alkoxy, phenyl-C2-6 alkenyl, C1-6 alkylsulfonyl, etc.; R5 = tetrazolyl or phenyltetrazolyl optionally substituted by halo or C1-6 alkyl on phenyl; R6 = C1-6 alkyl; R7, R8 = H, C1-8 alkyl, halo-C1-6 alkyl, C1-6 alkoxycarbonyl-C1-6 alkyl, C3-8 cycloalkyl, phenyl-C1-6 alkyl, Ph, naphthyl, pyridyl, etc.; X = halo, amino-C1-6 alkyl, C1-6 alkylamino-C1-6 alkyl; R11 = H, C1-6 alkyl, halo-C1-6 alkyl, C1-6 alkoxy, halo-C1-6 alkoxy, etc.; m = an integer of 0-3; R40 = C1-6 alkyl, Ph, halophenyl; or R1 and -(CH2)nR2 may be united via a nitrogen atom to form together with the adjacent carbon atom a spiro ring represented by the general formula Q2; wherein R41 = H, C1-6 alkyl, phenyl-C1-6 alkyl, biphenylyl-C1-6 alkyl, (un)substituted Ph, etc.] are prepared These compds. exhibit excellent bactericidal activity against Tubercle bacillus, multiple drug resistant T. bacillus, and atypical acid-fast bacteria, and are useful as antitubercular agents. Thus, 0.43 g (S)-1-(2-chloro-4-nitroimidazol-1-yl)-2-methyl-3-[4-(4-trifluoromethoxyphenyl)piperazin-1-yl]propan-2-ol and 0.22 g 2-chloro-4-nitro-1H-imidazole were suspended in 4 mL MeCN, treated with 0.17 g NaHCO3, and refluxed for 9 h to give 31% (S)-1-(2-chloro-4-nitroimidazol-1-yl)-2-methyl-3-[4-(4-trifluoromethoxyphenyl)piperazin-1-yl]propan-1-ol which (5.85 g) was dissolved in 150 mL THF, treated with 0.66 g NaH under ice-cooling and refluxed for 6 h to give 48% (S)-2-[[4-(4-trifluoromethoxyphenyl)piperazin-1-yl]methyl]-2-methyl-6-nitro-2,3-dihydroimidazo[2,1-b]oxazole (II). II showed min. inhibitory concentration of 0.024 μg/mL against Mycobacterium tuberculosis H37Rv.

IT **681497-57-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,3-dihydro-6-nitroimidazo[2,1-b]oxazoles as antibacterial agents and antitubercular agents)

RN 681497-57-4 CAPLUS

CN Carbamic acid, 4-pyridinyl-, (2,3-dihydro-2-methyl-6-nitroimidazo[2,1-b]oxazol-2-yl)methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:291950 CAPLUS

DOCUMENT NUMBER: 140:315042

TITLE: Pin1-modulating compounds and methods of use for the treatment of Pin1-associated diseases, including cancer

INVENTOR(S): Mckee, Timothy D.; Suto, Robert K.; Tibbitts, Thomas; Sowadski, Janusz

PATENT ASSIGNEE(S): Pintex Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 166 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004028535	A1	20040408	WO 2003-US6675	20030303

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-414077P P 20020926

OTHER SOURCE(S): MARPAT 140:315042

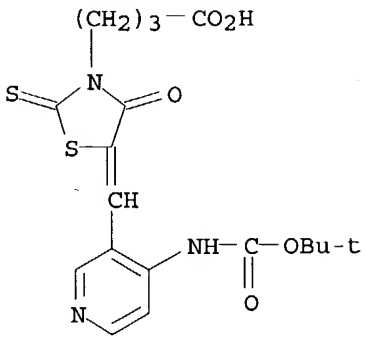
AB The invention is directed to modulators, e.g., inhibitors, of Pin1 and Pin1-related proteins and the use of such modulators for treatment of Pin1 associated states, e.g., for the treatment of cancer. Synthetic methods are included.

IT **676652-55-4**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Pin1-modulating compds. for treatment of Pin1-associated diseases, including cancer)

RN 676652-55-4 CAPLUS

CN 3-Thiazolidinebutanoic acid, 5-[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]methylene]-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



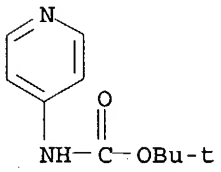
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:257381 CAPLUS
DOCUMENT NUMBER: 141:16870
TITLE: Inhibition studies with rationally designed inhibitors of the human low molecular weight protein tyrosine phosphatase
AUTHOR(S): Zabell, Adam P. R.; Corden, Steven; Helquist, Paul; Stauffacher, Cynthia V.; Wiest, Olaf
CORPORATE SOURCE: Department of Biological Sciences and the Purdue Cancer Center, Purdue University, West Lafayette, IN, 47907-1392, USA
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(8), 1867-1880
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The human low mol. weight protein tyrosine phosphatase (HCPTP) is ubiquitously expressed as two isoforms in a wide range of human cells and may be involved in regulating the metastatic nature of epithelial tumors. A homol. model is presented for the HCPTP-B isoform based on known x-ray crystal structures of other low mol. weight PTPs. A comparison of the two isoform structures indicates the possibility of developing isoform-specific inhibitors of HCPTP. Mol. dynamics simulations with CHARMM have been used to study the binding modes of the known adenine effector and phosphate in the active site of both isoforms. This anal. led to the design of the initial lead compound, based on an azaindole ring moiety, which was then also evaluated computationally. A comparison of these simulations indicates the need for a phosphonate group on the indole and provides insight into inhibitor binding modes. Compds. with varying degrees of structural similarity to the azaindole have been synthesized and tested for inhibition with each isoform. These mol. systems were examined with the program AutoDock, and comparisons made with the kinetics and the explicit simulations to validate AutoDock as a screening tool for potential inhibitors. Two compds. were exptl. found to have sub-millimolar inhibition, but the greater solubility of one reinforces the need for exptl. testing alongside computational anal.

IT **98400-69-2P**, Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(inhibition studies with rationally designed inhibitors of human low mol. weight protein tyrosine phosphatase)

RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

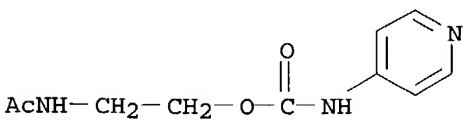
L8 ANSWER 16 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:182363 CAPLUS
DOCUMENT NUMBER: 140:229400
TITLE: Methods for identifying modulators of bromodomain activity and for treating HIV infections
INVENTOR(S): Zhou, Ming-Ming; Aggarwal, Aneel K.; Verdin, Eric; Ott, Melanie
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 515 pp., Cont.-in-part of U.S. Ser. No. 510,314.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004043378	A1	20040304	US 2001-784553	20010216
US 2004009613	A1	20040115	US 2002-209201	20020731
PRIORITY APPLN. INFO.:			US 2000-510314 A2	20000222
			US 2001-784553 A3	20010216

AB The ZA loop of various bromodomain proteins and nucleic acids encoding them are disclosed. These ZA loop peptides may be used for identifying compds. which modulate the affinity of bromodomains for acetyllysine-containing ligands, e.g., the affinity of P300/CBP-associated factor (P/CAF) for Tat acetylated at lysine-50. Such P/CAR-acetyl-Tat complex-modulating substances may be used to treat HIV infections. Thus, the structural determination of a P/CAF bromodomain and of PCAF bromodomain complexed with acetylhistamine or with an acetylated peptide derived from Tat were determined by NMR spectroscopy. P/CAF residues crucial to the P/CAF binding of acetyllysine were identified.

IT **370871-85-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(acetyl-lysine analog, bromodomain ligand; methods for identifying modulators of bromodomain activity and for treating HIV infections)

RN 370871-85-5 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)

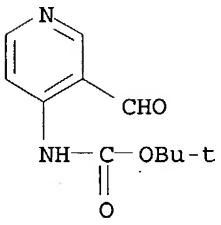


L8 ANSWER 17 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:138202 CAPLUS

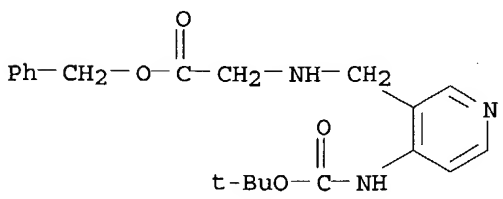
DOCUMENT NUMBER: 140:357651
TITLE: Synthesis of novel analogs of aromatic peptide nucleic acids (APNAs) with modified conformational and electrostatic properties
AUTHOR(S): Fader, Lee D.; Myers, Eddie L.; Tsantrizos, Youla S.
CORPORATE SOURCE: Department of Chemistry, McGill University, Montreal, QC, H3A 2K6, Can.
SOURCE: Tetrahedron (2004), 60(10), 2235-2246
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Aromatic peptide nucleic acid analogs having an N-(2-aminobenzyl)glycine backbone (APNA 1) were previously identified as promising new leads for the design of polyarom. DNA mimics. Structural modifications of 1, which lock the aromatic backbone into a unique conformation, while maintaining the same space distribution between the nucleobases as in 1, were investigated. The electrostatic potential of the aromatic backbone was also modified in an attempt to improve the solubility of these compds. in aqueous media and to evaluate how the quadrapole of the aromatic backbone may influence the biophys. properties of the APNA oligomers. PNA hexamers containing a single monomer insert of each new APNA monomer were used to explore the hybridization properties of these analogs with poly rA and poly dA. Preliminary results indicated that these modifications do not seriously alter the mol. recognition properties of APNAs towards DNA and RNA.

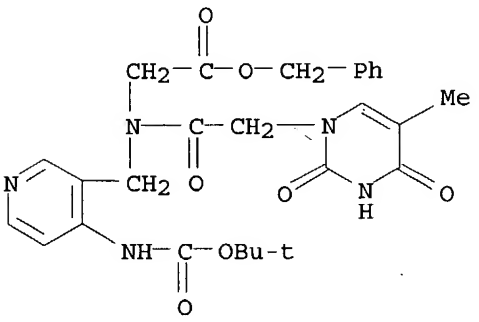
IT **116026-93-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and hybridization of analogs of aromatic peptide nucleic acids (APNAs) with modified conformational and electrostatic properties)
RN 116026-93-8 CAPLUS
CN Carbamic acid, (3-formyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **681430-75-1P 681430-76-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hybridization of analogs of aromatic peptide nucleic acids (APNAs) with modified conformational and electrostatic properties)
RN 681430-75-1 CAPLUS
CN Glycine, N-[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 681430-76-2 CAPLUS
CN Glycine, N-[(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-N-[[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

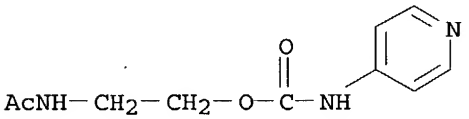
L8 ANSWER 18 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:41029 CAPLUS
DOCUMENT NUMBER: 140:105223
TITLE: The three-dimensional structure of a bromodomain, methods of identifying modulators of bromodomains, and uses in drug discovery, particularly anti-AIDS
INVENTOR(S): Zhou, Ming-Ming; Aggarwal, Aneel K.; Verdin, Eric; Ott, Melanie
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 80 pp., Division of U.S. Ser. No. 784,553.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004009613	A1	20040115	US 2002-209201		20020731
US 2004043378	A1	20040304	US 2001-784553		20010216
PRIORITY APPLN. INFO.:			US 2000-510314	A	20000222
			US 2001-784553	A3	20010216

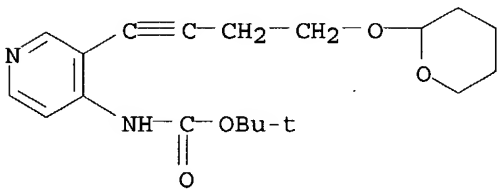
AB The present invention provides the structural determination of a bromodomain, determined by NMR spectroscopy. The invention provides the three-dimensional structure of a bromodomain as well as the three-dimensional structure of a bromodomain-acetyl-histamine complex. The invention provides, for the first time, that bromodomains bind to acetyl-lysine residues of proteins. The invention also provides structural insights into HIV-1 gene transcription activation by Tat via P/CAF histone acetyltransferase chromatin remodeling. The invention provides the structural determination of the Tat-P/CAF bromodomain binding complex determined by NMR spectroscopy. The invention also provides binding partners for the bromodomain. In addition, the present invention provides methodol. for related drug discovery using high throughput drug screening or structure based rational drug design using the three-dimensional data. In a particular embodiment, the three-dimensional structural information is used in the identification and/design of an inhibitor of leukemia. In another embodiment, the

three-dimensional structural information is used in the identification and/design of an inhibitor of HIV-1 infection and/or AIDS.

IT **370871-85-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(acetyl-lysine analog, bromodomain ligand; three-dimensional structure of bromodomain, methods of identifying modulators of bromodomains, and uses in drug discovery, particularly anti-AIDS)
RN 370871-85-5 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 2-(acetylamino)ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 19 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:1000504 CAPLUS
TITLE: Product class 4: organometallic complexes of copper
AUTHOR(S): Heaney, H.; Christie, S.
CORPORATE SOURCE: Dept. of Chemistry, University of Loughborough, Loughborough, LE11 3TU, UK
SOURCE: Science of Synthesis (2004), 3, 305-662
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. The use of copper and related complexes in applications to organic synthesis is reviewed.
IT **211029-73-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(review of applications of copper and organocopper complexes to organic synthesis)
RN 211029-73-1 CAPLUS
CN Carbamic acid, [3-[4-[(tetrahydro-2H-pyran-2-yl)oxy]-1-butynyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1706 THERE ARE 1706 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

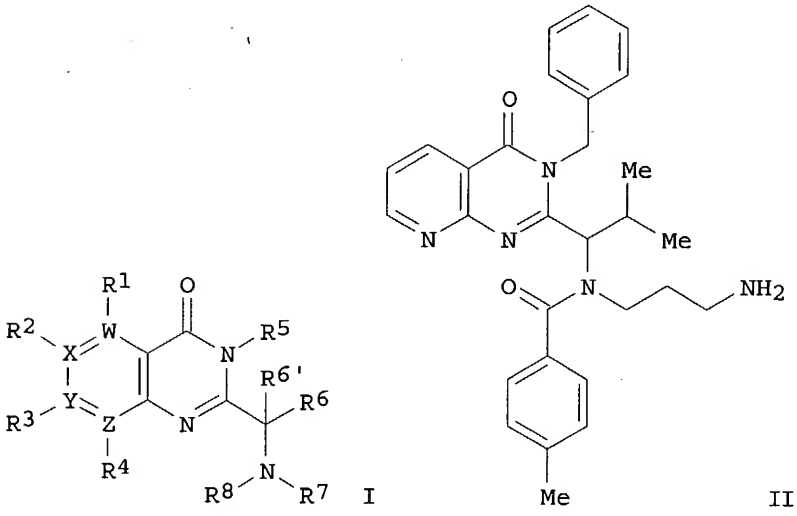
L8 ANSWER 20 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:991275 CAPLUS
DOCUMENT NUMBER: 140:42200
TITLE: Aminoalkyl-substituted pyridopyrimidine derivatives useful as inhibitors of the mitotic kinesin KSP, pharmaceutical compositions containing them for treatment of cellular proliferative diseases, their preparation, and methods of their use
INVENTOR(S): Dhanak, Dashyant; Knight, Steven David; Lu, Pu-ping;

10/730,495

	Morgans, David J., Jr.; Yao, Bing
PATENT ASSIGNEE(S):	Cytokinetics, Inc., USA; Smithkline Beecham Corporation
SOURCE:	PCT Int. Appl., 89 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103575	A2	20031218	WO 2003-US16500	20030522
WO 2003103575	A3	20040226		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004116438	A1	20040617	US 2003-444283	20030522
PRIORITY APPLN. INFO.:			US 2002-382737P P	20020523
OTHER SOURCE(S):	MARPAT 140:42200			

GI



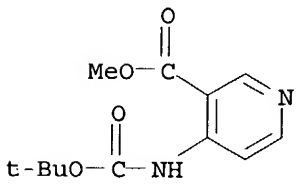
AB Title compds. I are disclosed [wherein: W, X, Y, Z = N or C, provided that exactly 1-2 of them are N; R1, R2, R3, R4 = H, OH, halo, cyano, (un)substituted alkyl or alkoxy, or are absent when located at N; R5 = (un)substituted alkyl or aryl; R6, R6' = H, (un)substituted alkyl or aryl; or R6R6' forms (un)substituted (hetero)cycloalkyl with 5-7 ring atoms; R7 = (un)substituted alkyl or aryl; R8 = H, COR9, SO2R9, CH2R9, CO2R9, CONHR9, SO2NHR9; R9 = H, (un)substituted alkyl or (hetero)aryl; or R7R8 forms (un)substituted imidazol(in)yl; including pharmaceutically acceptable salts or solvates]. I are useful for treating cellular

proliferative diseases and disorders by modulating the activity of the mitotic kinesin KSP. Claimed cellular proliferative disease applications include cancer, hyperplasia, restenosis, cardiac hypertrophy, immune disorders, or inflammation. Several actual and prophetic examples of I are given. For instance, 2-aminonicotinic acid was treated with isovaleryl chloride to give the isovaleramide, which was cyclized in Ac2O at 120° to give 2-isobutylpyrido[2,3-d][1,3]oxazin-4-one. This was condensed with benzylamine to give the product ring system, i.e., 3-benzyl-2-isobutyl-3H-pyrido[2,3-d]pyrimidin-4-one. A sequence of α-bromination on the iso-Bu group, conversion of the bromide to the azide, reduction of the azide to the amine, reductive alkylation of the amine with OCHCH2CH2NH-Boc, amidation of the secondaryl amine product with p-toluoyl chloride, and removal of Boc with TFA, gave invention compound II. At 200 nM in a culture of human ovarian cancer cells Skov-3, compds. I caused a shift in cell population from a G0/G1 cell cycle stage (2n DNA content) to a G2/M cell cycle stage (4n DNA content). Visual inspection of several tumor cell lines shows that I cause cell cycle arrest in the prometaphase stage of mitosis. The DNA is condensed and spindle formation has initiated, but arrested cells uniformly display monopolar spindles, indicating that there is an inhibition of spindle pole body separation I inhibit growth of a variety of cell lines, including those (MCF-7/ADR-RES, HCT1 5) that express P-glycoprotein (also known as Multi-drug Resistance, or MDR+), which conveys resistance to other drugs such as paclitaxel.

IT **280115-84-6P**, 4-tert-Butoxycarbonylaminonicotinic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aminoalkyl-substituted pyridopyrimidines as KSP kinesin inhibitors for treatment of cellular proliferative diseases)

RN 280115-84-6 CAPLUS

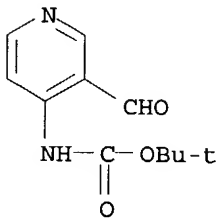
CN 3-Pyridinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



IT **116026-93-8**, N-Boc-4-amino-3-pyridinecarboxaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of aminoalkyl-substituted pyridopyrimidines as KSP kinesin inhibitors for treatment of cellular proliferative diseases)

RN 116026-93-8 CAPLUS

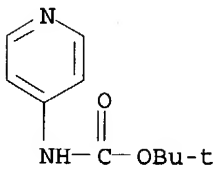
CN Carbamic acid, (3-formyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 21 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:856560 CAPLUS
DOCUMENT NUMBER: 140:41694
TITLE: Enhancing the catalytic activity of 4-(dialkylamino)pyridines by conformational fixation
AUTHOR(S): Heinrich, Markus R.; Klisa, Heike Sabine; Mayr, Herbert; Steglich, Wolfgang; Zipse, Hendrik
CORPORATE SOURCE: Department Chemie, Ludwig-Maximilians-Universitaet Muenchen, Munich, 81377, Germany
SOURCE: Angewandte Chemie, International Edition (2003), 42(39), 4826-4828
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:41694

AB Acetyl transfer enthalpies for the the reaction: N-acetypyridinium + I → pyridine + MeCO-I+ [I = DMAP (1), 4-pyrrolidinopyridine (PPY, 2), 1-methyl-1,2,3,4=tetrahydro-1,6-naphthyridine (6), and 9-azajulolidine (7)] became more neg. in the order stated (-82.1, -93.1, -96.0, and -108.9 kJ/mol), suggesting that conformation fixation combined with inductive electron-donating effect of an alkyl group in the meta position may produce a significantly better acyl-transfer catalyst that DMAP itself or PPY. Consistent with these finding, acetylation of 1-ethynylcyclohexanol with Ac2O in presence of DMAP derivative and Et3N as auxiliary base proceeded with half-life of 151, 69, 63, and 26 min, resp., for 1, 2, 6, and 7, resp.

IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(lithiation/cyclization; enhancing the catalytic activity of 4-(dialkylamino)pyridines for acetylation by conformational fixation)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:818425 CAPLUS
DOCUMENT NUMBER: 139:337987
TITLE: Preparation of imidazothienopyrazines for treatment of inflammatory and immune diseases.
INVENTOR(S): Belema, Makonen; Bunker, Amy; Nguyen, Van; Beaulieu, Francis; Ouellet, Carl; Marinier, Anne; Roy, Stephan; Yang, Xuejie; Qiu, Yuping; Zhang, Yunhui; Martel, Alain; Zusi, Christopher
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084959	A1	20031016	WO 2003-US9549	20030327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004058930	A1	20040325	US 2003-400387	20030327
PRIORITY APPLN. INFO.:			US 2002-369698P P	20020403
OTHER SOURCE(S):	MARPAT 139:337987			

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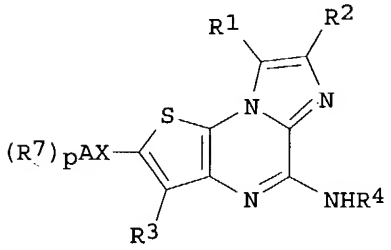

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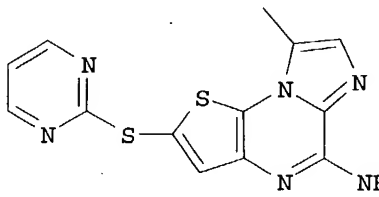


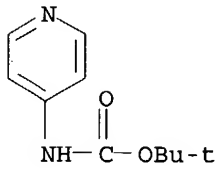
II

AB Title compds. [I; R1-R3 = H, halo, (perfluoro)alkyl; R4 = (CR5R6)mZ, (cycloalkyl)Z; R5, R5a, R6, R6a = H, OH, (substituted) amino, alkoxy, (cyclo)alkyl, heterocyclyl, (hetero)aryl; R7 = halo, cyano, (substituted) alkyl, alkenyl, (CR5aR6a)qOR8a, (CR5aR6a)qSR8a, (CR5aR6a)qSO2R10, (CR5aR6a)qNR8R9, (CR5aR6a)qNR8SO2, (CR5aR6a)qNR8SO2R10, (CR5aR6a)qSO2NR8R9, (CR5aR6a)qNR8aCOR9a, (CR5aR6a)qNR8aCO2R9a, (CR5aR6a)qCOR8a, (CR5aR6a)qCO2R8a, (CR5aR6a)qO2CR8a, (CR5aR6a)qCONR8aNR5R9, (CR5aR6a)qCONR8aSO2R10, cycloalkyl(alkyl), heterocyclyl(alkyl), aryl, aralkyl, heteroaryl(alkyl), etc.; when A = heterocycle, cycloalkyl, 1 of R7 may = O, when A = bond, then R7 may = H; X = bond, O, S, NR1, (CH2)n, CH:CH, C.tplbond.C; A = bond, (hetero)aryl, heterocycle, cycloalkyl; Z = H, Me, OR14, CO2R14, NR12COR13, NR12CO2R13, NR12SO2R13, NR12CONR14R15, etc.; R8, R8a, R9, R9a = H, (substituted) alkenyl, (cyclo)alkyl, (cycloalkyl)alkyl, (heterocyclyl)alkyl, aryl, aralkyl, heteroaryl, (heteroaryl)alkyl; R8R9N, R14R15N = heterocyclyl; R10, R10a = (substituted) (cyclo)alkyl, heterocyclyl, (hetero)aryl; R11 = H, (amino)alkyl, hydroxyalkyl; R12 = H, alkyl; R13 = H, (substituted) (cyclo)alkyl, heterocyclyl, (hetero)aryl; R14, R14a, R15, R15a = H, (substituted) (cyclo)alkyl, (cycloalkyl)alkyl, (heterocyclyl)alkyl, aryl(alkyl), heteroaryl(alkyl); m, q = 0-6; n = 1, 2; p = 0-4], were prepared Thus, tris(dibenzylideneacetone)dipalladium(0) and bis[(2-diphenylphosphino)phenyl]ether in toluene were bubbled with argon for 3 min; N-(2-bromo-8-methyl-1-thia-4,6,8a-triaza-as-indacen-5-yl)-N-methylamine was added followed by 2-mercaptopyrimidine and KOCMe3 in THF followed by refluxing for 2h to give 18% title compound (II).

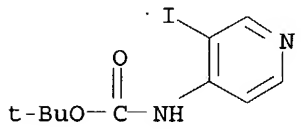
IT **98400-69-2P 211029-67-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazothienopyrazines for treatment of inflammatory and immune diseases)

RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 211029-67-3 CAPLUS
CN Carbamic acid, (3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:781488 CAPLUS
DOCUMENT NUMBER: 139:381421
TITLE: Preparation of substituted 1,3-dihydro-2H-imidazo[4,5-c]pyridin-2-ones
AUTHOR(S): Bakke, Jan M.; Gautun, Hanna S. H.; Svensen, Harald
CORPORATE SOURCE: Department of Chemistry, The Norwegian University of Science and Technology, Trondheim, NO-7491, Norway
SOURCE: Journal of Heterocyclic Chemistry (2003), 40(4), 585-591
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:381421
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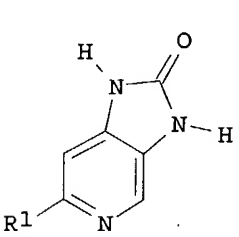

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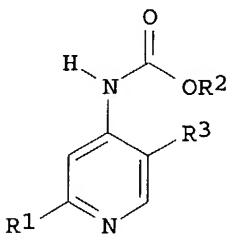


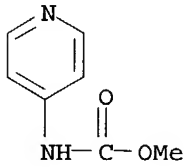
II

AB A synthetic route to 6-substituted imidazo[4,5-c]pyridin-2-ones I (R1 = H,

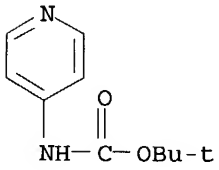
NHBu) from 4-aminopyridine has been investigated. 4-Aminopyridine, protected as alkyl carbamates, was nitrated with dinitrogen pentoxide to 3-nitropyridin-4-yl carbamates II (R1 = H; R2 = Me, i-Pr, t-Bu; R3 = NO2). Attempts to substitute at the 6-position of II by the oxidative nucleophilic substitution of hydrogen (ONSH) and the vicarious nucleophilic substitution (VNS) techniques succeeded with butylamine and the t-Bu carbamate to give II (R1 = NHBu, R2 = t-Bu, R3 = NO2). From II (R1 = H; R2 = Me, t-Bu; R3 = NO2) 1,3-dihydro-2H-imidazo[4,5-c]pyridin-2-ones I were obtained in moderate to good yield. II (R1 = NHBu, R2 = t-Bu, R3 = NO2) gave I (R1 = NHBu) in good yield.

IT **79546-31-9 98400-69-2 117652-47-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of nitropyridinylcarbamates via nitration of pyridinylcarbamates in the preparation of dihydroimidazopyridinones)

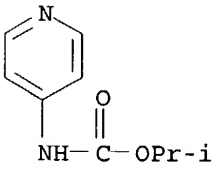
RN 79546-31-9 CAPLUS
CN Carbamic acid, 4-pyridinyl-, methyl ester (9CI) (CA INDEX NAME)



RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

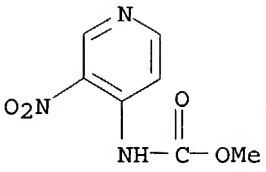


RN 117652-47-8 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)

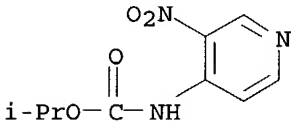


IT **98279-90-4P 623562-21-0P 623562-22-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nitropyridinylcarbamates via nitration of pyridinylcarbamates in the preparation of dihydroimidazopyridinones)

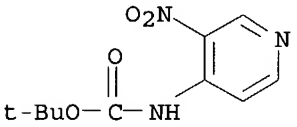
RN 98279-90-4 CAPLUS
CN Carbamic acid, (3-nitro-4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 623562-21-0 CAPLUS
CN Carbamic acid, (3-nitro-4-pyridinyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 623562-22-1 CAPLUS
CN Carbamic acid, (3-nitro-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

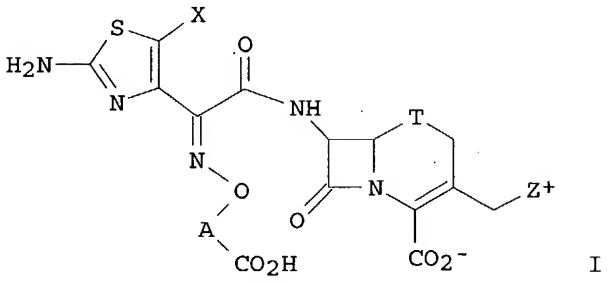
L8 ANSWER 24 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:757715 CAPLUS
DOCUMENT NUMBER: 139:261088
TITLE: Preparation of broad-spectrum cephem compounds
INVENTOR(S): Nishitani, Yasuhiro; Yamano, Yoshinori
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078440	A1	20030925	WO 2003-JP3249	20030318

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-73526 A 20020318
OTHER SOURCE(S): MARPAT 139:261088
GI



I

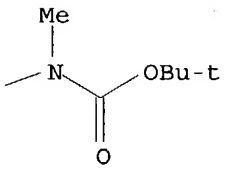
AB Cephem compds. I (T is S, SO, or O; X is halogeno, CN, carbamoyl which may be substituted with lower alkyl, lower alkyl, lower alkoxy, or lower alkylthio; A is substituted lower alkylene (wherein the substituent is optionally substituted mono-lower alkyl, optionally substituted lower alkylidene, or optionally substituted lower alkylene); and Z+ is an optionally substituted nitrogenous heterocyclic group having a cationic group), their ester, protected 7-aminothiazole, or pharmaceutically acceptable salts or solvates, are prepared I [X = Me, A = Me2C, T = S, Z = 1-(3-methylaminopropyl)-1H-imidazo[4,5-b]pyridinium-4-yl-] was prepared and showed antibacterial activities superior to that of ceftazidime.

IT **604002-32-6P 604002-62-2P 604002-63-3P 604002-85-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of broad-spectrum cephem compds.)

RN 604002-32-6 CAPLUS

CN Pyridinium, 1-[[(6R,7R)-7-[[(2Z)-[5-chloro-2-[[(1,1-dimethylethoxy)carbonyl]amino]-4-thiazolyl][[2-(1,1-dimethylethoxy)-1,1-dimethyl-2-oxoethoxy]imino]acetyl]amino]-2-[[(4-methoxyphenyl)methoxy]carbonyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-4-[[(1,1-dimethylethoxy)carbonyl]amino]-, bromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



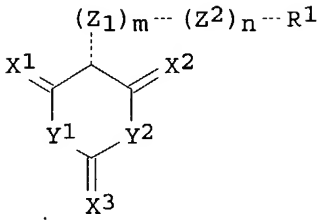
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 25 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:719458 CAPLUS
DOCUMENT NUMBER: 139:255327
TITLE: Pin1-modulating compounds and methods of use thereof
INVENTOR(S): Mckee, Timothy D.; Suto, Robert K.; Tibbitts, Thomas; Sowadski, Janusz
PATENT ASSIGNEE(S): Pintex Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074497	A1	20030912	WO 2003-US6674	20030303
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2003074497	A1	20030912	WO 2003-XA6674	20030303
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:		
US 2002-361246P	P	20020301
WO 2003-US6674	A	20030303

OTHER SOURCE(S): MARPAT 139:255327
GI

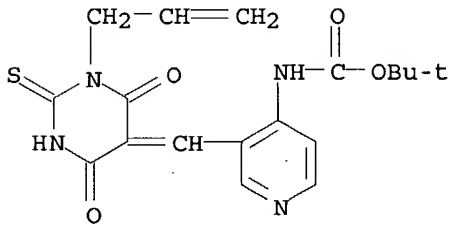


I

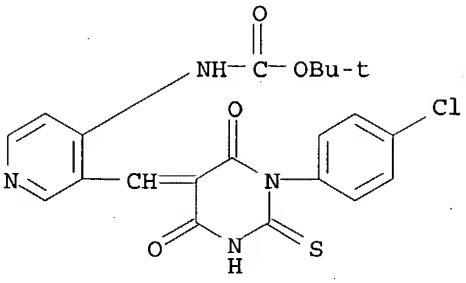
AB The invention is directed to modulators, e.g., inhibitors, of Pin 1 and Pin 1-related proteins and the use of such modulators for treatment of Pin 1 associated states, e.g., for the treatment of cancer. This method includes administering to the subject an effective amount of a Pin1-modulating compound of formula I (the dashed line to R1 indicates a single or a double bond; n or m are independently 0 or 1; X1, X2, and X3 are each independently O, S, or NR2; Y1, and Y2 are each independently O, S, or NR3; R1, R2 and R3 are each independently substituted or unsubstituted alkyl, alkenyl, alkynyl, aryl, hydrogen, acyl, or any combination thereof; Z1 and Z2 are each independently CH2, CH, or N). In a second embodiment, the invention pertains, at least in part, to a method for treating cyclin D1 overexpression in a subject. [This abstract record is one of two records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.].

IT **600690-26-4 600690-89-9 600694-08-4**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Pin1-modulating compds. for treatment of disease states such as cancer in combination with other agents in relation to cyclin D1 overexpression)

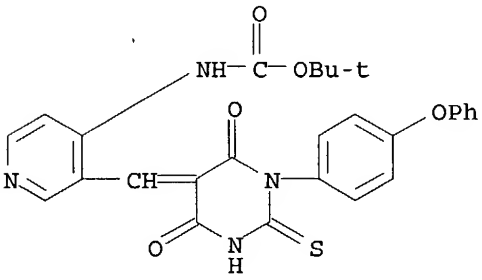
RN 600690-26-4 CAPLUS
CN Carbamic acid, [3-[[tetrahydro-4,6-dioxo-1-(2-propenyl)-2-thioxo-5(2H)-pyrimidinylidene]methyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 600690-89-9 CAPLUS
CN Carbamic acid, [3-[[1-(4-chlorophenyl)tetrahydro-4,6-dioxo-2-thioxo-5(2H)-pyrimidinylidene]methyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

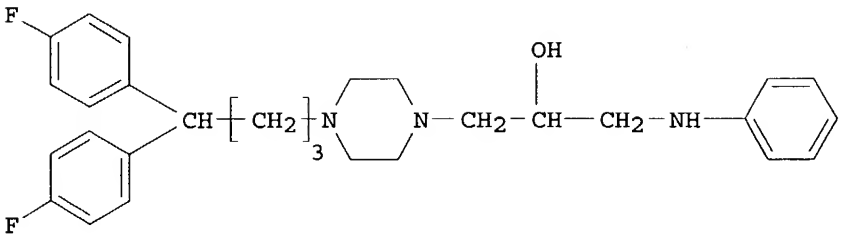


RN 600694-08-4 CAPLUS
CN Carbamic acid, [3-[[tetrahydro-4,6-dioxo-1-(4-phenoxyphenyl)-2-thioxo-5(2H)-pyrimidinylidene]methyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 26 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:645689 CAPLUS
DOCUMENT NUMBER: 140:70288
TITLE: Novel diphenylalkyl piperazine derivatives with high affinities for the dopamine transporter
AUTHOR(S): Kimura, Makoto; Masuda, Tomoko; Yamada, Koji; Mitani, Masaki; Kubota, Nobuo; Kawakatsu, Nobuyuki; Kishii, Kenichi; Inazu, Masato; Kiuchi, Yuji; Oguchi, Katsuji; Namiki, Takayuki
CORPORATE SOURCE: Pharmaceutical R&D Laboratories, Inc., POLA Chemical Industries, Inc., Yokohama, Kanagawa, 244-0812, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(18), 3953-3963
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



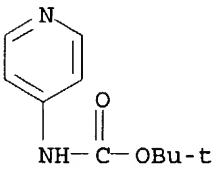
I

AB The novel di-Ph piperazine derivs. containing the Ph substituted aminopropanol moiety, including 1-[4,4-bis(4-fluorophenyl)butyl]-4-[2-hydroxy-3-(phenylamino)propyl]piperazine I, which were modified at the connective between the di-Ph and piperazine moieties, have been found to be potent dopamine uptake inhibitors. To study the further structure-activity relationship (SAR) of these compds., a new series was synthesized, with modifications at the 2-hydroxy-3-phenylaminopropyl moiety of I. The series was evaluated for dopamine transporter (DAT) binding affinity with [3H]GBR12935 in rat striatal membranes. Most of the compds. showed moderate to high DAT binding affinities and some were approx. equivalent in activity to compound I or GBR12909 as a dopamine uptake inhibitor, with IC50 values of nanomolar range. The SAR suggested that on exhibiting a potent interaction with the DAT, there is probably a steric limitation around the benzene ring of the phenylamino moiety of I, allowing only small-sized substituents with the exception of basic moieties at the 4-position. In addition, the SAR at the 3-amino-2-propanol moiety of I suggested that either the nitrogen atom with an electron donating substituent or the unsubstituted nitrogen atom and also the hydroxy group are desirable for elicitation of a potent DAT binding affinity.

IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(diphenylalkyl piperazine derivs. with high affinities for dopamine transporter)

RN 98400-69-2 CAPLUS

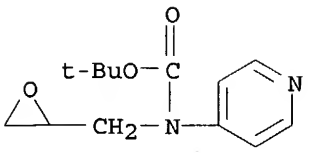
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



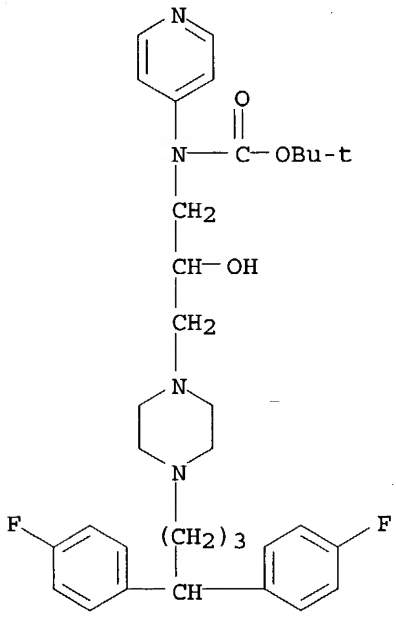
IT **641637-99-2P 641638-01-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(diphenylalkyl piperazine derivs. with high affinities for dopamine transporter)

RN 641637-99-2 CAPLUS

CN Carbamic acid, (oxiranylmethyl)-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



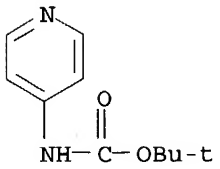
RN 641638-01-9 CAPLUS
CN Carbamic acid, [3-[4-[4,4-bis(4-fluorophenyl)butyl]-1-piperazinyl]-2-hydroxypropyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



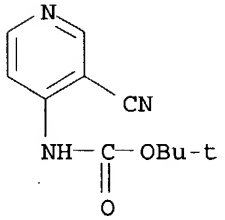
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 27 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:564654 CAPLUS
DOCUMENT NUMBER: 139:260766
TITLE: An efficient synthesis of cyanoarenes and cyanoheteroarenes via lithiation followed by electrophilic cyanation
AUTHOR(S): Sato, Nobuhiro; Yue, Qi
CORPORATE SOURCE: Graduate School of Integrated Science, Yokohama City University, Yokohama, 236-0027, Japan
SOURCE: Tetrahedron (2003), 59(31), 5831-5836
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:260766
AB A 1-pot procedure for the conversion of mono-substituted arenes and heteroarenes into the ortho-cyano derivs. was achieved through directed lithiation followed by electrophilic cyanation with Ph cyanate. This reaction method proved to be applicable to halogen-Li exchanged intermediates, so especially useful for the synthesis of benzonitriles. The scope of the reaction sequence was explored using a number of substrates.
IT **98400-69-2**, 4-(tert-Butoxycarbonylamino)pyridine

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cyanoarenes and cyanoheteroarenes via lithiation followed by electrophilic cyanation)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **116799-24-7P**, 4-(tert-Butoxycarbonylamino)-3-pyridinecarbonitrile
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of cyanoarenes and cyanoheteroarenes via lithiation followed by electrophilic cyanation)
RN 116799-24-7 CAPLUS
CN Carbamic acid, (3-cyano-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

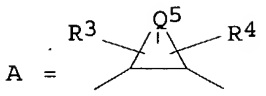


REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 28 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:508525 CAPLUS
DOCUMENT NUMBER: 139:85363
TITLE: Preparation of diamine derivatives as factor Xa inhibitors and anticoagulants, and their use for treatment of diseases
INVENTOR(S): Ota, Toshiharu; Komoritani, Satoshi; Yoshino, Toshiharu; Uoto, Koichi; Nakamoto, Yumi; Naito, Hiroyuki; Mochizuki, Akiyoshi; Nagata, Tsutomu; Kanno, Hideyuki; Haginoya, Noriyasu; Yoshikawa, Kenji; Nagamochi, Masatoshi; Kobayashi, Shozo
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 284 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003183286	A2	20030703	JP 2001-398959	20011228
PRIORITY APPLN. INFO.:			JP 2001-311909 A	20011009

OTHER SOURCE(S): MARPAT 139:85363
GI

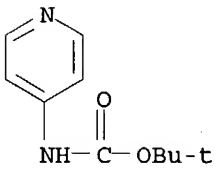


AB The derivs. are Q1Q2T0NR1Q3NR2R1Q4 [Q1 = (substituted) 5- to 6-membered cyclic hydrocarbyl, (substituted) 5- to 7-membered heterocyclyl, etc; Q2 = single bond, (substituted) 5- to 6-membered cyclic hydrocarbylene, etc.; Q3 = A; Q4 = (substituted) aryl, (substituted) arylalkenyl, etc.; Q5 = C1-8 alkylene, C2-8 alkenylene, etc.; T0 = (thio)carbonyl; T1 = carbonyl, sulfonyl, etc.; R1, R2 = H, OH, alkyl, alkoxy; R3, R4 = H, OH, alkyl, etc.], their salts, solvates, or N-oxides. Thus, (±)-trans-N-[(5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]-1,2-cyclopentanediamine HCl salt was amidated with 5-chloroindole-2-carboxylic acid to give I which inhibited human factor Xa with IC50 86 nM in vitro.

IT **98400-69-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diamine derivs. as factor Xa inhibitors for anticoagulants)

RN 98400-69-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 29 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:454109 CAPLUS
DOCUMENT NUMBER: 139:36348
TITLE: Preparation of N-aryl-N'-arylcycloalkyl-urea derivatives as MCH antagonists for the treatment of obesity
INVENTOR(S): Clader, John W.; Palani, Anandan; Xu, Ruo; McBriar, Mark D.; Su, Jing; Tang, Haiqun
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 253 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047568	A1	20030612	WO 2002-US38408	20021203

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,

CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

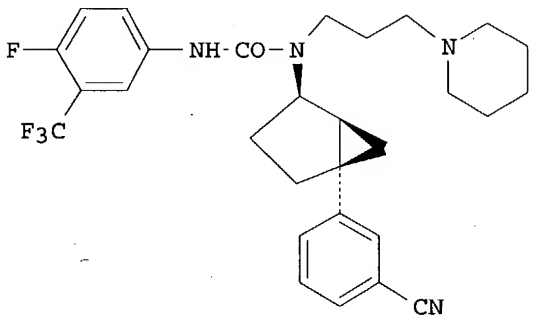
US 2004122017	A1	20040624	US 2002-308782	20021203
EP 1453501	A1	20040908	EP 2002-782401	20021203

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.: US 2001-337262P P 20011204
US 2002-399853P P 20020731
WO 2002-US38408 W 20021203

OTHER SOURCE(S): MARPAT 139:36348

GI



I

AB The present invention discloses N-aryl-N'-arylcycloalkylureas (Ar2N(R2)C(:X)N(YR1)(ZAr1); I; variables defined below; e.g. N'-(3-trifluoro-4-fluorophenyl)-N-[trans-4-(3-cyanophenyl)-4-hydroxycyclohexyl]-N-[2-(1-pyrrolidinyl)ethyl]urea hydrochloride), which are novel antagonists for melanin-concentrating hormone (MCH), as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such MCH antagonists as well as methods of using them to treat obesity, metabolic disorders, eating disorders such as hyperphagia, and diabetes. For I: Ar1 is aryl, heteroaryl, (R7)p-substituted aryl or (R7)p-substituted heteroaryl (p = 1-3; each R7 = alkyl, cycloalkyl, halo, -CN, alkoxy, -CF3, -OCF3, pyrazolyl, etc.). Ar2 is aryl, heteroaryl, (R7)p-substituted aryl or (R7)p-substituted heteroaryl (p = 1-3; each R7 = alkyl, cycloalkyl, halo, -CN, alkoxy, -CF3, -OCF3, pyrazolyl, etc.); X is O, S or N-(CN); Y is a single bond or alkylene; Z is a C4-C8 cycloalkylene or C4-C8 heterocycloalkylene; or R1 is -N(R3)2, -N(H)C(O)alkyleneN(R3)2, -C(O)N(H)alkyleneN(R3)2, -C(O)N(alkyl)alkyleneN(R3)2, -alkyleneC(H)(OH)alkyleneN(R3)2, -N(alkyl)alkyleneN(R3)2, -N(H)alkyleneC(O)R5, -N(alkyl)alkyleneN(alkyl)SO2R5 or -N(alkyl)alkyleneC(O)N(R3)2; R2 = H, alkyl; addnl. details are given in the claims. Although the methods of preparation are not claimed, many example prepns. and characterization data for hundreds of I are included. Ki values for binding of many I to the MCH receptor are tabulated; they range from 1 to 600 nM, e.g. 1.6 nM for II.

IT **540786-13-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

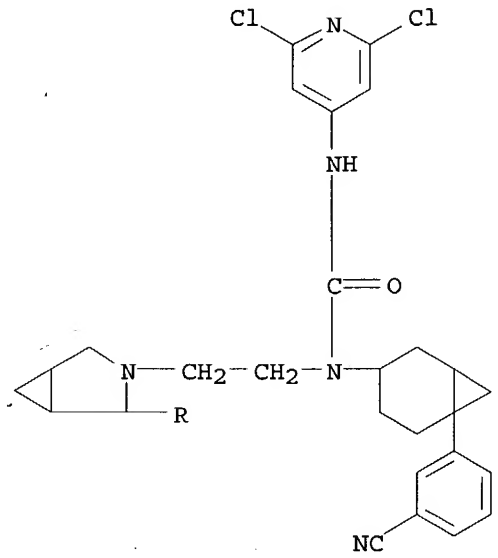
(drug candidate; preparation of N-aryl-N'-arylcycloalkyl-urea derivs. as MCH antagonists for treatment of obesity)

RN 540786-13-8 CAPLUS

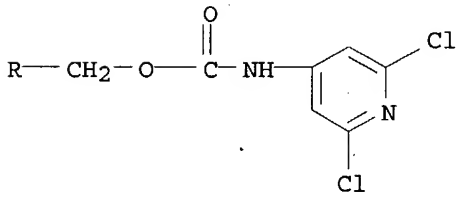
CN Carbamic acid, (2,6-dichloro-4-pyridinyl)-, [3-[2-[[6-(3-cyanophenyl)bicyclo[4.1.0]hept-3-yl][[(2,6-dichloro-4-

pyridinyl)amino]carbonyl]amino]ethyl]-3-azabicyclo[3.1.0]hex-2-yl]methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

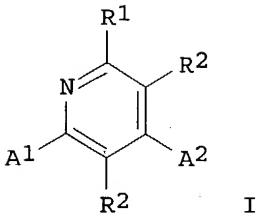
L8 ANSWER 30 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:386737 CAPLUS
DOCUMENT NUMBER: 138:385309
TITLE: Preparation of pyridines and pesticides containing them
INVENTOR(S): Mizuno, Hajime; Sakamoto, Noriyasu
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003146971	A2	20030521	JP 2001-341624	20011107
PRIORITY APPLN. INFO.:			JP 2001-341624	20011107

OTHER SOURCE(S): MARPAT 138:385309

10/730,495

GI



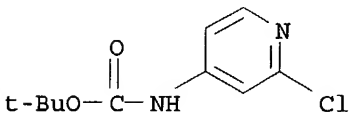
I

AB Pyridines I [A1 or A2 = C3-7 (halo)alkynyloxy; the other = C3-7 (halo)alkynyloxy, (un)substituted Ph(O); R1-R3 = H, C1-4 alkyl], useful as acaricides, nematocides (no data), and insecticides are prepared Thus, condensation of 4-phenyl-2-methylsulfonylpyridine with 2-propyn-1-ol gave 4-phenyl-6-(2-propynyloxy)pyridine, which showed 100% insecticidal effect on Frankliniella occidentalis.

IT **234108-73-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridines as pesticides)

RN 234108-73-7 CAPLUS

CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 31 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:376570 CAPLUS

DOCUMENT NUMBER: 138:368776

TITLE: Preparation of azabicyclo[3.2.1]octanols and related compounds as superior agonists for nociceptin receptor ORL-1

INVENTOR(S): Tulshian, Deen; Ho, Ginny D.; Ng, Fay W.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039469	A2	20030515	WO 2002-US35539	20021106
WO 2003039469	A3	20031016		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003119847	A1	20030626	US 2002-288976	20021106
US 6727254	B2	20040427		
EP 1442036	A2	20040804	EP 2002-802860	20021106

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.: US 2001-333284P P 20011107
WO 2002-US35539 W 20021106

OTHER SOURCE(S): MARPAT 138:368776

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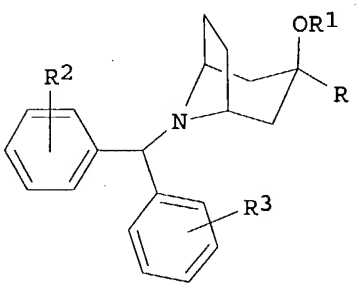


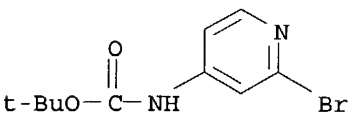
I

AB Azabicyclo[3.2.1]octanes (shown as I; variables defined below; e.g. 8-[bis(2-chlorophenyl)methyl]-3-(2-pyrimidinyl)-8-azabicyclo[3.2.1]octan-3-ol) or a pharmaceutically acceptable salt or solvate thereof, pharmaceutical compns. thereof, and the use of said compds. in the treatment of pain, anxiety, cough, asthma, depression and alc. abuse are disclosed. For I: R is R4-heteroaryl or 1,4,5,6-tetrahydropyrimidin-2-yl; R1 is H or C1-C6 alkyl; R2 and R3 = -CH3, -OCH3, fluoro, chloro, bromo and iodo; R4 = 1 to 4 H, halo, (C1-C6) alkyl, -CN, -CF3, -OCF3, -(CH2)nOR5, -(CH2)nNR5R6, -(CH2)nNHSO2R5, -(CH2)nNH(CH2)2NR5R6, -(CH2)nNHC(O)NR5R7, -(CH2)NH(CH2)2OR5 and 1-piperazinyl; n is 0-3; R5 and R6 = H and C1-C3-alkyl; and R7 is H, C1-C3-alkyl or amino(C1-C3)alkyl. Although the methods of preparation are not claimed, 20 example prepns. are included. Ki values for binding of I to nociceptin are reported for 9 examples, e.g. 1.3 nM for 8-[bis(2-chlorophenyl)methyl]-3-(5-bromo-2-pyridinyl)-8-azabicyclo[3.2.1]octan-3-ol. The agonist activity (EC50) of I are 20-200 nM. Example tablet and capsule formulations and methods for their manufacture are described.

IT **433711-95-6P**, 2-Bromo-4-(tert-Butoxycarbonylamino)pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azabicyclooctanols and related compds. as superior agonists for nociceptin receptor ORL-1)

RN 433711-95-6 CAPLUS

CN Carbamic acid, (2-bromo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



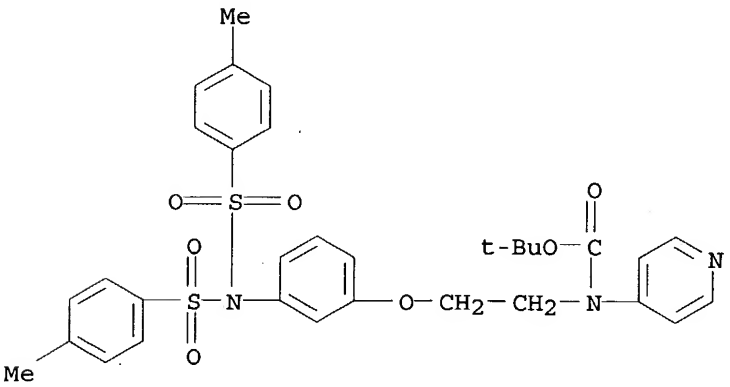
L8 ANSWER 32 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:215211 CAPLUS
DOCUMENT NUMBER: 138:362154

TITLE: Generation of Ligand Conformations in Continuum Solvent Consistent with Protein Active Site Topology: Application to Thrombin
AUTHOR(S): Greenidge, Paulette A.; Merette, Sandrine A. M.; Beck, Richard; Dodson, Guy; Goodwin, Christopher A.; Scully, Michael F.; Spencer, John; Weiser, Joerg; Deadman, John J.
CORPORATE SOURCE: Chemistry Department, Drug Discovery Division, and Biochemistry Department, Thrombosis Research Institute, London, SW3 6LR, UK
SOURCE: Journal of Medicinal Chemistry (2003), 46(8), 1293-1305
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:362154

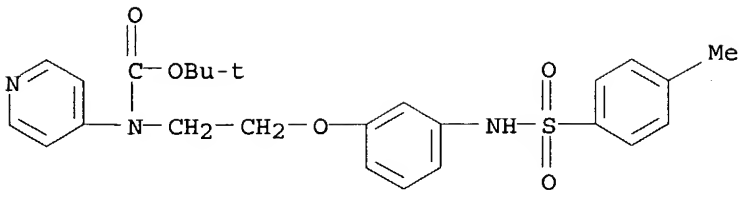
AB Using the crystal structure of an inhibitor complexed with the serine protease thrombin (PDB code 1UVT) and the functional group definitions contained within the Catalyst software, a representation of the enzyme's active site was produced (structure-based pharmacophore model). A training set of 16 homologous non-peptide inhibitors whose conformations had been generated in continuum solvent (MacroModel) and clustered into conformational families (XCluster) was regressed against this pharmacophore so as to obtain a 3D-QSAR model. To test the robustness of the resulting QSAR model, the synthesis of a series of non-peptide thrombin inhibitors based on arylsuphonyl derivs. of an aminophenol ring linked to a pyridyl-based S1 binding group was undertaken. These compds. served as a test set (20-24). The crystal structure for the novel sym. disulfonyl compound 24, in complex with thrombin, has been solved. Its calculated binding mode is in general agreement with the crystallog. observed one, and the predicted Ki value is in close accord with the exptl. value.

IT **524705-79-1P 524705-80-4P 524705-81-5P 524705-82-6P**
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(generation of ligand conformations in continuum solvent consistent with protein active site topol.: application to thrombin)

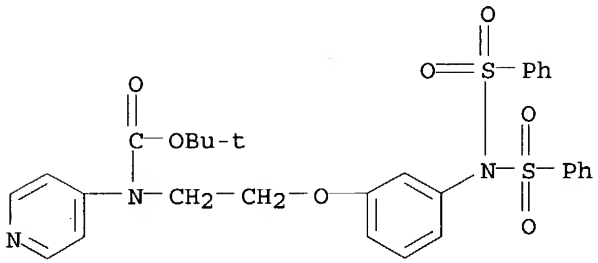
RN 524705-79-1 CAPLUS
CN Carbamic acid, [2-[3-[bis[(4-methylphenyl)sulfonyl]amino]phenoxy]ethyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



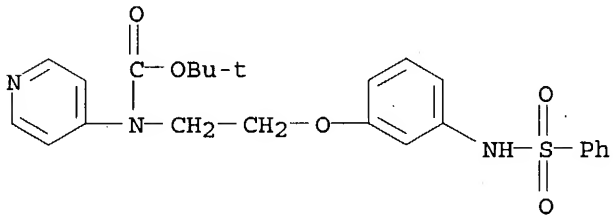
RN 524705-80-4 CAPLUS
CN Carbamic acid, [2-[3-[[(4-methylphenyl)sulfonyl]amino]phenoxy]ethyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



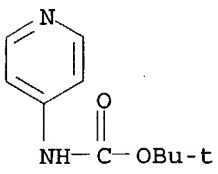
RN 524705-81-5 CAPLUS
CN Carbamic acid, [2-[3-[bis(phenylsulfonyl)amino]phenoxy]ethyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



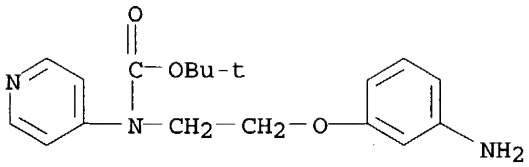
RN 524705-82-6 CAPLUS
CN Carbamic acid, [2-[3-[(phenylsulfonyl)amino]phenoxy]ethyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **98400-69-2P 524705-78-0P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(generation of ligand conformations in continuum solvent consistent with protein active site topol.: application to thrombin)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 524705-78-0 CAPLUS
CN Carbamic acid, [2-(3-aminophenoxy)ethyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



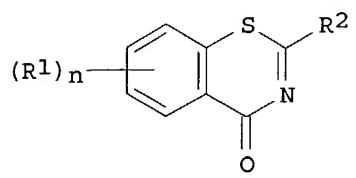
REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 33 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:202637 CAPLUS
DOCUMENT NUMBER: 138:238199
TITLE: Preparation of 2-heterocyclyl-1,3-benzothiazinone derivatives as inhibitors of apoptosis or cytoprotective agents
INVENTOR(S): Kajino, Masahiro; Kawada, Akira; Nakayama, Yutaka; Kimura, Haruhide
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 400 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020719	A1	20030313	WO 2002-JP8866	20020902
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004075652	A2	20040311	JP 2002-256766	20020902
EP 1424336	A1	20040602	EP 2002-762953	20020902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: JP 2001-265743 A 20010903
JP 2002-180528 A 20020620
WO 2002-JP8866 W 20020902

OTHER SOURCE(S): MARPAT 138:238199
GI



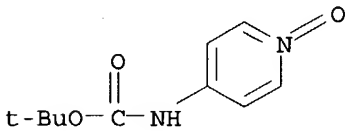
I

AB Compds. represented by the following general formula (I) or salts thereof (wherein R1 represents hydrogen, halogeno, hydroxy, nitro, optionally halogenated alkyl, optionally substituted alkoxy, acyl or optionally substituted amino; R2 represents pyridyl, furyl, thienyl, pyrrolyl, quinolyl, pyrazinyl, pyrimidinyl, pyridazinyl, indolyl, tetrahydroquinolyl or thiazolyl, each optionally substituted; and n is 1 or 2), which and have a high safety and favorable effects of inhibiting cell death and binding to macrophage migration inhibitory factor (MIF), are prepared Also disclosed are apoptosis inhibitors, cytoprotective agents, or myocardial cell death inhibitors, or preventives/remedies for diseases caused by apoptosis or MIF which contain the compds. of the general formula (I), in particular for the prevention and/or treatment of circulatory diseases, bone or joint diseases, infectious diseases, inflammatory bowel diseases, or kidney. They are also useful for the prevention and/or treatment of heart diseases, heart failure syndromes, neurodegenerative diseases, brain vascular diseases, central nerve infections, traumatic diseases, demyelinating diseases, liver diseases, myelodysplastic syndrome, AIDS, cancer, etc. Thus, a mixture of 0.67 g thiosalicylic acid Me ester, 2-cyano-6-propylthiopyridine, and 0.84 mL Et3N in 50 mL toluene was refluxed for 48 h to give 37% 2-(6-propylthio-2-pyridyl)-4H-1,3-benzothiazin-4-one which was oxidized by m-chloroperbenzoic acid in EtOAc at room temperature for 18 h to give 2-(6-propylsulfinyl-2-pyridyl)-4H-1,3-benzothiazin-4-one (II). II was further oxidized by m-chloroperbenzoic acid in EtOAc at room temperature for 18 h to give 41% 2-(6-propylsulfonyl-2-pyridyl)-4H-1,3-benzothiazin-4-one (III). II and III showed the minium effective concentration of 0.019 and 0.010 μM, resp., for inhibiting apoptosis of new born rat's first generation myocardial cells. A tablet and a capsule formulation containing 2-(6-methylsulfonyl-2-pyridyl)-4H-1,3-benzothiezin-4-one were described.

IT **205044-50-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyanation with trimethylsilyl cyanide; preparation of heterocyclylbenzothiazinone derivs. as inhibitors of apoptosis or cytoprotective agents)

RN 205044-50-4 CAPLUS

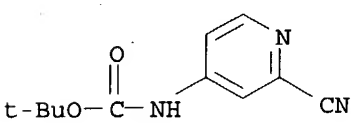
CN Carbamic acid, (1-oxido-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **262295-94-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclylbenzothiazinone derivs. as inhibitors of apoptosis or cytoprotective agents)

RN 262295-94-3 CAPLUS

CN Carbamic acid, (2-cyano-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 34 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:154422 CAPLUS
DOCUMENT NUMBER: 138:205076
TITLE: Preparation of diamines as factor Xa inhibitors
INVENTOR(S): Ohta, Toshiharu; Komoriya, Satoshi; Yoshino, Toshiharu; Uoto, Kouichi; Nakamoto, Yumi; Naito, Hiroyuki; Mochizuki, Akiyoshi; Nagata, Tsutomu; Kanno, Hideyuki; Haginoya, Noriyasu; Yoshikawa, Kenji; Nagamochi, Masatoshi; Kobayashi, Syozo; Ono, Makoto
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 847 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016302	A1	20030227	WO 2002-JP8119	20020808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 2003000657	A1	20030103	WO 2002-JP2683	20020320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 2003000680	A1	20030103	WO 2002-JP6141	20020620
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EP 1415992	A1	20040506	EP 2002-762760	20020808
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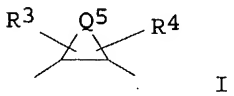
BR 2002011565	A	20040629	BR 2002-11565	20020808
PRIORITY APPLN. INFO.:			JP 2001-243046 A	20010809
			JP 2001-311808 A	20011009

JP 2001-398708	A	20011228
WO 2002-JP2683	A	20020320
WO 2002-JP6141	A	20020620
JP 2001-187105	A	20010620
WO 2002-JP8119	W	20020808

OTHER SOURCE(S): MARPAT 138:205076
GI

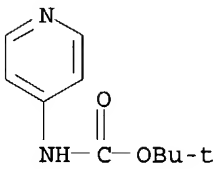


I

AB The title compds. Q1-Q2-T0-N(R1)-Q3-N(R2)-T1-Q4 [R1 and R2 represent each hydrogen, etc.; Q1 represents optionally substituted, saturated or unsatd. 5- or 6-membered hydrocarbyl, etc.; Q2 represents a single bond, etc.; Q3 represents I wherein Q5 represents C1-8 alkylene, etc.; R3, R4 represent each hydrogen, alkyl, etc.; Q4 represents (un)substituted aryl, etc.; and T0 and T1 represent each carbonyl, etc.] are prepared I are useful as antithrombotics, etc. Several compds. of this invention showed IC50 values of 1.2 nM to 3.5 nM against factor Xa.

IT **98400-69-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diamines as factor Xa inhibitors)

RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

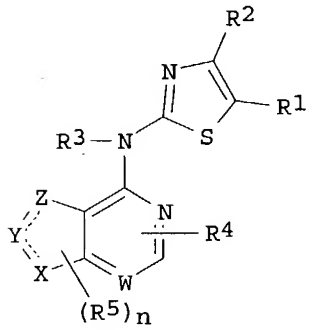


REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 35 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:97306 CAPLUS
DOCUMENT NUMBER: 138:137303
TITLE: Preparation of fused heterocycle substituted aminothiazolecarbonitriles as tyrosine kinase inhibitors
INVENTOR(S): Bilodeau, Mark T.; Manley, Peter J.; Hartman, George D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003009852	A1	20030206	WO 2002-US23191	20020719

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2001-307443P P 20010724
OTHER SOURCE(S): MARPAT 138:137303
GI



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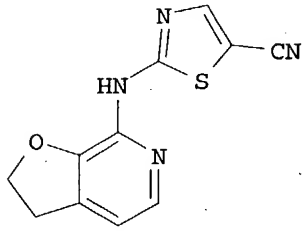


II

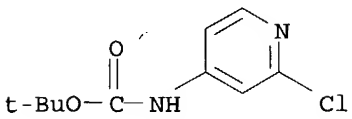
AB The present invention relates to the preparation of title compds. I [wherein X, Y, and Z = C, S, N, or O, provided that at least one of X, Y, or Z = C; W = C or N; n = 0-6; R1, R2, and R4 = independently H, perfluoroalkyl(oxy), OH, CN, halo, or (un)substituted (CO)rOs-alkyl, (CO)rOs-alkenyl, (CO)rOs-alkynyl, (CO)rOs-aryl, (CO)rOs-heterocyclyl, or alkyl-NRaRb; R3 = H, SO2Rc, (CO)rRc, or CO2Rc; R5 = R3 or Or(CO)sNRaRb, halo, OH, oxo, perfluoroalkyl(oxy), CHO, CO2H, CN, or (un)substituted (CO)rOs-aryl, (CO)rOs-heterocyclyl, or (CO)rOs-alkyl; r = 0-1; s = 0-1; Ra and Rb = independently H, SO2Rc, CO2Rc, or (un)substituted (CO)r-alkyl, (CO)r-heterocyclyl, or (CO)r-aryl; or NRaRb = (un)substituted monocyclic or bicyclic heterocycle; Rc = (un)substituted alkyl, aryl, benzyl, or heterocyclyl; or pharmaceutically acceptable salts or stereoisomers thereof], which inhibit, regulate, and/or modulate tyrosine kinase signal transduction, compns. which contain these compds., and methods of using them to treat tyrosine kinase-dependent diseases and conditions. For example, 7-bromofuro[2,3-c]pyridine was converted to the amine using benzophenone imine, NaOBu-t, racemic BINAP, and Pd2(dba)3 in dry toluene and then hydrogenated with 10% Pd/C in AcOH to give 2,3-dihydrofuro[2,3-c]pyridin-7-amine. Addition of 2-chloro-5-cyanothiazole in the presence of NaH in THF afforded the (furopyridinylamino)thiazolecarbonitrile II. In bioassays, I inhibited VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 values between 0.001 μM and 5.0 μM. Thus, I are useful for the treatment of angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, and the like in mammals (no data).

IT **234108-73-7P 494767-21-4P**, tert-Butyl 2-chloro-3-(2-hydroxyethyl)pyridin-4-ylcarbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of fused heterocycle substituted aminothiazolecarbonitriles as tyrosine kinase inhibitors)

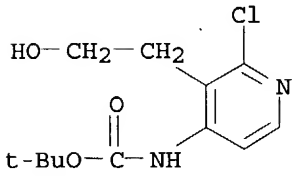
RN 234108-73-7 CAPLUS

CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA

INDEX NAME)



RN 494767-21-4 CAPLUS
CN Carbamic acid, [2-chloro-3-(2-hydroxyethyl)-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 36 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:89919 CAPLUS
DOCUMENT NUMBER: 138:247939
TITLE: Discovery of an orally efficacious inhibitor of coagulation factor Xa which incorporates a neutral P1 ligand
AUTHOR(S): Choi-Sledeski, Yong Mi; Kearney, Robert; Poli, Gregory; Pauls, Henry; Gardner, Charles; Gong, Yong; Becker, Michael; Davis, Roderick; Spada, Alfred; Liang, Guyan; Chu, Valeria; Brown, Karen; Collussi, Dennis; Leadley, Robert, Jr.; Rebello, Sam; Moxey, Phillip; Morgan, Suzanne; Bentley, Ross; Kasiewski, Charles; Maignan, Sebastien; Guilloteau, Jean-Pierre; Mikol, Vincent
CORPORATE SOURCE: Department of Medicinal Chemistry, Aventis Pharmaceuticals, Bridgewater, NJ, 08807-0800, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(5), 681-684
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:247939
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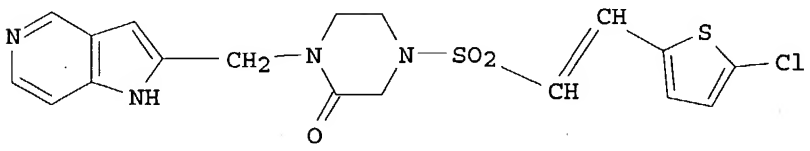


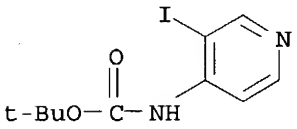
I

AB The discovery and SAR of ketopiperazino methylazaindole factor Xa inhibitors are described. Structure-activity data suggesting that this class of inhibitors does not bind in the canonical mode were confirmed by an X-ray crystal structure showing the neutral haloarom. bound in the S1 subsite. The most potent azaindole (I, RPR209685) is selective against

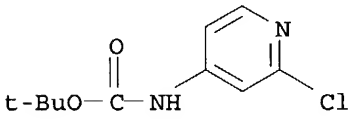
related serine proteases and attains higher levels of exposure upon oral dosing than comparable benzamidines and benzamidine isosteres. Compound I was efficacious in the canine AV model of thrombosis.

IT **211029-67-3P 234108-73-7P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(discovery of an orally efficacious inhibitor of coagulation factor Xa which incorporates a neutral P1 ligand)

RN 211029-67-3 CAPLUS
CN Carbamic acid, (3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

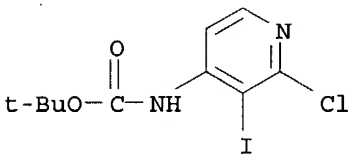


RN 234108-73-7 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **234108-74-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(discovery of an orally efficacious inhibitor of coagulation factor Xa which incorporates a neutral P1 ligand)

RN 234108-74-8 CAPLUS
CN Carbamic acid, (2-chloro-3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 37 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:5949 CAPLUS
DOCUMENT NUMBER: 138:89801
TITLE: Preparation of heterocyclic moiety-containing diamine derivatives as FXa inhibitors
INVENTOR(S): Ohta, Toshiharu; Komoriya, Satoshi; Yoshino, Toshiharu; Uoto, Kouichi; Nakamoto, Yumi; Naito, Hiroyuki; Mochizuki, Akiyoshi; Nagata, Tsutomu; Kanno, Hideyuki; Haginoya, Noriyasu; Yoshikawa, Kenji; Nagamochi, Masatoshi; Kobayashi, Syozo; Ono, Makoto
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 811 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000680	A1	20030103	WO 2002-JP6141	20020620
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WO 2003000657	A1	20030103	WO 2002-JP2683	20020320
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EP 1405852	A1	20040407	EP 2002-743653	20020620
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BR 2002010541	A	20040622	BR 2002-10541	20020620
WO 2003016302	A1	20030227	WO 2002-JP8119	20020808
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EP 1415992	A1	20040506	EP 2002-762760	20020808
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BR 2002011565	A	20040629	BR 2002-11565	20020808

PRIORITY APPLN. INFO.:

JP 2001-187105	A	20010620
JP 2001-243046	A	20010809
JP 2001-311808	A	20011009
JP 2001-398708	A	20011228
WO 2002-JP2683	W	20020320
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WO 2002-JP8119	W	20020808

OTHER SOURCE(S): MARPAT 138:89801
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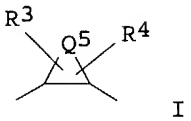


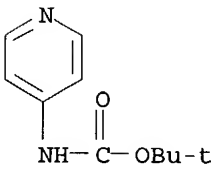
I

AB The title compds. Q1-Q2-T0-N(R1)-Q3-N(R2)-T1-Q4 [R1 and R2 represent each hydrogen, etc.; Q1 represents optionally substituted, saturated or unsatd. 5- or 6-membered hydrocarbyl, etc.; Q2 represents a single bond, etc.; Q3 represents I (wherein Q5 represents C1-8 alkylene, etc.; R3, R4 represent each hydrogen, etc.); Q4 represents (un)substituted aryl, etc.; and T0 and T1 represent each carbonyl, etc.] are prepared These compds. are useful as preventives and/or remedies for brain infarction, cerebral embolism, myocardial infarction, angina, thrombosis, etc. Compds. of this invention in vitro showed IC50 values of 1.4 nM to 92 nM against human FXa.

IT **98400-69-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic moiety-containing diamine derivs. as FXa inhibitors)

RN 98400-69-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 38 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:5928 CAPLUS
DOCUMENT NUMBER: 138:73271
TITLE: Preparation of N,N'-bis(heterocyclic acyl)cycloalkanediamine and heterocyclediamine derivatives as inhibitors of activated blood coagulation factor X (factor Xa)
INVENTOR(S): Ohta, Toshiharu; Komoriya, Satoshi; Yoshino, Toshiharu; Uoto, Kouichi; Nakamoto, Yumi; Naito, Hiroyuki; Mochizuki, Akiyoshi; Nagata, Tsutomu; Kanno, Hideyuki; Haginoya, Noriyasu; Yoshikawa, Kenji; Nagamochi, Masatoshi; Kobayashi, Syozo; Ono, Makoto
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 788 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000657	A1	20030103	WO 2002-JP2683	20020320

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EP 1405852 A1 20040407 EP 2002-743653 20020620

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BR 2002010541 A 20040622 BR 2002-10541 20020620

WO 2003016302 A1 20030227 WO 2002-JP8119 20020808

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EP 1415992 A1 20040506 EP 2002-762760 20020808

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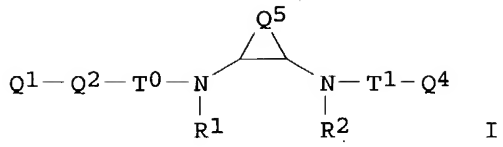
BR 2002011565 A 20040629 BR 2002-11565 20020808

PRIORITY APPLN. INFO.: JP 2001-187105 A 20010620
JP 2001-243046 A 20010809
JP 2001-311808 A 20011009
JP 2001-398708 A 20011228
WO 2002-JP2683 W 20020320
WO 2002-JP6141 W 20020620
WO 2002-JP8119 W 20020808

OTHER SOURCE(S): MARPAT 138:73271

GI



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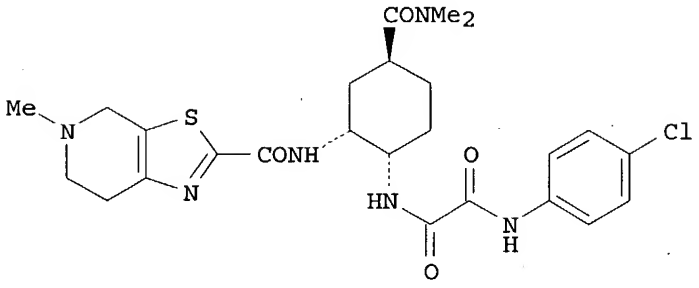


III

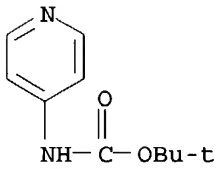
AB Diamine compds. represented by the following general formula [I; wherein R1, R2 = H, HO, alkoxy; Q1 = each (un)substituted and (un)saturated 5 or 6-membered cyclic hydrocarbyl, 5 to 7-membered heterocyclyl, or bicyclic or tricyclic fused hydrocarbyl or heterocyclyl; Q2 = a single bond, (un)substituted and (un)saturated bivalent cyclic hydrocarbon, 5 to 7-membered heterocycle, or bicyclic or tricyclic fused hydrocarbon or heterocyclic group; Q5 = C1-8 alkylene, C2-8 alkenylene, (CH2)mCH2-A-CH2(CH2)n (wherein m, n = an integer of 0-3); A = O, N, S, SO, SO2, NH, ONH, NHNH, SNH, SONH, SO2NH; R3 and R4 are groups substituted on C, N, or S in the ring containing Q5 and are selected from H,HO, alkyl, alkenyl, alkynyl, halo, haloalkyl, cyano, cyanoalkyl, NH2, aminoalkyl, N-alkylaminoalkyl, N,N-dialkylaminoalkyl, acyl, acylalkyl, (un)substituted acylaminoalkyl, etc.; Q4 = each (un)substituted aryl, arylalkenyl, arylalkynyl, heteroaryl, or heteroarylalkenyl, each (un)saturated and (un)saturated bicyclic or tricyclic fused hydrocarbyl or heterocyclyl; T0 = CO, thiocarbonyl; T1 = CO, SO2, CO-CO, N-(un)substituted CO-NR, C(:S)-CO-NR, CO-C(S)-NR, C(S)-C(:S)-NR (wherein R = H,HO, alkyl, alkoxy), etc.], salts thereof, solvates of the same, or N-oxides of the same are prepared The diamine compds. include N,N'-bis(heterocyclic acyl)-1,2-cyclopropanediamine, -1,2-cyclobutanediamine, 1,2-cyclopentanediamine, -1,2-cyclohexanediamine, 1,2-cycloheptanediamine, -1,2-cyclooctanediamine, -tetrahydro-3,4-furandiamine, -3,4-pyrrolidinediamine, -3,4-piperidinediamine, -tetrahydro-6-oxo-3,4-pyrandiamine, and -tetrahydro-3,4-thiopyrandiamine-1,1-dioxide derivs. These compds. are blood coagulation inhibitors and useful as preventives and/or remedies for thrombus or embolism including brain infarction, cerebral embolism, cardiac infarction, angina, pulmonary infarction, pulmonary embolism, Buerger's disease, deep venous thrombosis, disseminated intravascular coagulation syndrome, thrombosis following artificial flap/joint replacement, thrombosis and re-obstruction following blood flow reconstruction, systemic inflammatory reaction syndrome (SIRS), multiple organ dysfunction syndrome (MODS), thrombosis during external circulation or blood coagulation during blood collection. Thus, 288 mg 2-(4-chloroanilino)-2-oxoacetic acid Et ester was dissolved in 8.0 mL THF, treated with 46 mg LiOH and 1.0 mL H2O, stirred at room temperature for 2 h, concentrated in dryness under reduced pressure to give 292 mg crude 2-(4-chloroanilino)-2-oxoacetic acid lithium salt (II). II and N-[(1R,2S,5S)-2-amino-5-[(dimethylamino)carbonyl]cyclohexyl]-5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine-2-carboxamide (preparation given) were dissolved in 15 mL DMF and stirred with 164 mg 1-hydroxybenzotriazole hydrate and 251 mg 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temperature for 64.5 h to give a cyclohexanediamine derivative

(III). III.HCl showed IC50 of 1.2 nM against human factor Xa.

IT **98400-69-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N,N'-bis(heterocyclic acyl)cycloalkanediamine and heterocyclediamine derivs. as factor Xa and blood coagulation inhibitors for prevention and treatment of thrombus and embolism)

RN 98400-69-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 39 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:964146 CAPLUS
DOCUMENT NUMBER: 138:39187
TITLE: Preparation of piperidinecarboxylates and related compounds as NMDA NR2B receptor antagonists for the treatment or prevention of migraine.
INVENTOR(S): Allen, Christopher; Koblan, Ken S.; Sleeth, Timothy
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100352	A2	20021219	WO 2002-US21069	20020607
WO 2002100352	A3	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1399160	A2	20040324	EP 2002-744807	20020607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2001-297672P P 20010612
WO 2002-US21069 W 20020607

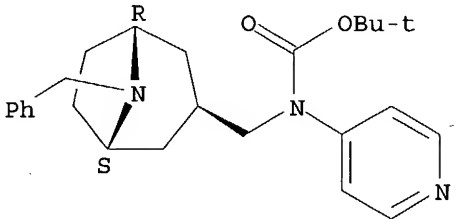
AB A method for treating or preventing migraines comprises administration of an NR2B receptor antagonist (no data). The invention also encompasses the combination of an NR2B antagonist with a cyclooxygenase-2 selective inhibitor, a calcitonin gene-related peptide receptor (CGRP) ligand, a leukotriene receptor antagonist, or a 5HT1B/1D agonist for the treatment or prevention of migraines. Thus, 4-hydroxybenzoic acid,

1-hydroxybenzotriazole hydrate, benzyl 4-(aminomethyl)piperidine-1-carboxylate (preparation given), and Et3N in DMF were treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and the mixture allowed to stir at room temperature for 18 h to give 4-[(4-hydroxybenzoylamino)methyl]piperidine-1-carboxylic acid benzyl ester.

IT **455267-33-1P 455267-35-3P 455267-36-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

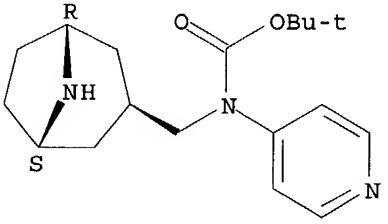
RN 455267-33-1 CAPLUS
CN Carbamic acid, [[(3-exo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl]methyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



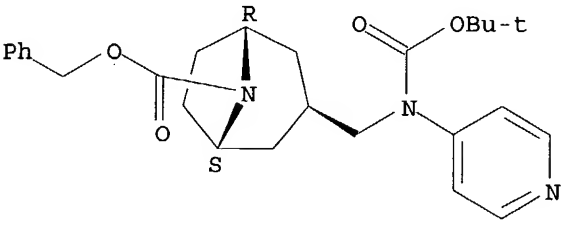
RN 455267-35-3 CAPLUS
CN Carbamic acid, [(3-exo)-8-azabicyclo[3.2.1]oct-3-ylmethyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 455267-36-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[[[(1,1-dimethylethoxy)carbonyl]-4-pyridinylamino]methyl]-, phenylmethyl ester, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L8 ANSWER 40 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:814110 CAPLUS
DOCUMENT NUMBER: 137:325425

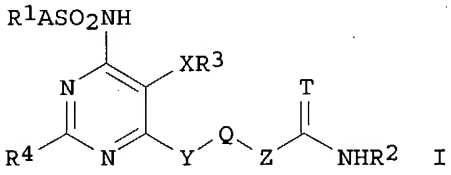
10/730,495

TITLE: Preparation of sulfonylaminopyrimidines as endothelin receptor antagonists.
INVENTOR(S): Bolli, Martin; Boss, Christoph; Clozel, Martine; Fischli, Walter; Weller, Thomas
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083650	A1	20021024	WO 2002-EP3947	20020409

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: WO 2001-EP4169 W 20010411
OTHER SOURCE(S): MARPAT 137:325425
GI



I

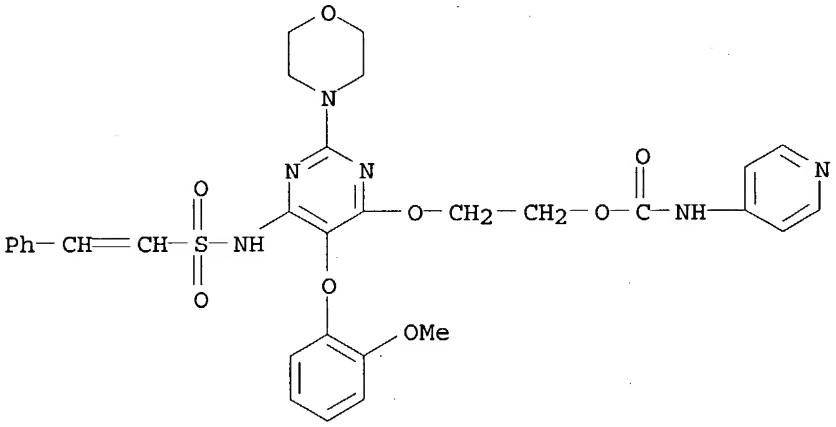
AB Title compds. [I; R1 = aryl, heteroaryl; R2 = alkyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; R3 = Ph, mono, di- or trisubstituted Ph substituted with alkyl, alkenyl, alkyloxy, CF3, halo, alkylthio, hydroxyalkyl, cyano, CO2H, alkyloxycarbonyl, alkanoyl, CHO; R4 = H, CF3, alkyl, alkylamino, alkyloxy, alkylsulfinyl, alkylthio, hydroxyalkyl, alkoxyalkyl, hydroxyalkoxyalkyl, hydroxyalkylamino, alkylaminoalkyl, amino, aryl, heteroaryl, heterocyclyl, heterocyclylalkoxy, heterocyclyloxy, heterocyclylamino, heterocyclylalkylamino, heterocyclylthio, heterocyclylalkylthio, heterocyclylalkyl, cycloalkyl, cycloalkyloxy, cycloalkylalkoxy, cycloalkylamino, cycloalkylalkylamino, cycloalkylalkyl, cycloalkylsulfinyl; A = CH2, CH2CH2, CH2CH2CH2, CH:CH, C.tplbond.C; T = O, S; X = O, S, CH2, bond; Y = O, S, NH; Q = (CH2)k, CH2C.tplbond.CCH2; k = 2, 3, 4; Z = O, NH], were prepared Thus, 2-picolinic acid azide and DMAP in CHCl3 were stirred for 1 h at 70°; 2-phenylethenesulfonic acid [6-(2-hydroxyethoxy)-5-(2-methoxyphenoxy)-2-pyridin-4-ylpyrimidin-4-yl]amide (preparation given) was added and the resulting solution was stirred for 16 h at 70° to give pyridin-2-ylcarbamic acid 2-[5-(2-methoxyphenoxy)-6-(2-phenylethenesulfonylamino)-2-pyridin-4-ylpyrimidin-4-yloxy]ethyl ester. I inhibited binding of endothelin to ETA receptors with IC50 = 1-14 nM.

IT **473536-91-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of sulfonylaminopyrimidines as endothelin receptor antagonists)

RN 473536-91-3 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 2-[[5-(2-methoxyphenoxy)-2-(4-morpholinyl)-6-[[(2-phenylethenyl)sulfonyl]amino]-4-pyrimidinyl]oxy]ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 41 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:754356 CAPLUS

DOCUMENT NUMBER: 137:279095

TITLE: Preparation of N-[biaryl(piperidinyl)ethyl]-N'-arylureas and analogs as melanin-concentrating hormone receptor antagonists

INVENTOR(S): Clader, John W.; Josien, Hubert B.; Palani, Anandan; Chan, Tin-Yau

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

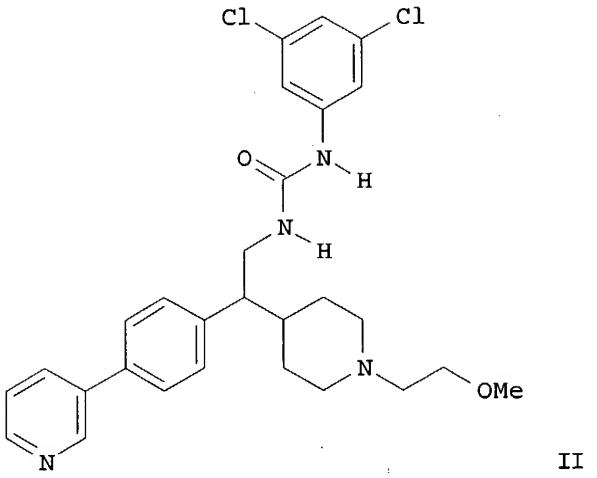
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076947	A1	20021003	WO 2002-US8338	20020320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003105094	A1	20030605	US 2002-100840	20020319
EP 1370528	A1	20031217	EP 2002-709850	20020320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008150	A	20040302	BR 2002-8150	20020320
NO 2003004169	A	20031118	NO 2003-4169	20030919
PRIORITY APPLN. INFO.:			US 2001-277584P	P 20010321

WO 2002-US8338 W 20020320

OTHER SOURCE(S): MARPAT 137:279095

GI



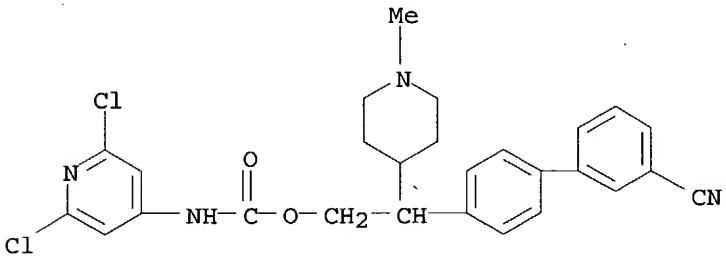
II

AB Title compds., e.g., RZCH(Z1R1)CH2Z2CONHR2 (Z = piperidine-1,4-diyl, Z1 = 1,4-phenylene)[I; R = H, (cyclo)alkyl, alkylsulfonyl, etc.; R1 = (un)substituted Ph or 3-pyridinyl; R2 = halophenyl, (un)substituted pyridinyl, etc.; Z2 = O or NH] were prepared Thus, BocZCH(Z1Br)CH2OH (preparation given) was aminated and the product condensed with 3,5-Cl2C6H3NCO to give BocZCH(Z2Br)CH2NHCONHC6H3Cl3-3,5 which was converted in 3 steps to title compound II. Data for biol. activity of title compds. were given.

IT **464157-83-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[biaryl(piperidinyl)ethyl]-N'-arylureas and analogs as melanin-concentrating hormone receptor antagonists)

RN 464157-83-3 CAPLUS

CN Carbamic acid, (2,6-dichloro-4-pyridinyl)-, 2-(3'-cyano[1,1'-biphenyl]-4-yl)-2-(1-methyl-4-piperidinyl)ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 42 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:676010 CAPLUS

DOCUMENT NUMBER: 137:216875

TITLE: Preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists

INVENTOR(S): Claiborne, Christopher F.; Butcher, John W.; Claremon,

David A.; Libby, Brian E.; Liverton, Nigel J.; Munson, Peter M.; Nguyen, Kevin T.; Phillips, Brian; Thompson, Wayne; McCauley, John A.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068409	A1	20020906	WO 2002-US5226	20020220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002165241	A1	20021107	US 2002-79452	20020220
EE 200300403	A	20031215	EE 2003-403	20020220
EP 1379520	A1	20040114	EP 2002-721105	20020220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007526	A	20040309	BR 2002-7526	20020220
JP 2004524314	T2	20040812	JP 2002-567923	20020220
NO 2003003732	A	20031022	NO 2003-3732	20030822
PRIORITY APPLN. INFO.:			US 2001-271100P P	20010223
			WO 2002-US5226 W	20020220

OTHER SOURCE(S): MARPAT 137:216875

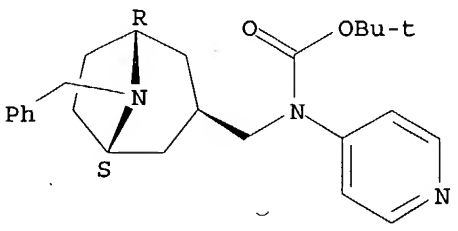
AB BQ1(X)ANHQ2 [Q1 = 5-7 membered N-containing nonarom. ring, azabicyclooctyl; Q2 = 5-6 membered (substituted) heteroaryl ring; A = alkylene; B = Ar(CH2)0-3O2C, Ar(CH2)0-3SO2, etc.; Ar = (substituted) aryl, heteroaryl; X = H, OH, F, alkyl, alkoxy, NH2, O], were prepared Thus, 1-[(benzyloxy)carbonyl]-4-piperidinecarboxylic acid, 4-aminopyridine, EDC, and HOAt were kept 4 h in DMF to give the amide, which was reduced with BH3.THF to give benzyl 4-[(4-pyridylamino)methyl]-1-piperidinecarboxylate. Title compds. showed IC50's of <50 μM for inhibition of NR1A/2B NMDA receptor activation.

IT **455267-33-1P 455267-35-3P 455267-36-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-acyl-4-(heterocyclylaminomethyl)piperidines as NMDA/NR2B antagonists)

RN 455267-33-1 CAPLUS

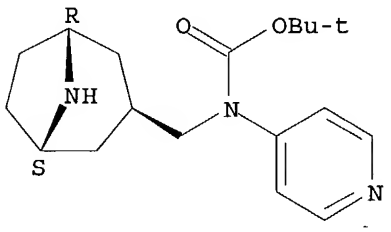
CN Carbamic acid, [[(3-exo)-8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl]methyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



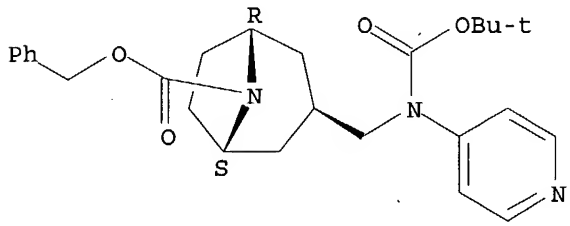
RN 455267-35-3 CAPLUS
CN Carbamic acid, [(3-exo)-8-azabicyclo[3.2.1]oct-3-ylmethyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 455267-36-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[[[(1,1-dimethylethoxy)carbonyl]-4-pyridinylamino]methyl]-, phenylmethyl ester, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 43 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:521731 CAPLUS
DOCUMENT NUMBER: 137:78966
TITLE: Preparation of substituted 3H-quinazolin-4-ones and 2H-benzo[1,2,4]thiadiazine-1,1-dioxides as alpha 1A/B adrenergic receptor antagonists for treatment of urinary tract disorders, sexual dysfunction, or pain
INVENTOR(S): Becker, Cyrus Kephra; Caroon, Jon Marie; Melville, Chris Richard; Padilla, Fernando; Pfister, Juerg Roland; Zhang, Xiaoming
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002053558	A1	20020711	WO 2001-EP14885	20011217

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,

UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

BR 2001016662	A	20030923	BR 2001-16662		20011217
EP 1363899	A1	20031126	EP 2001-985417		20011217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004519454	T2	20040702	JP 2002-554677		20011217
US 2003069230	A1	20030410	US 2002-40319		20020102
PRIORITY APPLN. INFO.:			US 2001-259337P	P	20010102
			US 2001-325267P	P	20010927
			WO 2001-EP14885	W	20011217

OTHER SOURCE(S): MARPAT 137:78966

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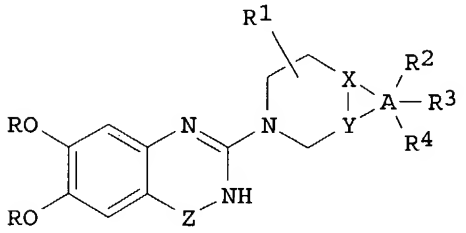

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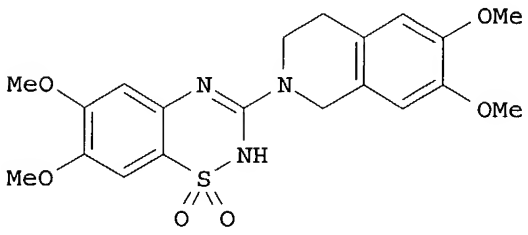
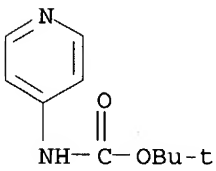


II

AB Title compds. I [wherein X = C or N; Y = C; A = fused 5-6 membered (hetero)aromatic ring; Z = CO or SO2; R = alkyl; R1 = H , alkyl, or (un)substituted aryl(alkyl) or arylaminocarbonyl; R2, R3, and R4 = independently H, alkyl, hydroxy(alkyl), alkoxy(alkyl), halo(alkyl), cyano(alkyl), or (un)substituted cycloalkyl(alkyl), aryl(alkyl), heterocyclyl(alkyl), heteroaryl(alkyl), amino(alkyl), ureido, sulfamoyl, acyl, carbamoyl, etc.; or C2R2R3 = (un)substituted (hetero)aryl; and isomers, pharmaceutically acceptable slats, or solvates thereof] were prepared as selective alpha-1A/B adrenoceptor antagonists. For example, 3-chloro-6,7-dimethoxy-2H-benzo[1,2,4]thiadiazine-1,1-dioxide and 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline were refluxed in methoxyethanol for 72 h to give II. In [3H]prazosin binding assays, the latter exhibited pKi values of 8.15, 8.79, and 7.18, resp., for binding toward α1A, α1B, and α1D adrenoceptor transfected CHO-K1 cells. Thus, I are useful for the treatment of urinary tract disorders and their symptoms, sexual dysfunction, or pain (no data). In addition, the subtype selectivity of I is expected to reduce the incidence of dose-limiting side effects, such as cardiovascular and CNS effects.

IT **98400-69-2**, Pyridin-4-ylcarbamic acid tert-butyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of quinazolinones and benzothiadiazines as α1 adrenergic receptor antagonists for treatment of urinary tract

disorders, sexual dysfunction, or pain)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 44 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:332188 CAPLUS
DOCUMENT NUMBER: 136:355235
TITLE: Preparation of tertiary N-(5,6,7,8-tetrahydro-8-quinolinyl)-N-(1H-benzimidazol-2-ylmethyl)amines and analogs as chemokine receptor modulators for treatment of HIV or FIV
INVENTOR(S): Bridger, Gary; Skerlj, Renato; Kaller, Al; Harwig, Curtis; Bogucki, David; Wilson, Trevor R.; Crawford, Jason; Mceachern, Ernest J.; Atsman, Berm; Nan, Siqiao; Zhou, Yuanxi; Schols, Dominique; Smith, Christopher Dennis; Di Fluri, Rosaria Maria
PATENT ASSIGNEE(S): Anormed Inc., Can.
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002034745	A1	20020502	WO 2001-US29590		20010919
WO 2002034745	C1	20030918			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1317451	A1	20030611	EP 2001-975290		20010917
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001013932	A	20030624	BR 2001-13932		20010917
AU 2001094628	A5	20020506	AU 2001-94628		20010919
JP 2004512336	T2	20040422	JP 2002-537736		20010919
US 2003028022	A1	20030206	US 2002-31812		20020328
US 6734191	B2	20040511			
NO 2003001161	A	20030313	NO 2003-1161		20030313
US 2004171638	A1	20040902	US 2004-799386		20040311
PRIORITY APPLN. INFO.:			US 2000-234510P	P	20000922
			US 2000-234816P	P	20000922
			US 2000-232819P	P	20000915

US 2000-232891P P 20000915
US 2000-233087P P 20000915
WO 2001-US29590 W 20010919
US 2002-31812 A1 20020328

OTHER SOURCE(S): MARPAT 136:355235

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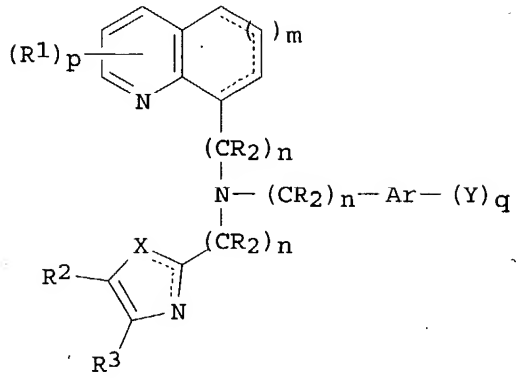

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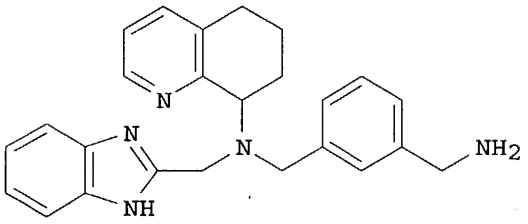


II

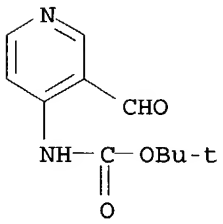
AB Title compds. I [wherein ring A optionally comprises a heteroatom selected from N, O, or S; R1-R3 = non-interfering substituents; R4 and R5 = independently H or (un)substituted alkyl, alkenyl, alkynyl, or acyl; or 2 R5 may form a cyclic amine, optionally containing 1 or more N, O, and/or S; R = independently H or alkyl; X = O or S or (un)substituted C or N; Y = independently halo, OH, SH, SO, SO2, non-N containing organic moiety, (CH2)xCN, (CR2)xNR52, (CR2)xNR(CR2)xNRR4, (CR2)xNR(CR2)xNR(CR2)xNR52, (CR2)xCO(CR2)xNR52, (CR2)xCO(CR2)xNR(CR2)xNRR4, (CR2)xCO(CR2)xNR(CR2)xNR(CR2)xNR52, (CR2)xNRCO(CR2)xNRR4, (CR2)xNRCO(CR2)xNR(CR2)xNR52, (CR2)xNRCO(CR2)xNR(CR2)xNR(CR2)xNR(CR2)xNR52, CH:NZ, (CR2)xZ, NR(CR2)xZ, (CR2)xNROH, (CR2)xCONROH, or (CR2)xCR:NOH; or 2 Y groups may be connected to form a fused ring with Ar; Z = (un)substituted (hetero)aryl; Ar = (hetero)aryl; m = 0-2; n = 0-2; p = 0-4; q = 0-3; x = 0-4; with provisos; and pharmaceutically acceptable salts and pro-drugs thereof] were prepared as modulators of chemokine receptor activities. For example, reductive addition of 3-cyanobenzaldehyde to 8-amino-5,6,7,8-tetrahydroquinoline using sodium triacetoxyborohydride in CH2Cl2 afforded N-(5,6,7,8-tetrahydro-8-quinolinyl)-3-cyanobenzylamine (81%). Alkylation with N-(tert-butoxycarbonyl)-2-chloromethylbenzimidazole using N,N-diisopropylethylamine and KI in MeCN (88%), followed by hydrogenation in the presence of Raney nickel (79%), gave the tertiary amine II (AMD9679). Compds. of the invention tested for inhibition of HIV-1 NL4.3 or IIIB replication in MT-4 cells exhibited EC50 values of 0.002 μM/mL to 20.0 μM/mL. Thus, I are useful for the treatment of human immunodeficiency virus (HIV) and/or feline immunodeficiency virus (FIV).

IT **116026-93-8**, (3-Formylpyridin-4-yl)carbamic acid tert-butyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of N-(tetrahydroquinolinyl)-N-(benzimidazolylmethyl)amines and analogs as chemokine receptor modulators for treatment of HIV or FIV)

RN 116026-93-8 CAPLUS

CN Carbamic acid, (3-formyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 45 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:256254 CAPLUS

DOCUMENT NUMBER: 136:294858

TITLE: Preparation of benzodiazepine derivatives as inhibitors of activated blood coagulation factor X

INVENTOR(S): Nakagawa, Tadakiyo; Tokumasu, Munetaka; Tashiro, Kazumi; Takahashi, Mitsuo; Kayahara, Takashi; Takehana, Shunji; Kajigaya, Yuki; Yoshida, Kaoru; Sakurai, Kuniya

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 311 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002026732	A1	20020404	WO 2001-JP8352		20010926
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2001092241	A5	20020408	AU 2001-92241		20010926
BR 2001014276	A	20030624	BR 2001-14276		20010926
EP 1329450	A1	20030723	EP 2001-972490		20010926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
ZA 2003002245	A	20040323	ZA 2003-2245		20030320
NO 2003001354	A	20030502	NO 2003-1354		20030325
US 2003186969	A1	20031002	US 2003-397219		20030327
PRIORITY APPLN. INFO.:			JP 2000-294240	A	20000927
			WO 2001-JP8352	W	20010926

OTHER SOURCE(S): MARPAT 136:294858

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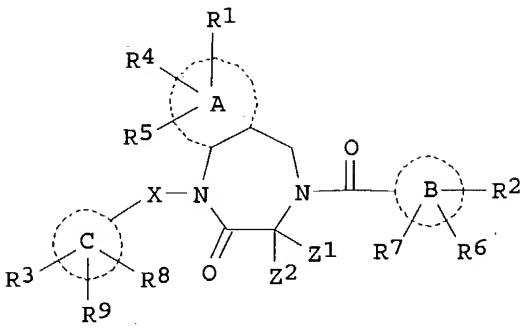


I

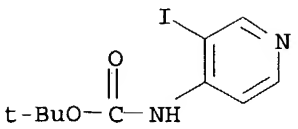
AB The title compds. [I; ring A = C6-10 aryl, C3-10 heteroaryl, C4-10 cycloalkyl group; R1 = H, halo, HO, C1-10 alkoxy, NO2, CHO, CF3, CF3O, CF3SO3, methylenedioxy, CONH2, thiocarbamoyl, C2-7 mono- or dialkylcarbamoyl, cyano, etc.; ring B or C = C6-10 aryl, C3-10 heteroaryl, pyrrolidinyl, piperidyl, piperazinyl; R2 = H, halo, HO, C1-10 hydroxyalkyl, C1-10 alkoxy, C1-10 alkoxyalkyl, NO2, CHO, CF3, CF3O, CONH2, thiocarbamoyl, C2-7 mono or dialkylcarbamoyl, NH2, C1-6 mono or dialkylamino, etc.; R3 = H, halo, HO, C1-10 alkoxy, NO2, CHO, CF3, CF3O, CONH2, thiocarbamoyl, C2-7 mono or dialkylcarbamoyl, methylenedioxy, cyano, C2-7 iminoalkyl, C1-8 acyl, piperidyloxy, etc.; R3 = H, C1-6 alkyl,halo, HO, C1-10 hydroxyalkyl, C1-10 alkoxy, C1-10 alkoxyalkyl, NO2, CHO, CF3O, CF3, CONH2, thiocarbamoyl, NH2, etc.; R4 - R9 = H, C1-6 alkyl, halo, HO, C1-10 hydroxyalkyl, C1-10 alkoxy, C1-10 alkoxyalkyl, NO2, CF3O, CF3, NH2, etc.; X = C1-6 alkylene optionally containing NH, CO, NHCO, CONH, or NHCONH; Z1, Z2 = H, halo, C1-6 alkyl,HO, C1-6 hydroxyalkyl, C2-6 alkoxyalkyl, C1-6 alkylthio, C2-8 alkylthioalkyl, C1-6 carbamoylalkyl, C6-10 aryl, C1-10 heteroaryl, etc.; or Z1 and Z2 form a ring wherein Z1-Z2 = ethylene, trimethylene, or tetramethylene] or their analogs or pharmaceutically acceptable salts thereof are prepared Because of showing an excellent, specific effect of inhibiting activated blood coagulation factor X, these compds. are useful as anticoagulants for preventives or remedies for various diseases in which activated blood coagulation factor X participates, in particular thrombus or embolism. Thus, 0.08 mmol 4-(4-chlorobenzoyl)-1-(4-piperidinylmethyl)-1,3,4,5-tetrahydro[e][1,4]diazepin-2-one monotrilfuoroacetate was dissolved in 3 mL CH2Cl2, treated with 12 mg AcOH, stirred at room temperature for 30 min, treated with 53 mg NaBH(OAc)3, and stirred at room temperature overnight to give

3% 4-(4-chlorobenzoyl)-1-(4-1-isopropyl-4-piperidinylmethyl)-1,3,4,5-tetrahydro[e][1,4]diazepin-2-one (II). II in vitro showed pIC50 of 6.1 and 4.4 against human activated blood coagulation factor X and human thrombin, resp., and human blood coagulation activity with pPT2 of 5.5.

IT **211029-67-3**, (3-Iodopyridin-4-yl)carbamic acid tert-butyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of fused benzodiazepine derivs. as inhibitors of activated blood coagulation factor X and anticoagulants of preventives or remedies for thrombus or embolism)

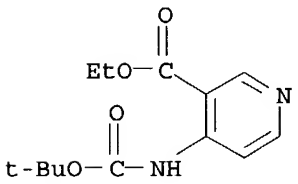
RN 211029-67-3 CAPLUS

CN Carbamic acid, (3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

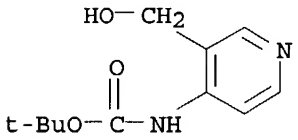


IT **407623-71-6P**, (3-Ethoxycarbonylpyridin-4-yl)carbamic acid tert-butyl ester **407623-72-7P**, (3-Hydroxymethylpyridin-4-yl)carbamic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused benzodiazepine derivs. as inhibitors of activated blood coagulation factor X and anticoagulants of preventives or remedies for thrombus or embolism)

RN 407623-71-6 CAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 407623-72-7 CAPLUS
CN Carbamic acid, [3-(hydroxymethyl)-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 46 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:220555 CAPLUS
DOCUMENT NUMBER: 136:247502
TITLE: Preparation of (2-azabicyclo[2.2.1]hept-7-yl)methanol derivatives as nicotinic acetylcholine receptor agonists
INVENTOR(S): Schiemann, Kai; Leibrock, Joachim
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022578	A1	20020321	WO 2001-EP10491	20010911

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10044905	A1	20020321	DE 2000-10044905		20000912
AU 2001085945	A5	20020326	AU 2001-85945		20010911
EP 1317430	A1	20030611	EP 2001-965274		20010911
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004509106	T2	20040325	JP 2002-526831		20010911
US 2004039045	A1	20040226	US 2003-380064		20030709
PRIORITY APPLN. INFO.:			DE 2000-10044905	A	20000912
			WO 2001-EP10491	W	20010911

OTHER SOURCE(S): MARPAT 136:247502

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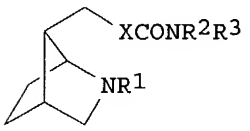


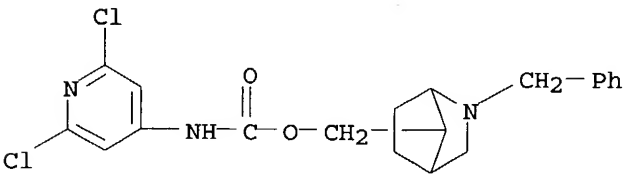
I

AB Title compds. [I; X = NH, NR4, O, S; R1 = H, (branched) (substituted) C1-10 alkyl, C5-10 aryl, C4-10 heteroaryl, acyl, thioacyl, carbonylcarboxy, N-organyl-substituted carbamoyl, organosulfonyl; R2-R4 = (substituted) C1-10 alkyl, C5-12 aryl, C4-12 heteroaryl] and physiol. compatible salt thereof were prepared as nicotinic acetylcholine receptor agonists (no data). Thus, 3-(2-benzyl-2-azabicyclo[2.2.1]hept-7-ylmethoxycarbonylamino)benzoic acid Et ester (preparation given) in MeOH and Pd/C were stirred under H2 atmosphere for 18 h to give 3-(2-azabicyclo[2.2.1]hept-7-ylmethoxycarbonylamino)benzoic acid Et ester, which was treated dropwise in THF and Et3N with (MeCO)2O, followed by stirring for 18 h at room temperature, to give 99% 3-(2-acetyl-2-azabicyclo[2.2.1]hept-7-ylmethoxycarbonylamino)benzoic acid Et ester.

IT **404830-35-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (azabicycloheptyl)methanol derivs. as nicotinic acetylcholine receptor agonists)

RN 404830-35-9 CAPLUS

CN Carbamic acid, (2,6-dichloro-4-pyridinyl)-, [2-(phenylmethyl)-2-azabicyclo[2.2.1]hept-7-yl]methyl ester (9CI) (CA INDEX NAME)

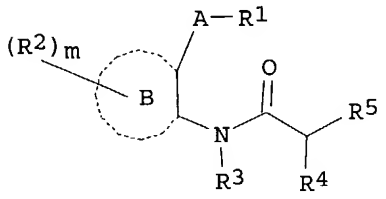


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 47 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:157726 CAPLUS
DOCUMENT NUMBER: 136:216543
TITLE: Carboxylic acid derivatives as prostaglandin E2 receptor antagonists and process for preparing them
INVENTOR(S): Tani, Kousuke; Asada, Masaki; Kobayashi, Kaoru; Narita, Masami; Ogawa, Mikio
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002016311	A1	20020228	WO 2001-JP7104	20010820
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001078771	A5	20020304	AU 2001-78771	20010820
EP 1312601	A1	20030521	EP 2001-956956	20010820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003216381	A1	20031120	US 2003-344989	20030220
PRIORITY APPLN. INFO.:			JP 2000-251365 A	20000822
			WO 2001-JP7104 W	20010820

OTHER SOURCE(S): MARPAT 136:216543
GI



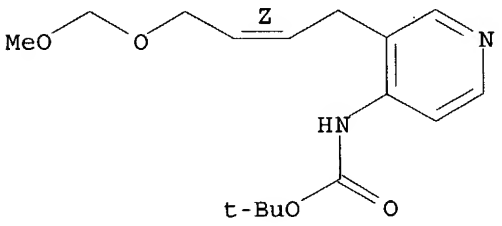
I

AB The title compds. I [R1 represents CO2H, etc.; A represents alkylene, etc.; R2 represents alkyl, alkenyl, alkynyl, etc.; m = 0 - 2; ring B represents a heterocycle, etc. ; R3 represents H, alkyl; R4 represents alkyl, cycloalkyl, etc.; and R5 represents a carbon ring or a heterocycle] are prepared I are antagonists of PGE2 receptors, in particular, subtypes EP3 and/or EP4 and are useful in the treatment of pain, allergy, Alzheimer's disease, cancer, etc. In an in vitro test for EP4 receptor antagonism, 4-[2-[2-(1-naphthyl)propanoylamino]phenyl]butanoic acid showed the Ki value of 0.3 μM. A formulation is given.

IT **402473-86-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carboxylic acid derivs. as prostaglandin E2 receptor antagonists)

RN 402473-86-3 CAPLUS
CN Carbamic acid, [3-[(2Z)-4-(methoxymethoxy)-2-butenyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

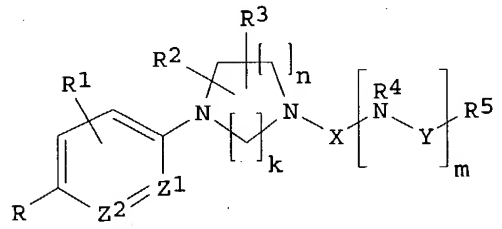


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 48 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:857479 CAPLUS
DOCUMENT NUMBER: 136:600
TITLE: Pharmaceuticals containing antiandrogen cyanophenyl compounds
INVENTOR(S): Taniguchi, Nobuaki; Kinoyama, Isao; Kamikubo, Takashi; Toshima, Hiroshi; Samizu, Kiyohiro; Kawanami, Eiji; Imamura, Masakazu; Moritomo, Hiroyuki; Matsuhisa, Akira; Hirano, Hiroaki; Miyasaki, Yoji; Nozawa, Shigenori; Okada, Minoru; Koutoku, Hiroshi; Ota, Mitsuaki
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2001328938	A2	20011127	JP 2001-69833		20010313
PRIORITY APPLN. INFO.:			JP 2000-75008	A	20000317

OTHER SOURCE(S): MARPAT 136:600
GI



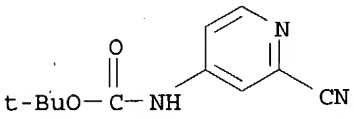
I

AB Pharmaceuticals, useful for treatment of prostatic cancer, prostatic hypertrophy, virilism, etc., contain cyanophenyl compds. I [R = cyano, NO2; R1 = H, halo, cyano, haloalkyl, NO2, etc.; R2-R4 = H, lower alkyl, (alkyl)carbamoyl, etc.; R5 = lower alkyl, arylalkoxy, CO2H, lower alkoxycarbonyl, etc.; X = CO, C(S), SO2; Y = bond, lower alkylene, CO, SO2; Z1, Z2 = CH, N; k, n = 1-3; m = 0, 1] or their salts. (2R,5S)-I (R =

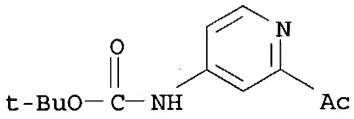
cyano, R1 = 3-CF3, R2 = 2-Me, R3 = 5-Me, k = 2, m = n = 1, X = CO, R4 = H, R5 = 2-bromo-4-pyridyl) (preparation given) in vitro bound to rat androgen receptor with Ki of 7.56 nM.

IT **262295-94-3P 262295-95-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyanophenyl compds. as antiandrogens)

RN 262295-94-3 CAPLUS
CN Carbamic acid, (2-cyano-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 262295-95-4 CAPLUS
CN Carbamic acid, (2-acetyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 49 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2001:798195 CAPLUS
DOCUMENT NUMBER:	135:344381
TITLE:	Preparation of 1-aroyl-piperidinyl benzamidines as inhibitors of Factor Xa or tryptase
INVENTOR(S):	Pauls, Heinz; Gong, Yong; Levell, Julian; Astles, Peter C.; Eastwood, Paul R.
PATENT ASSIGNEE(S):	Aventis Pharmaceuticals Products Inc., USA
SOURCE:	PCT Int. Appl., 81 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001081310	A1	20011101	WO 2001-US13810		20010427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 2002045613	A1	20020418	US 2001-841417		20010424
EP 1278732	A1	20030129	EP 2001-930924		20010427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003531193	T2	20031021	JP 2001-578405		20010427
PRIORITY APPLN. INFO.:			US 2000-200066P	P	20000427

GB 2000-18306 A 20000726
US 2001-841417 A 20010424
WO 2001-US13810 W 20010427

OTHER SOURCE(S): MARPAT 135:344381

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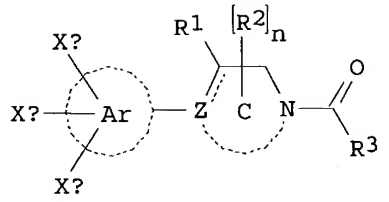

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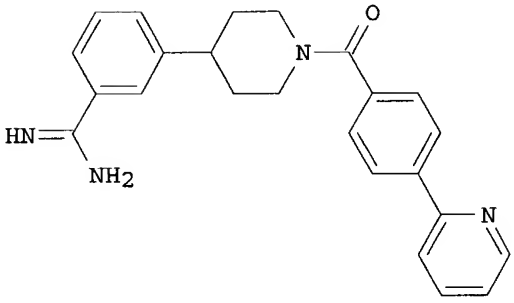
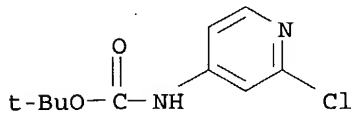


II

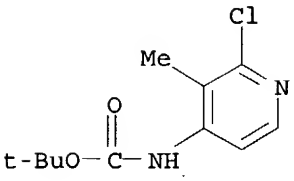
AB The title compds. [I; Z = C, N; ring C = 4-7 membered azaheterocyclyl, 4-7 membered azaheterocyclenyl; Ar = aryl, monocyclic heteroaryl, bicyclic azaheteroaryl; R1 = H, CH2OR12, CH2SR12, etc.; R2 = H, alkyl, aralkyl, etc.; R3 = cycloalkyl, cycloalkenyl, heterocyclyl, etc.; Xa, Xb, Xc = H, (hydroxy)NH, halo, etc.; R12 = H, alkyl, acyl, etc.], useful for the treatment of patients suffering from conditions which can be ameliorated by the administration of an inhibitor of Factor Xa or tryptase, were prepared E.g., a multi-step synthesis of II.2F3CCO2H which showed Ki of 9.0 nM against Factor Xa, was given.

IT **234108-73-7P**, (2-Chloropyridin-4-yl)carbamic acid tert-butyl ester
370864-66-7P, (2-Chloro-3-methylpyridin-4-yl)carbamic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1-aroyl-piperidinyl benzamidines as inhibitors of Factor Xa or tryptase)

RN 234108-73-7 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 370864-66-7 CAPLUS
CN Carbamic acid, (2-chloro-3-methyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 50 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:769282 CAPLUS
DOCUMENT NUMBER: 135:313616
TITLE: Heterocyclic sulfonyl compounds and activated blood coagulation factor X (FXa) inhibitors containing them
INVENTOR(S): Kobayashi, Shozo; Komoritani, Satoshi; Haginoya, Noriyasu; Suzuki, Masanori; Yoshino, Toshiharu; Nagahara, Takayasu; Yoshikawa, Kenji; Muto, Akira; Ozanai, Takeshi; Nakamoto, Yumi; Mochizuki, Akiyoshi; Nagata, Tsutomu
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 304 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

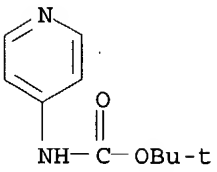
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001294572	A2	20011023	JP 2000-38100	20000209
PRIORITY APPLN. INFO.:			JP 2000-38100	20000209

OTHER SOURCE(S): MARPAT 135:313616

AB Pharmaceuticals, useful for prevention and/or treatment of thrombus and embolus, contain Q1Q2T1SO2QA [I; Q1 = (un)substituted bicyclic or tricyclic group; Q2 = single bond, O, S, C1-6 alkylene, etc.; Q3 = N-containing cyclic group; QA = (un)substituted (hetero)arylalkenyl, bicyclic or tricyclic group, etc.; T1 = CO, (un)substituted methylene, etc.], their salts, or solvates. (2RS)-2-(N-tert-butoxycarbonylaminomethyl)-6-methoxycarbonyl-1,2,3,4-tetrahydronaphthalene was treated with NaOH, condensed with 1-[(6-chloronaphthalen-2-yl)sulfonyl]piperazine.HCl, and deprotected to give (RS)-I.HCl (Q1 = 6-aminomethyl-5,6,7,8-tetrahydronaphthalen-2-yl, Q2 = bond, T1 = CO, Q3 = 1,4-piperazinediyl, QA = 6-chloronaphthalen-2-yl). I.HCl (Q1 = 5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl, Q2 = bond, T1 = CO, Q3 = 1,4-piperazinediyl, QA = 6-chloronaphthalen-2-yl) in vitro inhibited human FXa with IC50 of 20 nM.

IT **98400-69-2P**, 4-(tert-Butoxycarbonyl)aminopyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic sulfonyl compds. as activated blood coagulation factor X inhibitors)

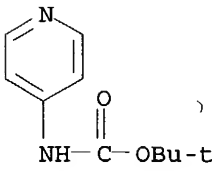
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 51 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:749945 CAPLUS
DOCUMENT NUMBER: 136:167661
TITLE: Selective nitrolytic deprotection of N-BOC-amines and N-BOC-amino acids derivatives
AUTHOR(S): Strazzolini, Paolo; Melloni, Tiziana; Giumanini, Angelo G.
CORPORATE SOURCE: Department of Chemical Sciences and Technologies, University of Udine, Udine, I-33100, Italy
SOURCE: Tetrahedron (2001), 57(43), 9033-9043
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The extension of the deprotection procedure using HNO3 in CH2Cl2 to a number of appropriately selected N-BOC-masked amines and derivs. of natural amino acids was investigated. The method was found to work effectively with almost all tested substrates, with the exception of activated aromatic amines and heterocycles which underwent unavoidable faster oxidation Alanine, phenylalanine, serine and lysine derivs. were efficiently deprotected, as well as dipeptide Ala-Phe, preserving the configuration of the substrates and without affecting copresent Z and ester functions, with a remarkable selectivity towards acid sensitive t-Bu esters. The obtained amino acids esters, isolated and characterized in the form of nitrates salts, proved to be suitable intermediates to be used in peptide synthesis.

IT **98400-69-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(selective nitrolytic deprotection of N-BOC-amines and N-BOC-amino acids derivs.)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

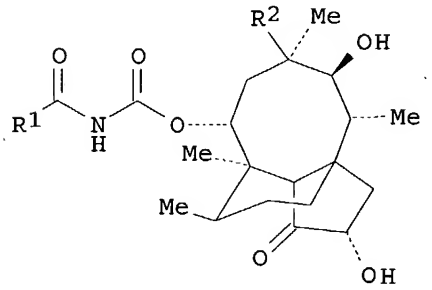
L8 ANSWER 52 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:747763 CAPLUS
DOCUMENT NUMBER: 135:304037
TITLE: Preparation of 2-hydroxymutilin carbamate derivatives as antibacterial agents
INVENTOR(S): Brooks, Gerald; Hunt, Eric
PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK

10/730,495

SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074788	A1	20011011	WO 2001-EP3594	20010329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1268443	A1	20030102	EP 2001-938069	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009809	A	20030121	BR 2001-9809	20010329
JP 2003529593	T2	20031007	JP 2001-572483	20010329
NZ 521536	A	20040528	NZ 2001-521536	20010329
NO 2002004745	A	20021119	NO 2002-4745	20021002
ZA 2002007912	A	20030514	ZA 2002-7912	20021002
US 2003114674	A1	20030619	US 2002-240908	20021004
PRIORITY APPLN. INFO.:			GB 2000-8260 A	20000404
			GB 2000-27182 A	20001104
			WO 2001-EP3594 W	20010329

OTHER SOURCE(S): MARPAT 135:304037
GI



I

AB 2-(S)-hydroxymutilin carbamate I (R1 = 5- or 6-membered optionally substituted heteroaryl group; R2 = vinyl or Et) were prepared for treatment of bacterial infections. Thus, 6-(tert-butoxycarbonylamino)nicotinic acid was treated with oxalyl chloride and 2-(S)-dichloroacetoxymulitin 11-trifluoroacetate followed by hydrolysis with KOH in EtOH and then deprotection with trifluoroacetic acid to give I (R1 = 6-amino-3-pyridyl, R2 = vinyl). I were found to have MICs ≤ 4 μg.ml against Staphylococcus aureus, Streptococcus pneumoniae, Moraxella catarrhalis, and Haemophilus influenzae (no data).

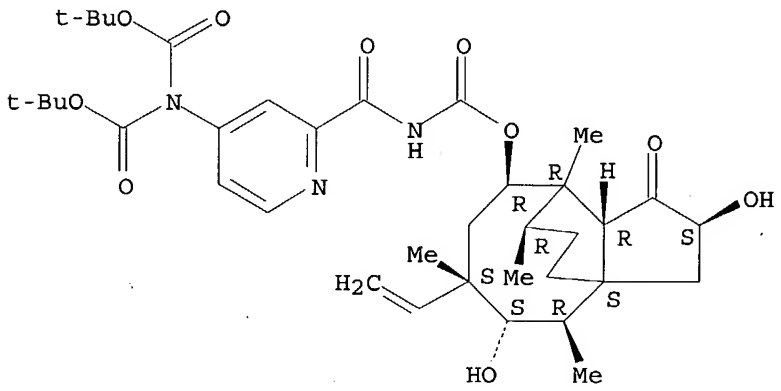
IT **365412-31-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

(Reactant or reagent); USES (Uses)
(preparation of 2-hydroxymutilin carbamate derivs. as antibacterial agents)

RN 365412-31-3 CAPLUS

CN Imidodicarbonic acid, [2-[[[[[(2S,3aS,4R,5S,6S,8R,9R,9aR,10R)-6-ethenyldecahydro-2,5-dihydroxy-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl]oxy]carbonyl]amino]carbonyl]-4-pyridinyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

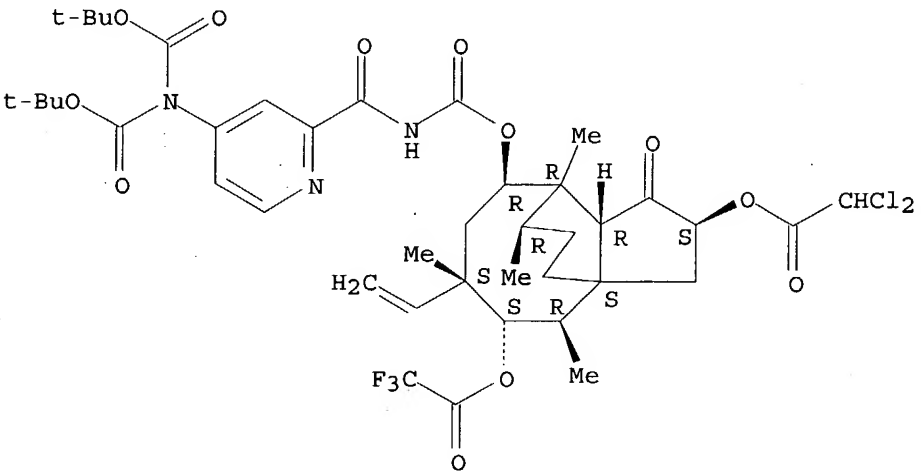


IT **365412-03-9P 365412-97-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-hydroxymutilin carbamate derivs. as antibacterial agents)

RN 365412-03-9 CAPLUS

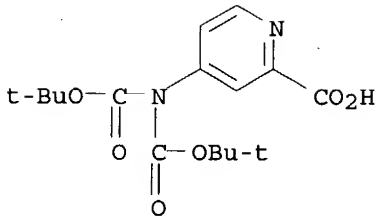
CN Acetic acid, trifluoro-, (2S,3aS,4R,5S,6S,8R,9R,9aR,10R)-8-[[[[[4-[bis[(1,1-dimethylethoxy)carbonyl]amino]-2-pyridinyl]carbonyl]amino]carbonyl]oxy]-2-[(dichloroacetyl)oxy]-6-ethenyldecahydro-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-cyclopentacycloocten-5-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 365412-97-1 CAPLUS

CN 2-Pyridinecarboxylic acid, 4-[bis[(1,1-dimethylethoxy)carbonyl]amino]-, sodium salt (9CI) (CA INDEX NAME)



● Na

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 53 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:747751 CAPLUS
DOCUMENT NUMBER: 135:303902
TITLE: Preparation of ethylenediamine and 1,2-cycloalkanediamine derivatives as inhibitors of activated blood coagulation factor X
INVENTOR(S): Yoshino, Toshiharu; Nagata, Tsutomu; Haginoya, Noriyasu; Yoshikawa, Kenji; Kanno, Hideyuki; Nagamochi, Masatoshi
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 481 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001074774	A1	20011011	WO 2001-JP2945		20010405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 2001046835	A5	20011015	AU 2001-46835		20010405
EP 1270557	A1	20030102	EP 2001-919784		20010405
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
ZA 2002007331	A	20030912	ZA 2002-7331		20020912
NO 2002004766	A	20021128	NO 2002-4766		20021003
US 2004122063	A1	20040624	US 2003-240725		20030730
PRIORITY APPLN. INFO.:			JP 2000-108047	A	20000405
			WO 2001-JP2945	W	20010405

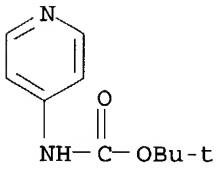
OTHER SOURCE(S): MARPAT 135:303902

AB Compds. of the general formula (1): Q1-Q2-CO-N(R1)-Q3-N(R2)-T1-Q4 [R1, R2 = H, OH, alkyl, alkoxy; Q1 = (un)substituted and (un)saturated 5- to 6-membered cyclohydrocarbyl or heterocyclyl or bi- or tricyclic condensed heterocyclyl; Q2 = bond, linear or branched alkyl C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene, N-alkyl-(un)substituted NH or NH(CH2)m, (un)substituted and (un)saturated divalent 5- to 6-membered cyclic hydrocarbon or heterocycle or bi- or tricyclic condensed heterocycle group; Q3 =

CR5R6CR7R8 (wherein R5, R6, R7, R8 = H, HO, halo, haloalkyl, cyano, cyanoalkyl, acyl, acylalkyl, alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, hydroxyalkyl, CO2H, carboxyalkyl, etc.), Q (wherein Q5 = C1-8 alkylene or C2-8 alkenylene; R9 and R10 are substituted on the carbon atoms of the ring containing Q5 and represent H, OH, alkyl, alkenyl, alkynyl, halo, haloalkyl, cyano, cyanoalkyl, NH2, aminoalkyl, N-alkylaminoalkyl, etc.); Q4 = (un)substituted aryl, arylalkenyl, heteroaryl, or heteroarylalkenyl, (un)substituted and (un)saturated bi- or tricyclic condensed hydrocarbyl or condensed heterocyclyl; T1 = CO, SO2] are prepared Also claimed are drugs which contain these compds. and are efficacious for thrombosis and embolism. Thus, (±)-cis-N1 (or N2)-[(5-chloroindol-2-yl)carbonyl]-4,4-(1,2-ethylenedioxy)-1,2-cycloalkanediamine was condensed with 5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine-2-carboxylic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole monohydrate in DMF at room temperature overnight to give (±)-cis-N1 (or N2)-[(5-chloroindol-2-yl)carbonyl]-4,4-(1,2-ethylenedioxy)-N2 (or N1)-[(5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]-1,2-cyclohexanediamine (II). II in vitro showed IC50 of 1.4 nM μg/mL against human FXa.

IT **98400-69-2P**, 4-(tert-Butoxycarbonylamino)pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ethylenediamine and cycloalkanediamine derivs. as inhibitors of activated blood coagulation factor X for treatment of thrombosis and embolism)

RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 104 THERE ARE 104 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 54 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:713345 CAPLUS
DOCUMENT NUMBER: 135:272887
TITLE: N-(heterocyclyl)benzene- or -pyridinesulfonamides as antithrombotic agents and anticoagulants
INVENTOR(S): Altenburger, Jean-Michel; Cremer, Gerard; Lassalle, Gilbert; Matrougui, Mostafa
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070736	A1	20010927	WO 2001-FR861	20010322

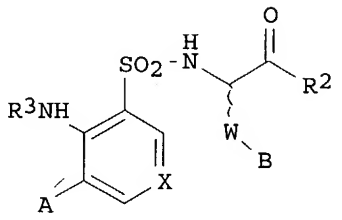
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,

LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

FR 2806722	A1	20010928	FR 2000-3724	20000323
FR 2806722	B1	20020517		
EP 1268469	A1	20030102	EP 2001-919537	20010322
EP 1268469	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009385	A	20030603	BR 2001-9385	20010322
JP 2003528098	T2	20030924	JP 2001-568937	20010322
EE 200200540	A	20040415	EE 2002-540	20010322
AT 269325	E	20040715	AT 2001-919537	20010322
ZA 2002006996	A	20030901	ZA 2002-6996	20020830
US 2003207920	A1	20031106	US 2002-221404	20020912
US 6680329	B2	20040120		
NO 2002004496	A	20021122	NO 2002-4496	20020919
BG 107130	A	20030630	BG 2002-107130	20020920
PRIORITY APPLN. INFO.:			FR 2000-3724 A	20000323
			WO 2001-FR861 W	20010322

OTHER SOURCE(S): MARPAT 135:272887

GI



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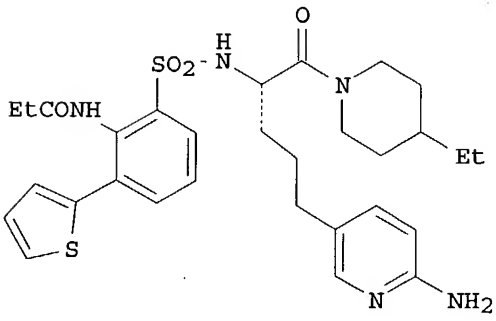


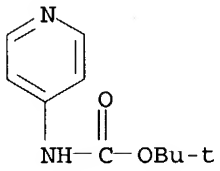
HCl

II

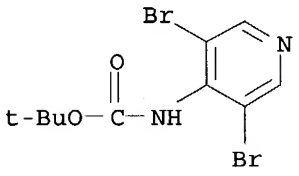
AB Title compds. I [X = N, CR; R = H, halogen; W = (CH2)2, (CH2)3, CH2C.tplbond.C, CH2CH=CH; R2 = (un)substituted piperidinyl, 1,2,3,6-tetrahydropyridinyl, hexahydro-1(H)-azepinyl, heptahydroazocin-1-yl, octahydroazonin-1-yl, piperazinyl, morpholinyl, dialkylamino; R3 = alkyl, acyl, sulfonyl, carbamoyl, aminosulfonyl; A = (un)substituted Ph, pyridyl, thienyl, furyl, pyrimidinyl, thiazolyl, cyclopentyl; B = (un)substituted pyridyl, aminopyrazinyl, aminopyridazinyl, pyrimidinyl, piperidinyl, aminopyridinyl] were prepared for use as antithrombotic agents and anticoagulants. Thus, the pyridine II was prepared from 5-bromo-2-pyridinamine and Me (S)-2-tert.-butoxycarbonylamino-4-pentynoate

in 6 steps.

IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-(heterocyclyl)benzene- or -pyridinesulfonamides as antithrombotic agents and anticoagulants)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **362617-11-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(heterocyclyl)benzene- or -pyridinesulfonamides as antithrombotic agents and anticoagulants)
RN 362617-11-6 CAPLUS
CN Carbamic acid, (3,5-dibromo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

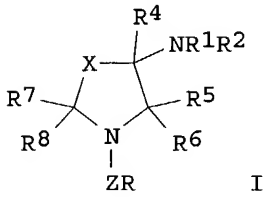
L8 ANSWER 55 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:630893 CAPLUS
DOCUMENT NUMBER: 135:195505
TITLE: Preparation of azaheterocyclic sulfonamides as factor Xa inhibitors
INVENTOR(S): Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: U.S., 96 pp., Cont.-in-part of U.S. Ser. No. 90,492.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6281227	B1	20010828	US 1999-453307	19991202
WO 9825611	A1	19980618	WO 1997-US22406	19971203

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ,

VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
US 6602864 B1 20030805 US 1998-90492 19980603
WO 9962904 A1 19991209 WO 1999-US12312 19990603
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
WO 2001039759 A2 20010607 WO 2000-EP11577 20001121
WO 2001039759 A3 20020117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2002013310 A1 20020131 US 2001-918039 20010730
PRIORITY APPLN. INFO.: US 1996-33159P P 19961213
WO 1997-US22406 A2 19971203
US 1998-90492 A2 19980603
WO 1999-US12312 A2 19990603
US 1999-453307 A 19991202
OTHER SOURCE(S): MARPAT 135:195505

GI



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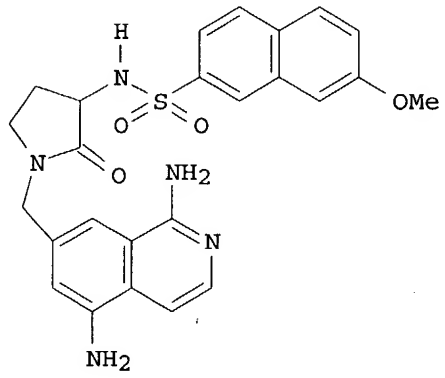
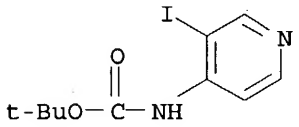


II

AB Title compds. [I; X = (CHR3)m; R = (un)substituted heteroaryl; R1, R2 = H, (un)substituted alkyl, alkenyl, aralkyl; R3 = H, OH, (un)substituted alkyl, aryl, heteroaryl; R4 = H, (un)substituted alkyl, aryl, aralkyl; R5, R6 = H; R5R6 = O; R7, R8 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl; R7R8 = O; R3R7 = alkylene; m = 0-3] were prepared Thus, title compound II was prepared from 3-acetamido-4-methylbenzaldehyde, malonic acid, and 7-methoxy-2-naphthalenesulfonyl chloride in 10 steps. II had a Ki of 80 nM for inhibition of factor Xa.

IT **211029-67-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of azaheterocyclic sulfonamides as inhibitors of factor Xa)
RN 211029-67-3 CAPLUS
CN Carbamic acid, (3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

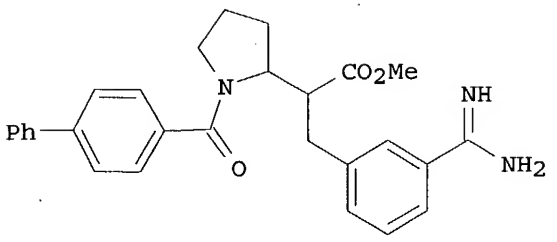
L8 ANSWER 56 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:359962 CAPLUS
DOCUMENT NUMBER: 134:366794
TITLE: Preparation of amidinophenyl(aroylpyrrolidinyl)propionates and analogs as factor Xa inhibitors
INVENTOR(S): Czekaj, Mark; Klein, Scott I.; Pauls, Heinz W.
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001034567	A1	20010517	WO 2000-EP10890	20001104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1263726	A1	20021211	EP 2000-984965	20001104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003513957	T2	20030415	JP 2001-536516	20001104
US 2003092698	A1	20030515	US 2002-143190	20020510
US 2004087570	A1	20040506	US 2003-686871	20031016

PRIORITY APPLN. INFO.:

US 1999-164621P	P	19991110
GB 1999-30540	A	19991223
WO 2000-EP10890	W	20001104
US 2002-143190	B2	20020510

OTHER SOURCE(S): MARPAT 134:366794
GI



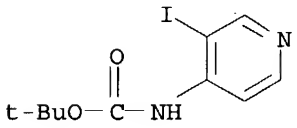
II

AB R2COZCRR1CHRR3 [I; each R = H or RR = bond; R1 = H, CH2OH, CO2H, alkoxycarbonyl, etc.; R2 = alk(en)yl, heterocyclyl, (hetero)aryl, etc.; R3 = (un)substituted cycloalk(en)yl, -(hetero)aryl, etc; Z = 1,2-azacycloalk(en)ylene] were prepared as factor Xa inhibitors (no data). Thus, Me N-Boc-pyrrolidine-2-acetate was alkylated by 3-(NC)C6H4CH2Br and the deprotected product amidated by 4-PhC6H4CO2H to give, in 3 addnl. steps, title compound II.

IT **211029-67-3**, tert-Butyl 3-iodo-4-pyridinylcarbamate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amidinophenyl(aroylpyrrolidinyl)propionates and analogs as factor Xa inhibitors)

RN 211029-67-3 CAPLUS

CN Carbamic acid, (3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

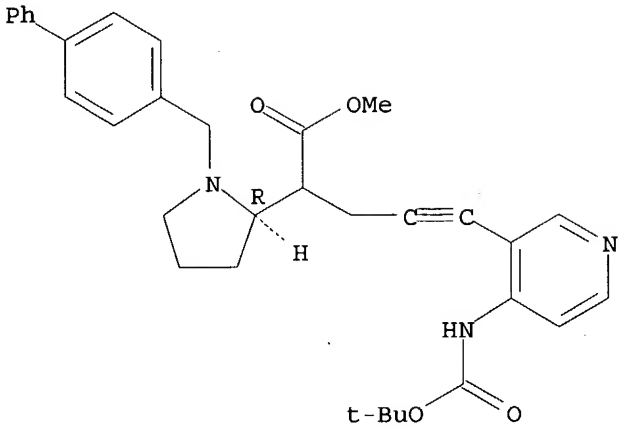


IT **340040-70-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinophenyl(aroylpyrrolidinyl)propionates and analogs as factor Xa inhibitors)

RN 340040-70-2 CAPLUS

CN 2-Pyrrolidineacetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-α-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]-2-propynyl]-, methyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 57 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:353352 CAPLUS
DOCUMENT NUMBER: 135:101490
TITLE: Some coordination polymers of lanthanide (III) chloride with 4,4'-bipyridyl N,N'-dioxide and 4-ethoxycarbonylaminopyridine N-oxide
AUTHOR(S): Agarwal, Ram K.; Agarwal, Himanshu
CORPORATE SOURCE: Department of Chemistry, Lajpat Rai (Post Graduate) College, Sahibabad, 201 005, India
SOURCE: Journal of Saudi Chemical Society (2000), 4(3), 251-258
CODEN: JSCSFO; ISSN: 1319-6103
PUBLISHER: Saudi Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:101490

AB The synthesis and characterization of some coordination polymers of lanthanide(III) chloride with 4,4'-bipyridyl N,N'-dioxide and 4-ethoxycarboxylamino pyridine N-oxide is described. The anal. data suggest that the ligands form (1:2) (metal:ligand) complexes with lanthanide(III) chlorides. The ligands are O-O donors and bridged between two lanthanide ions. The steric position of the donor atoms in this ligand precludes chelation but favors coordination to different metal ions, thus leading to polymeric chain formation. The insoly. and higher decomposition temperature also support this view. These polymeric chain compds. contain seven coordination lanthanide(III).

IT **349545-58-0P 349545-59-1P 349545-60-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and thermal decomposition of lanthanide polymeric complexes with bipyridyl dioxide or ethoxycarbonylaminopyridine oxide)

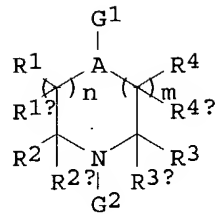
RN 349545-58-0 CAPLUS
CN Neodymium, trichlorobis[ethyl (1-oxido-4-pyridinyl)carbamate-κO']-(9CI) (CA INDEX NAME)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

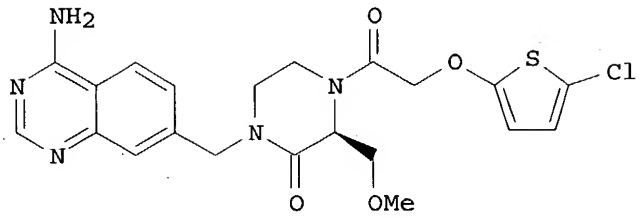
L8 ANSWER 58 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:78383 CAPLUS
DOCUMENT NUMBER: 134:163059
TITLE: Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa/IIa inhibitors
INVENTOR(S): Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 460 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007436	A2	20010201	WO 2000-IB1156	20000726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013179	A	20020402	BR 2000-13179	20000726
EP 1208097	A2	20020529	EP 2000-951781	20000726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200200225	T2	20020621	TR 2002-200200225	20000726
JP 2003508353	T2	20030304	JP 2001-512520	20000726
EE 200200045	A	20030616	EE 2002-45	20000726
AU 773227	B2	20040520	AU 2000-64628	20000726
NO 2002000214	A	20020402	NO 2002-214	20020115
BG 106340	A	20021031	BG 2002-106340	20020122
ZA 2002000543	A	20030623	ZA 2002-543	20020122
PRIORITY APPLN. INFO.:			US 1999-363196 A	19990728
			WO 2000-IB1156 W	20000726

OTHER SOURCE(S): MARPAT 134:163059
GI



I



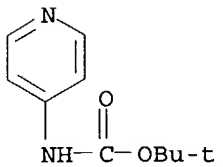
II

AB The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH or N; G1 and G2 = L1Cy1 or L2Cy2; Cy1 and Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.; L1 = null, O, S, SO, SO2, or (un)substituted sulfamoyl, methylene, (alkyl)keto(alkyl), carbamoyl, etc.; L2 = null or linking group; R1, R1a, R2, R2a, R3, R3a, R4, R4a = independently H, carboxy, alkoxycarbonyl, alkyl, (hetero)aryl, aralkyl, heteroarylalkyl, etc.; m and n = independently 0-2]. The compds. inhibit factor Xa (no data) and factor IIa, and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 1600 invention compds. and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone derivative (prepns. given), using DIPEA and TBTU in DMF, gave II.

IT **98400-69-2P**, Pyridin-4-ylcarbamic acid tert-butyl ester
211029-67-3P 234098-76-1P 234099-12-8P
234099-13-9P 234108-73-7P 234108-74-8P
234108-83-9P 234108-91-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa/IIa inhibitors)

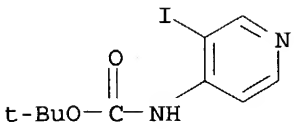
RN 98400-69-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

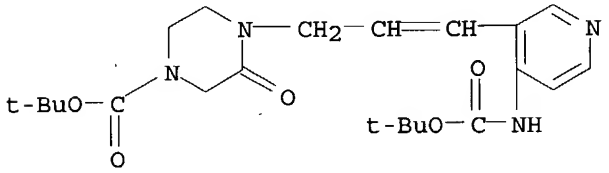


RN 211029-67-3 CAPLUS

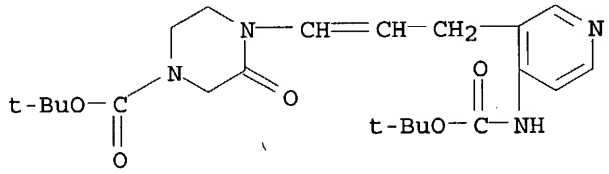
CN Carbamic acid, (3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



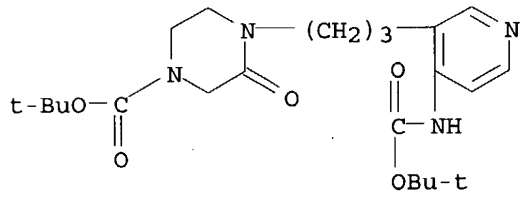
RN 234098-76-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]-2-propenyl]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



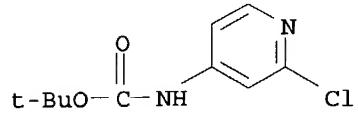
RN 234099-12-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]-1-propenyl]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 234099-13-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]propyl]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

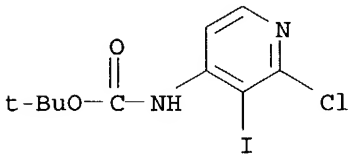


RN 234108-73-7 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

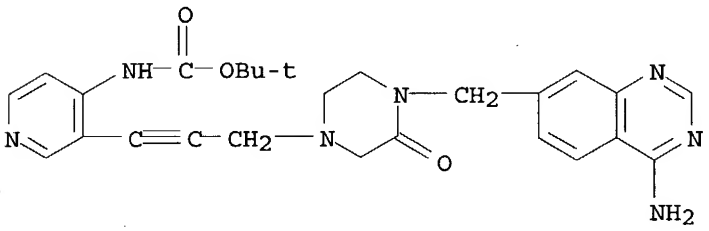


RN 234108-74-8 CAPLUS
CN Carbamic acid, (2-chloro-3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester

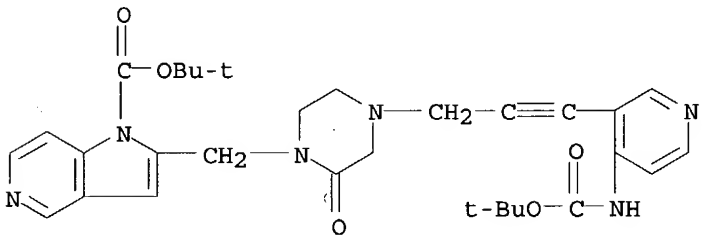
(9CI) (CA INDEX NAME)



RN 234108-83-9 CAPLUS
CN Carbamic acid, [3-[3-[4-[(4-amino-7-quinazolinyl)methyl]-3-oxo-1-piperazinyl]-1-propynyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 234108-91-9 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine-1-carboxylic acid, 2-[[4-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]-2-propynyl]-2-oxo-1-piperazinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 59 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:475645 CAPLUS
DOCUMENT NUMBER: 133:104969
TITLE: Preparation of 2-oxoquinoline compounds used as immunosuppressive, anti-inflammatory, and anti-allergic agents
INVENTOR(S): Inaba, Takashi; Kaya, Tetsudo; Iwamura, Hiroyuki
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040562	A1	20000713	WO 1999-JP7398	19991228

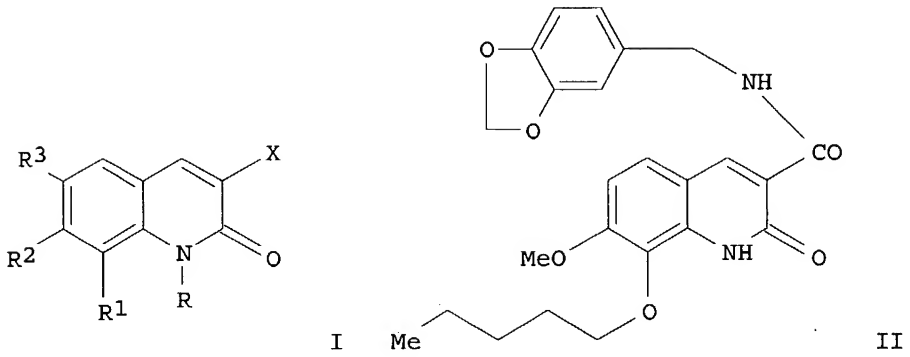
W: AU, BR, CA, CN, ID, IN, KR, NZ, US, VN
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

JP 2000256323	A2	20000919	JP 1999-368621	19991227
CA 2358879	AA	20000713	CA 1999-2358879	19991228
EP 1142877	A1	20011010	EP 1999-961472	19991228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 512883	A	20030328	NZ 1999-512883	19991228
AU 759483	B2	20030417	AU 2000-18041	19991228
TW 515794	B	20030101	TW 1999-88123313	19991230
US 6509352	B1	20030121	US 2001-869895	20010829
US 2003191069	A1	20031009	US 2002-245861	20020916

PRIORITY APPLN. INFO.: JP 1999-3498 A 19990108
WO 1999-JP7398 W 19991228
US 2001-869895 A1 20010829

OTHER SOURCE(S): MARPAT 133:104969

GI



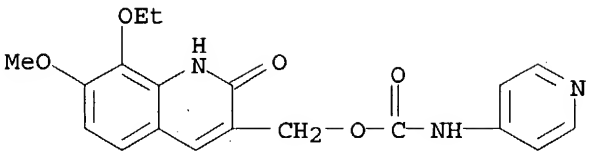
I II

AB Title compds. [I; R = H, CH3; X = COOCH3, 4-FC6H4(CH2)2NHCO, 4-FC6H4(CH2)2NHCONHCH2, 4-FC6H4(CH2)2NHCOOCH2, 4-HOC6H4CH2CONHCH2, COOH, CH2OH, (CH3)2NCH2, NH2CH2, 4-NH2C6H4CH2NHCO, 4-NH2C6H4(CH2)2NHCO; R1 = H, OH, CH3(CH2)nO, HOOC(CH2)4O, HO(CH2)5O, CH3CO(CH2)3O; R2 = CH3O, OH, CH3(CH2)4O; R3 = H, CH3(CH2)nO; n = 1, 2, 3, 4; etc] and medicinally acceptable salts are prepared and are acting selectively on cannabinoid receptors, particularly peripheral ones, have little adverse effects on the CNS, and exhibit excellent immunosuppressive, anti-inflammatory and antiallergic activities. These compds. are useful as regulators against cannabinoid receptors (particularly peripheral cannabinoid receptors), and serve as immunosuppressive, anti-inflammatory and antiallergic agents. Thus, the title compound II was prepared and tested.

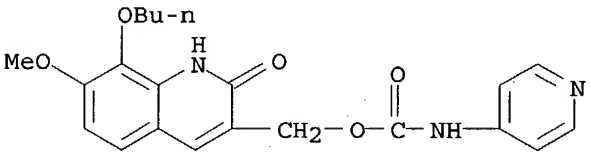
IT **282089-53-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxoquinoline compds. used as immunosuppressive, anti-inflammatory, and anti-allergic agents)

RN 282089-53-6 CAPLUS

CN Carbamic acid, 4-pyridinyl-, (8-ethoxy-1,2-dihydro-7-methoxy-2-oxo-3-quinolinyl)methyl ester (9CI) (CA INDEX NAME)



IT **283179-05-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxoquinoline compds. used as immunosuppressive, anti-inflammatory, and anti-allergic agents)
RN 283179-05-5 CAPLUS
CN Carbamic acid, 4-pyridinyl-, (8-butoxy-1,2-dihydro-7-methoxy-2-oxo-3-quinolinyl)methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 60 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:457058 CAPLUS
DOCUMENT NUMBER: 133:73942
TITLE: Preparation of heteroroaromatic amides as factor Xa inhibitors
INVENTOR(S): Beight, Douglas Wade; Craft, Trelia Joyce; Franciskovich, Jeffry Bernard; Goodson, Theodore, Jr.; Hall, Steven Edward; Herron, David Kent; Joseph, Sajan Pariyadan; Klimkowski, Valentine Joseph; Masters, John Joseph; Mendel, David; Milot, Guy; Pineiro-Nunez, Marta Maria; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir, Leonard Crayton; Wikel, James Howard; Wiley, Michael Robert; Yee, Ying Kwong
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Kyle, Jeffrey Alan
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039117	A1	20000706	WO 1999-US29887	19991215

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,

	CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2358095		AA	20000706	CA 1999-2358095		19991215
EP 1140905		A1	20011010	EP 1999-967352		19991215
EP 1140905		B1	20030514			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
AT 240316		E	20030515	AT 1999-967352		19991215
ES 2196917		T3	20031216	ES 1999-967352		19991215
US 6689780		B1	20040210	US 2001-857749		20010608
PRIORITY APPLN. INFO.:				US 1998-113452P	P	19981223
				EP 1999-967352	A	19991215
				WO 1999-US29887	W	19991215

OTHER SOURCE(S): MARPAT 133:73942

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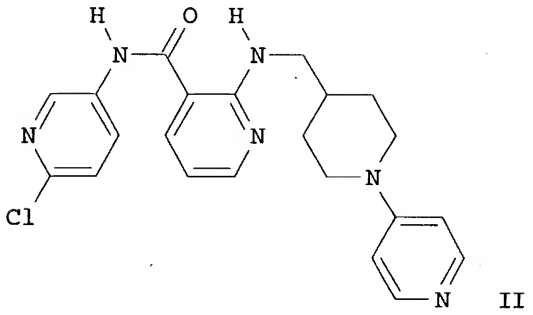


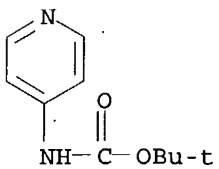
II

AB R2Z2ZCONHZ1R1 [I; R1 = Cl, F, Me; R2 = N-(un)substituted azacycloalkyl, 4-(un)substituted -1-piperazinyl, 4-aminocyclohexyl, 4-amino-1-piperidinyl, etc.; Z = (un)substituted-2,3- or -3,2-pyridinediyl, -5,4- or -4,5-pyrimidinediyl, -2,3-pyrazinediyl, etc.; Z1 = 2,5-pyridinediyl (R1 may addnl. = MeO or MeS), 2,5-pyrimidinediyl, 3,6-pyridazinediyl, 2,6-benzothiazolediyl; Z2 = NHCOX, NHCO2X, NHCONHX, NHCH2; X = bond or CH2] were prepared as factor Xa inhibitors (no data). Thus, 2-chloronicotinic acid was aminated by 1-(4-pyridinyl)piperidine-4-methylamine (preparation given) and the product amidated by 2-amino-5-chloropyridine to give title compound II.

IT **98400-69-2P 171178-34-0P 280115-84-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heteroroarom. amides as factor Xa inhibitors)

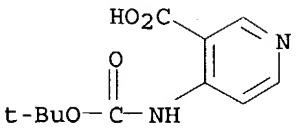
RN 98400-69-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

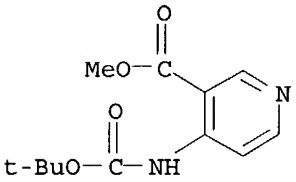


RN 171178-34-0 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 280115-84-6 CAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 61 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:457028 CAPLUS
DOCUMENT NUMBER: 133:89545
TITLE: Substituted (aminoiminomethyl- or aminomethyl)benzoheteroaryl compounds useful as anticoagulants
INVENTOR(S): Dankulich, William P.; McGarry, Daniel G.; Burns, Christopher; Gallagher, Timothy F.; Volz, Francis A.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039087	A2	20000706	WO 1999-US30623	19991222
WO 2000039087	A3	20001109		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2358047	AA	20000706	CA 1999-2358047	19991222
EP 1140901	A2	20011010	EP 1999-966560	19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916845	A	20011030	BR 1999-16845	19991222
JP 2002533438	T2	20021008	JP 2000-590999	19991222
EE 200100341	A	20021216	EE 2001-341	19991222
AU 760983	B2	20030529	AU 2000-22071	19991222
NZ 512548	A	20030829	NZ 1999-512548	19991222
US 6541505	B1	20030401	US 2000-609103	20000630

NO 2001003142	A	20010821	NO 2001-3142		20010622
US 2003153604	A1	20030814	US 2003-372499		20030224
PRIORITY APPLN. INFO.:			US 1998-113710P	A2	19981224
			WO 1999-US30623	W	19991222
			US 2000-609103	A1	20000630

OTHER SOURCE(S): MARPAT 133:89545

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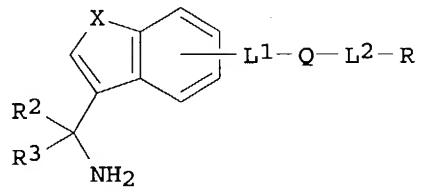

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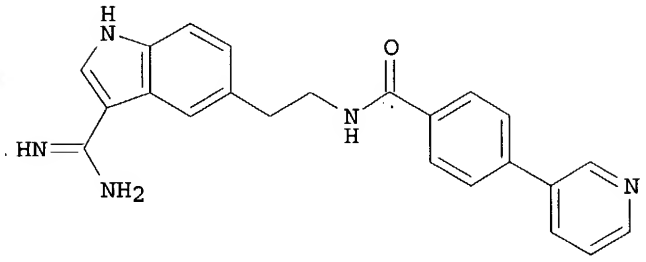


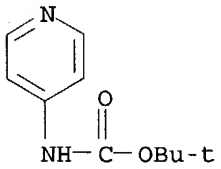
II

AB The invention is directed to (aminoiminomethyl)- or (aminomethyl)-substituted benzoheteroaryl compds. I, which are useful as inhibitors of Factor Xa (no data) [wherein X = O, S, NH or derivs.; L1 = alkylene, alkenylene, alkynylene; L2 = bond, or as given for L1; Q = NH or derivs., O, CO, COO, OCO, NHCO or derivs., S(O)0-2, SO2NH or derivs, etc.; R = H, cycloalkyl, heterocyclyl, aryl, wide range of other cyclic groups; R2, R3 = H; or R2R3 = NH or derivs.]. The invention is also directed to compns. containing the compds., methods for their preparation, and their use, e.g., in the inhibition of thrombin formation, or for treating a patient suffering from, or subject to, a disease state associated with excess thrombin. Approx. 160 examples were prepared and claimed, and hundreds of intermediates were prepared For instance, 4-(pyrid-3-yl)benzoic acid underwent amidation with 3-cyano-5-(2-aminoethyl)indole using TBTU and DIEA, and the product nitrile was treated with HCl(g) in MeOH followed by NH3 in MeOH, to give the invention compound II.

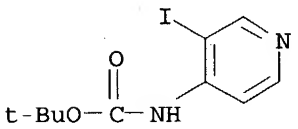
IT **98400-69-2P**, Pyridin-4-ylcarbamic acid tert-butyl ester
211029-67-3P, (3-Iodopyridin-4-yl)carbamic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of substituted (aminoiminomethyl- or aminomethyl)benzoheteroaryl compds. as anticoagulants)

RN 98400-69-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 211029-67-3 CAPLUS
CN Carbamic acid, (3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



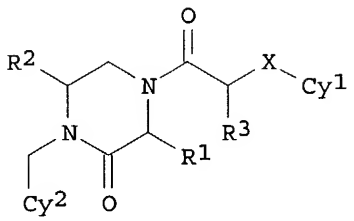
L8 ANSWER 62 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:384179 CAPLUS
DOCUMENT NUMBER: 133:30741
TITLE: Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors
INVENTOR(S): Ewing, William R.; Becker, Michael R.; Myers, Michael R.; Spada, Alfred P.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032590	A1	20000608	WO 1999-US28074	19991124
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 9937304	A1	19990729	WO 1999-US1682	19990127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2003529531	T2	20031007	JP 2000-585232	19991124
PRIORITY APPLN. INFO.:			US 1998-110012P	A2 19981125
			WO 1999-US1682	A2 19990127
			US 1999-313611	A2 19990518
			US 1999-363196	A2 19990728

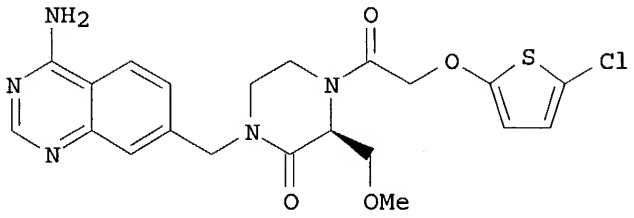
US 1998-72707P A2 19980127
WO 1999-US28074 W 19991124

OTHER SOURCE(S): MARPAT 133:30741

GI



I



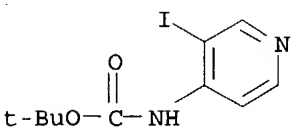
II

AB The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein R1 = H, alkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, alkoxy, aminoalkyl, CH2OZ, CH(CH3)OZ; R2 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroarylalkyl; R3 = H or Me; X = N or O; Z = lower alkyl or alkoxycarbonylalkyl; Cy1 = (un)substituted aryl, (un)substituted heteroaryl; Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.]. The compds. inhibit factor Xa (no data), and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 invention compds., approx. 50 of which are also claimed, and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone derivative (prepns. given), using DIPEA and TBTU in DMF, gave the preferred title compound II.

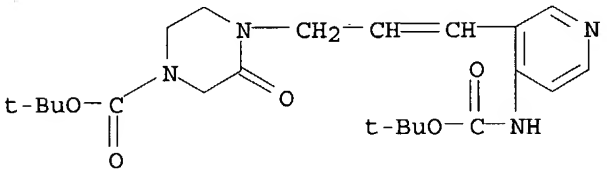
IT **211029-67-3P 234098-76-1P 234099-12-8P**
234099-13-9P 234108-73-7P 234108-74-8P
234108-83-9P 234108-91-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)

RN 211029-67-3 CAPLUS

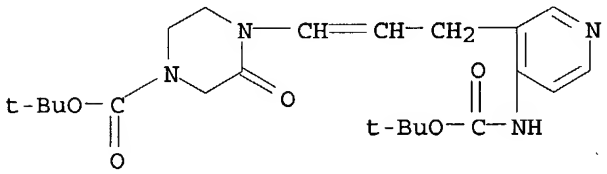
CN Carbamic acid, (3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



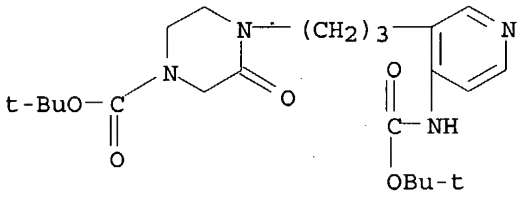
RN 234098-76-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]-2-propenyl]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



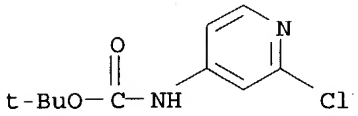
RN 234099-12-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]-1-propenyl]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 234099-13-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]propyl]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

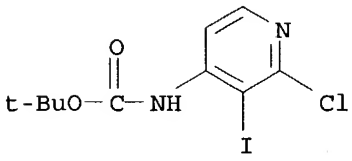


RN 234108-73-7 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

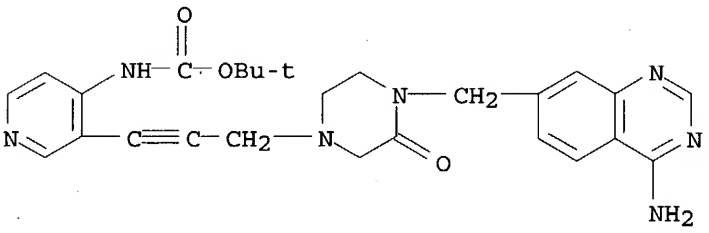


RN 234108-74-8 CAPLUS
CN Carbamic acid, (2-chloro-3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester

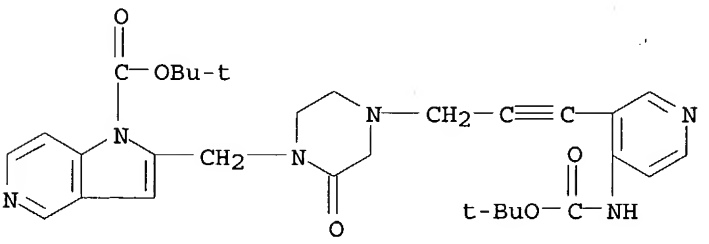
(9CI) (CA INDEX NAME)



RN 234108-83-9 CAPLUS
CN Carbamic acid, [3-[3-[4-[(4-amino-7-quinazolinyl)methyl]-3-oxo-1-piperazinyl]-1-propynyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 234108-91-9 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine-1-carboxylic acid, 2-[[4-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]-2-propynyl]-2-oxo-1-piperazinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 63 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:316968 CAPLUS
DOCUMENT NUMBER: 132:321857
TITLE: Preparation of 2-oxazolidones from epoxides and carbamates
INVENTOR(S): Nobori, Tadahito; Kiyono, Shinji; Hayashi, Takaomi; Hara, Akira; Shibahara, Atsushi; Funaki, Katsuhiko; Mizutani, Kazumi; Takagi, Usaji
PATENT ASSIGNEE(S): Mitsui Chemicals Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

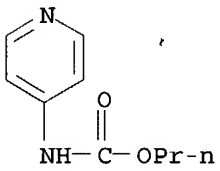
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000136186	A2	20000516	JP 1998-309077	19981029

PRIORITY APPLN. INFO.: JP 1998-309077 19981029
OTHER SOURCE(S): CASREACT 132:321857; MARPAT 132:321857

AB 1,3-Oxazolidin-2-ones are prepared by reaction of epoxy compds. with N-nonsubstituted or N-monosubstituted carbamates in the presence of [(R2N)3P:N]3P(O) (I; R = C1-10 hydrocarbyl) or [(R2N)3P:N]4P+Z- (R = C1-10 hydrocarbyl; Z = halo, OH, alkoxy, aryloxy, carboxy). Reaction of 15.0 g Ph glycidyl ether with 15.1 g Me N-phenylcarbamate in the presence of I (R = Me) at 90° for 15 min to give 21.5 g N-phenyl-5-phenoxymethyl-1,3-Oxazolidin-2-one.

IT **121433-23-6**, Propyl N-4-pyridylcarbamate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxazolidones from epoxides and carbamates using phosphine oxide or phosphazenium catalysts)

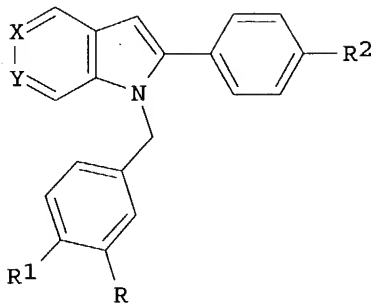
RN 121433-23-6 CAPLUS
CN Carbamic acid, 4-pyridinyl-, propyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 64 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:290639 CAPLUS
DOCUMENT NUMBER: 132:293756
TITLE: Preparation of 5-azaindoles as antithrombotics
INVENTOR(S): Bastian, Jolie Anne; Fisher, Matthew Joseph; Harper, Richard Waltz; Lin, Ho-Shen; Mccowan, Jefferson Ray; Sall, Daniel Jon; Smith, Gerald Floyd; Takeuchi, Kumiko; Wiley, Michael Robert; Zhang, Minsheng
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 997465	A1	20000503	EP 1999-308494	19991027
EP 997465	B1	20040204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 258934	E	20040215	AT 1999-308494	19991027
US 6265416	B1	20010724	US 1999-429424	19991028
JP 2000143663	A2	20000526	JP 1999-308814	19991029
US 6359136	B1	20020319	US 2000-716605	20001120

PRIORITY APPLN. INFO.: US 1998-106410P P 19981030
US 1999-429424 A3 19991028
OTHER SOURCE(S): MARPAT 132:293756
GI



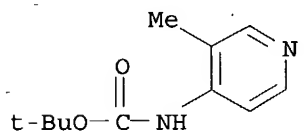
I

AB Title compds. [I; 1 of X, Y = N, the other = CH; R = H, Me, MeO, halo; R1 = CO2H, alkoxycarbonyl, HOCH2, CONRsRt, X1(CH2)sNRsRt; X1 = bond, CH2, O; s = 1, 2; Rs, Rt = H, alkyl; NRsRt = pyrrolidino, piperidino, morpholino; R2 = X2(CH2)mNRaRb, X2(CH2)nRf; X2 = bond, CH2, O, S; m = 1-5; n = 1-3; Ra, Rb = H, alkyl; NRaRb = pyrrolidino, piperidino, morpholino; Rf = tetrazolyl, CO2H, alkoxycarbonyl, HOCH2; ≥1 of R1, R2 = includes a basic amino moiety], were prepared as thrombin inhibitors (no data). Thus, 2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-5-azaindole (preparation given), Me 4-bromomethyl-2-methoxybenzoate, and KOH were stirred in Me2SO to give 17% Me 2-methoxy-4-[[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-5-azaindol-1-yl]methyl]benzoate. The latter was heated with pyrrolidine in a sealed tube at 120° for 2 days to give 23% 1-[2-methoxy-4-(1-pyrrolidinylcarbonyl)benzyl]-2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-5-azaindole.

IT **180253-65-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 5-azaindoles as antithrombotics)

RN 180253-65-0 CAPLUS

CN Carbamic acid, (3-methyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 65 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:241190 CAPLUS

DOCUMENT NUMBER: 132:279117

TITLE: Preparation of 3-[(4-pyridylamino)alkoxy]benzamides as thrombin inhibitors

INVENTOR(S): Kelly, Henry Anderson; Pass, Martin; Smith, David Neil

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

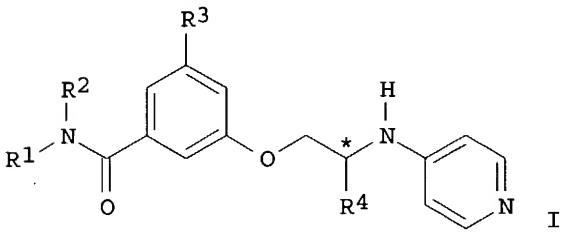
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2000020394		A1	20000413	WO 1999-EP7194	19990930
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2345997		AA	20000413	CA 1999-2345997	19990930
AU 9964670		A1	20000426	AU 1999-64670	19990930
AU 758007		B2	20030313		
BR 9914267		A	20010703	BR 1999-14267	19990930
TR 200100906		T2	20010723	TR 2001-200100906	19990930
EP 1117644		A1	20010725	EP 1999-952472	19990930
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002526531		T2	20020820	JP 2000-574511	19990930
JP 3457281		B2	20031014		
NZ 510839		A	20030829	NZ 1999-510839	19990930
US 6441008		B1	20020827	US 2001-806407	20010330
NO 2001001654		A	20010530	NO 2001-1654	20010402
US 2002169189		A1	20021114	US 2002-44769	20020110
US 6670381		B2	20031230		
PRIORITY APPLN. INFO.:				GB 1998-21483	A 19981003
				WO 1999-EP7194	W 19990930
				US 2001-806407	A1 20010330

OTHER SOURCE(S): MARPAT 132:279117

GI



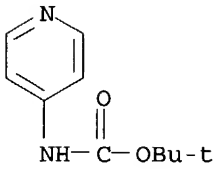
I

AB The title compds. [I; R1 = alkyl, cycloalkyl; R2 = alkyl, alkenyl; R3 = H, alkyl, halo; R4 = alkyl], useful in therapy, particularly as thrombin inhibitors, were prepared and formulated. E.g., a multi-step synthesis of (2S)-I [R1 = Et; R2 = iso-Pr; R3, R4 = Me] which showed IC50 of < 1 nM against thrombin, was given.

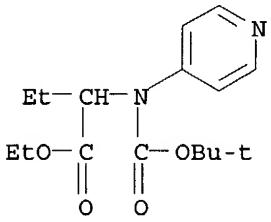
IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-[(4-pyridylamino)alkoxy]benzamides as thrombin inhibitors)

RN 98400-69-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **263553-42-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-[(4-pyridylamino)alkoxy]benzamides as thrombin inhibitors)
RN 263553-42-0 CAPLUS
CN Butanoic acid, 2-[[(1,1-dimethylethoxy)carbonyl]-4-pyridinylamino]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

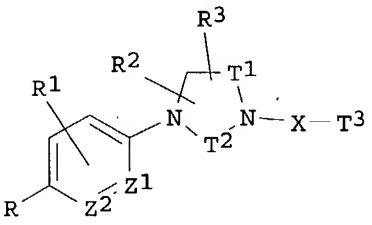
L8 ANSWER 66 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:210118 CAPLUS
DOCUMENT NUMBER: 132:237107
TITLE: Preparation of piperazino-substituted cyanophenyl derivatives as antiandrogen agents
INVENTOR(S): Taniguchi, Nobuaki; Kinoyama, Isao; Kamikubo, Takashi; Toyoshima, Akira; Samizu, Kiyohiro; Kawaminami, Eiji; Imamura, Masakazu; Moritomo, Hiroyuki; Matsuhisa, Akira; Hirano, Masaaki; Miyazaki, Yoji; Nozawa, Eisuke; Okada, Minoru; Koutoku, Hiroshi; Ohta, Mitsuaki
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000017163	A1	20000330	WO 1999-JP5149	19990921
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2345146	AA	20000330	CA 1999-2345146	19990921

AU 9956544	A1	20000410	AU 1999-56544	19990921
AU 754529	B2	20021121		
BR 9914018	A	20010703	BR 1999-14018	19990921
EP 1122242	A1	20010808	EP 1999-943446	19990921
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 3390744	B2	20030331	JP 2000-574073	19990921
JP 2003137873	A2	20030514	JP 2002-328498	19990921
CN 1129581	B	20031203	CN 1999-811198	19990921
RU 2221785	C2	20040120	RU 2001-107612	19990921
US 6673799	B1	20040106	US 2001-787672	20010321
US 2004010037	A1	20040115	US 2003-608341	20030630
PRIORITY APPLN. INFO.:			JP 1998-267508 A	19980922
			JP 1999-155398 A	19990602
			JP 2000-574073 A3	19990921
			WO 1999-JP5149 W	19990921
			US 2001-787672 A3	20010321

OTHER SOURCE(S): MARPAT 132:237107

GI

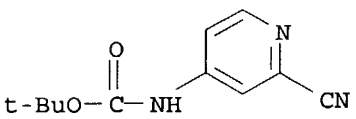


I

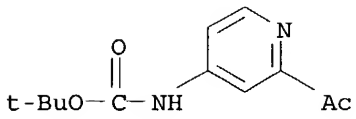
AB The title compds. I [T1 = (CH2)n; T2 = (CH2)k; T3 = (NR4Y)mR5; R = cyano, etc.; R1 = H, halo, etc.; R2 - R4 = H, alkyl, etc.; R5 = alkyl, etc.; k, n = 1 - 3; m = 0 or 1; X = CO, etc.; Z1, Z2 = CH, N; a proviso is given; Y = alkylene, etc.] are prepared These derivs. exhibit antiandrogen activities and are therefore useful in the prevention or treatment of prostatic cancer, prostatic hypertrophy and so forth. In an in vitro assay for inhibition of androgen binding to androgen receptors, (2R,5S)-N-(2-bromo-4-pyridyl)-4-(4-cyano-3-trifluoromethylphenyl)-2,5-dimethylpiperazine-1-carboxamide showed the Ki value of 7.5 nM.

IT **262295-94-3P 262295-95-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazino-substituted cyanophenyl derivs. as antiandrogen agents)

RN 262295-94-3 CAPLUS
CN Carbamic acid, (2-cyano-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

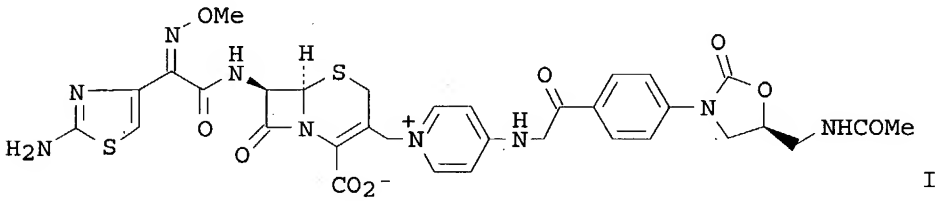


RN 262295-95-4 CAPLUS
CN Carbamic acid, (2-acetyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

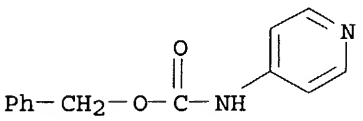
L8 ANSWER 67 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:26717 CAPLUS
DOCUMENT NUMBER: 132:207679
TITLE: Synthesis and in vitro antibacterial activity of quaternary ammonium cephalosporin derivatives bearing oxazolidinone moiety
AUTHOR(S): Chung, In Hwa; Kim, Choong Sup; Seo, Jae Hong; Chung, Bong Young
CORPORATE SOURCE: Biochemicals Research Center, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea
SOURCE: Archives of Pharmacal Research (1999), 22(6), 579-584
CODEN: APHRDQ; ISSN: 0253-6269
PUBLISHER: Pharmaceutical Society of Korea
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Several oxazolidinones having amine moiety were prepared to form a quaternary ammonium salt with cephalosporin nucleus, and antibacterial activity of the quaternary ammonium cephalosporin derivs. (e.g., I) bearing oxazolidinone moiety were examined particularly with expectation of dual activity. However, the cephalosporin-oxazolidinone compds. revealed rather weaker antibacterial activity in vitro than their parent oxazolidinone and cephalosporin without showing any characteristic activity as expected.

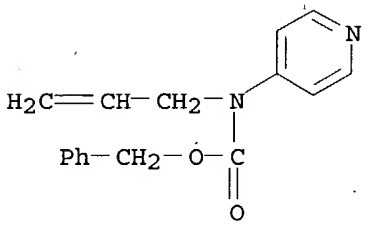
IT **260262-86-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and antibacterial activity of quaternary ammonium oxazolidinonocephalosporin derivs.)
RN 260262-86-0 CAPLUS
CN Carbamic acid, 4-pyridinyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT **260262-87-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antibacterial activity of quaternary ammonium oxazolidinonocephalosporin derivs.)

RN 260262-87-1 CAPLUS
CN Carbamic acid, 2-propenyl-4-pyridinyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



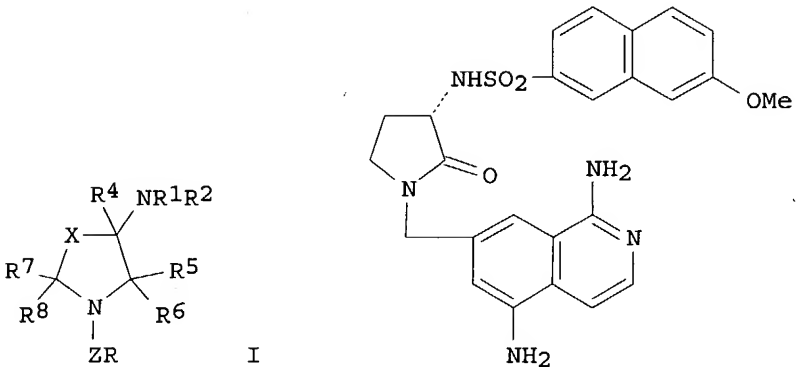
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 68 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:784099 CAPLUS
DOCUMENT NUMBER: 132:22881
TITLE: Sulfonic acid or sulfonylamino N-(heteroaralkyl)azaheterocyclic amides as inhibitors of factor Xa
INVENTOR(S): Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962904	A1	19991209	WO 1999-US12312	19990603
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6602864	B1	20030805	US 1998-90492	19980603
CA 2333994	AA	19991209	CA 1999-2333994	19990603
AU 9943298	A1	19991220	AU 1999-43298	19990603
AU 758642	B2	20030327		
EP 1086099	A1	20010328	EP 1999-955266	19990603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
BR 9910899	A	20011009	BR 1999-10899	19990603
JP 2002517393	T2	20020618	JP 2000-552115	19990603
US 6281227	B1	20010828	US 1999-453307	19991202
NO 2000005912	A	20010131	NO 2000-5912	20001122
US 2002013310	A1	20020131	US 2001-918039	20010730
PRIORITY APPLN. INFO.:			US 1998-90492	A2 19980603

US 1996-33159P	P	19961213
WO 1997-US22406	A2	19971203
WO 1999-US12312	W	19990603
US 1999-453307	A3	19991202

OTHER SOURCE(S): MARPAT 132:22881
GI

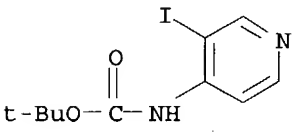


AB Aza heterocycles I [X = (CHR3)m; R = (un)substituted heteroaryl; R1, R2 = H, (un)substituted alkyl, alkenyl, aralkyl; R3 = H, OH, (un)substituted alkyl, aryl, heteroaryl; R4 = H, (un)substituted alkyl, aryl, aralkyl; R5, R6 = H; R5R6 = O; R7, R8 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl; R7R8 = O; R3R7 = alkylene; m = 0-3] were prepared I are inhibitors of the activity of Factor Xa. Thus, the amide II was prepared from 3-acetamido-4-methylbenzaldehyde, malonic acid, and 7-methoxy-2-naphthalenesulfonyl chloride in 10 steps. II had a Ki of 80 nM for inhibition of factor Xa.

IT **211029-67-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azaheterocyclic sulfonamides as inhibitors of factor Xa)

RN 211029-67-3 CAPLUS

CN Carbamic acid, (3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 69 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

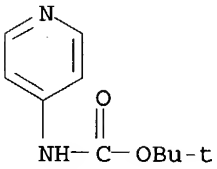
ACCESSION NUMBER:	1999:773393 CAPLUS
DOCUMENT NUMBER:	132:180451
TITLE:	Configurationally Stable Biaryl Analogues of 4-(Dimethylamino)pyridine: A Novel Class of Chiral Nucleophilic Catalysts
AUTHOR(S):	Spivey, Alan C.; Fekner, Tomasz; Spey, Sharon E.; Adams, Harry
CORPORATE SOURCE:	Department of Chemistry, University of Sheffield, Sheffield, S3 7HF, UK
SOURCE:	Journal of Organic Chemistry (1999), 64(26), 9430-9443

CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:180451

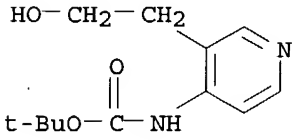
AB A short synthetic approach toward a novel class of chiral nucleophilic catalysts, the dissymmetry of which stems from restricted rotation about an aryl-aryl bond, was developed. The key steps of the synthesis include preparation of a nucleophilic 1-methyl-2-pyrrolino[3,2-c]pyridine core by ortho-lithiation and creation of the biaryl axes via Suzuki cross-coupling reactions. Comparative HPLC studies of racemization for configurationally labile biaryls containing 1-methyl-2-pyrrolino[3,2-c]pyridine, 4-(dimethylamino)pyridine, and 4-(1-pyrrolidino)pyridine cores, resp., demonstrated that a pyrrolidino substituent ortho to the biaryl axis is optimal for slowing aryl-aryl rotation. Biaryls containing all 3 cores were shown to retain DMAP-like catalytic activity in the acylation of a hindered alc. 1-Methyl-7-(2-methyl- and -phenyl-1-naphthyl)-2-pyrrolino[3,2-c]pyridine, which are configurationally stable at ambient temperature, also were prepared via modification of configurationally labile derivs. These compds. in optically pure form should provide a useful starting point for studies on catalytic asym. acyl transfer using atropisomeric analogs of DMAP.

IT **98400-69-2P 219834-80-7P 257937-09-0P**
257937-11-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biaryl analogs of (methylamino)pyridine)

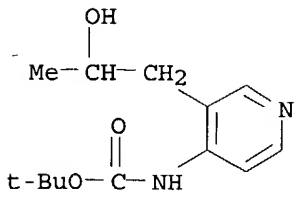
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



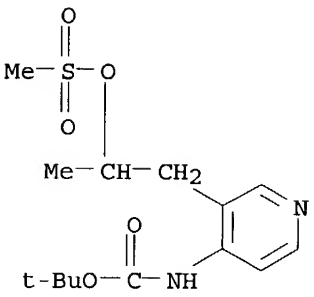
RN 219834-80-7 CAPLUS
CN Carbamic acid, [3-(2-hydroxyethyl)-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



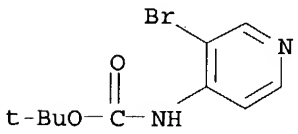
RN 257937-09-0 CAPLUS
CN Carbamic acid, [3-(2-hydroxypropyl)-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 257937-11-4 CAPLUS
CN Carbamic acid, [3-[2-[(methylsulfonyl)oxy]propyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **257937-08-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of biaryl analogs of (methylamino)pyridine)
RN 257937-08-9 CAPLUS
CN Carbamic acid, (3-bromo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 70 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:576928 CAPLUS
DOCUMENT NUMBER: 131:199711
TITLE: Preparation of heterocyclo-substituted imidazopyridopyrazine as protein tyrosine kinase inhibitors
INVENTOR(S): Chen, Ping; Norris, Derek J.; Barrish, Joel C.; Iwanowicz, Edwin J.; Gu, Henry H.; Schieven, Gary L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9945009	A1	19990910	WO 1999-US4499	19990301
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2322311	AA	19990910	CA 1999-2322311	19990301
AU 9933137	A1	19990920	AU 1999-33137	19990301
AU 756838	B2	20030123		
EP 1066286	A1	20010110	EP 1999-937929	19990301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002505330	T2	20020219	JP 2000-534551	19990301
ZA 9901721	A	20001010	ZA 1999-1721	19990303
US 5990109	A	19991123	US 1999-262525	19990304
PRIORITY APPLN. INFO.:			US 1998-76789P P	19980304
			WO 1999-US4499 W	19990301

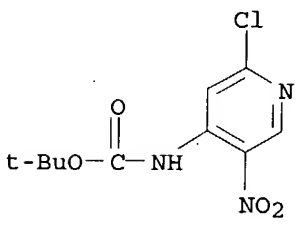
OTHER SOURCE(S): MARPAT 131:199711
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; X = N, CH, electron pair; Y = CH, ClC, 4-CH3-piperaziny-C, 4-morpholinyl-C, 4-morpholinyl-CH2CH2NH-C, 4-morpholinyl-CH2CH2O-C, 3-pyridyl-CH2NH-C, MeOCH2CH2OC, (CH3)2NC, N, CH3N, MeOC, heterocyclolylC; Z = CH, N, MeOC; W = CH, N, CH3C; R1 = H, CH3, C6H5CH2NHCONHC, CH3(CH2)3NHCONHC; R2 = 2-Cl-6-CH3C6H3, 2-BrC6H4, 2-Cl-4,6-(CH3)2C6H2, 2,6-(CH3)2-4-BrC6H2, 2,4,6-(CH3)3C6H2, 2,6-(CH3)2C6H3, 2,6-(Cl)2C6H3; etc.], stereoisomers, and salts thereof are prepared and tested; pharmaceutical compns. containing such compds., and methods of using such compds. in the treatment of protein tyrosine kinase-associated disorders such as immunol. disorders are claimed. Thus, the title compound II was prepared

IT **240815-74-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazopyridopyrazines as protein tyrosine kinase inhibitors)

RN 240815-74-1 CAPLUS
CN Carbamic acid, (2-chloro-5-nitro-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



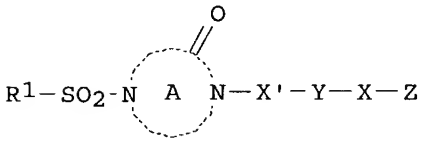
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 71 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:511143 CAPLUS
DOCUMENT NUMBER: 131:170361
TITLE: Preparation of sulfonamides as inhibitors of activated blood coagulation factor X
INVENTOR(S): Tawada, Hiroyuki; Itoh, Fumio; Banno, Hiroshi; Terashita, Zenichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940075	A1	19990812	WO 1999-JP470	19990204
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2317017	AA	19990812	CA 1999-2317017	19990204
AU 9922988	A1	19990823	AU 1999-22988	19990204
JP 2000204081	A2	20000725	JP 1999-27053	19990204
EP 1054005	A1	20001122	EP 1999-902829	19990204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6403595	B1	20020611	US 2000-601660	20000803
US 2002193382	A1	20021219	US 2002-128809	20020424
US 6680312	B2	20040120		

PRIORITY APPLN. INFO.:
JP 1998-24833 A 19980205
JP 1998-317205 A 19981109
WO 1999-JP470 W 19990204
US 2000-601660 A3 20000803

OTHER SOURCE(S): MARPAT 131:170361
GI

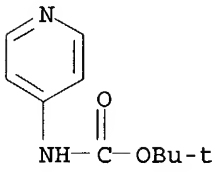


I

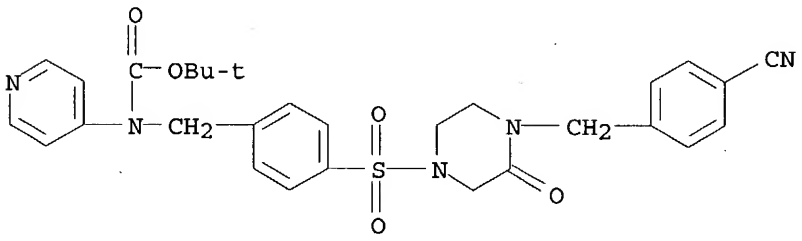
AB The title compds. I [R1 represents a hydrocarbyl or heterocyclic group each optionally substituted; the ring A represents a divalent nitrogen-containing heterocycle group optionally further substituted; X' represents optionally substituted alkylene; Y represents an optionally substituted divalent cyclic group; X represents a bond or optionally substituted alkylene; and Z represents optionally substituted amino, optionally substituted imidoyl, or an optionally substituted nitrogen-containing heterocyclic group] are prepared Formulations containing a compound of this invention are given. In a test for inhibiting activity of title compds. against activated blood coagulation factor X,

1-(4-amidinobenzyl)-4-(6-chloronaphthalene-2-sulfonyl)-2-piperazinone hydrochloride showed IC50 of 0.05 μM.

IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of sulfonamides as inhibitors of activated blood coagulation factor X)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **239073-83-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfonamides as inhibitors of activated blood coagulation factor X)
RN 239073-83-7 CAPLUS
CN Carbamic acid, [[4-[[4-[(4-cyanophenyl)methyl]-3-oxo-1-piperazinyl]sulfonyl]phenyl]methyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 72 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:487215 CAPLUS
DOCUMENT NUMBER: 131:130007
TITLE: Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors
INVENTOR(S): Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

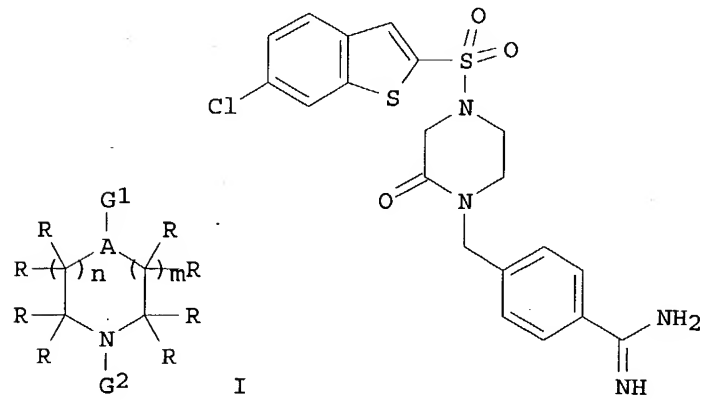
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937304	A1	19990729	WO 1999-US1682	19990127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9900607	A	19990727	ZA 1999-607	19990127
CA 2319198	AA	19990729	CA 1999-2319198	19990127
AU 9926533	A1	19990809	AU 1999-26533	19990127
AU 745425	B2	20020321		
BR 9907300	A	20001024	BR 1999-7300	19990127
EP 1051176	A1	20001115	EP 1999-906684	19990127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200002182	T2	20001221	TR 2000-200002182	19990127
JP 2002501024	T2	20020115	JP 2000-528286	19990127
EE 200000435	A	20020215	EE 2000-435	19990127
WO 2000032590	A1	20000608	WO 1999-US28074	19991124
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2003529531	T2	20031007	JP 2000-585232	19991124
NO 2000003808	A	20000926	NO 2000-3808	20000725
BG 104633	A	20010330	BG 2000-104633	20000725
US 2004102450	A1	20040527	US 2003-628093	20030725

PRIORITY APPLN. INFO.:

US 1998-72707P	A2	19980127
US 1998-110012P	A2	19981125
WO 1999-US1682	W	19990127
US 1999-313611	A2	19990518
US 1999-363196	A2	19990728
WO 1999-US28074	W	19991124

OTHER SOURCE(S): MARPAT 131:130007

GI

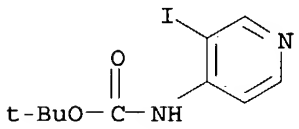


I II

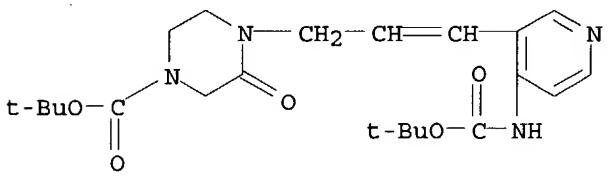
AB The invention is directed to oxoazaheterocyclyl compds. I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH, N; G1, G2 = (independently) -L-Cy; L = various atomic and mol. linkers, including O, (un)substituted NH or S, alk(en/yn)ylene, etc., or their combinations; Cy = (un)substituted (hetero)aryl, cycloalk(en)yl, heterocyclyl, etc.; R = (independently) H, CO2H, alkoxycarbonyl, (un)substituted carbamoyl, alkyl, (hetero)aryl, (hetero)aralkyl; or two geminal R groups = O or S; m, n = 0-2; with provisos]. The compds. inhibit factor Xa (no data), and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 compds. I, which are also claimed, and several hundred intermediates. For instance, sulfonamidation of 6-chlorobenzo[b]thiophene-2-sulfonyl chloride with 4-(2-oxopiperazin-1-ylmethyl)benzamidine bistrifluoroacetate (prepns. given) in CH2Cl2 in the presence of Et3N gave title compound II.

IT **211029-67-3P 234098-76-1P 234099-12-8P 234099-13-9P 234108-73-7P 234108-74-8P 234108-83-9P 234108-91-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)

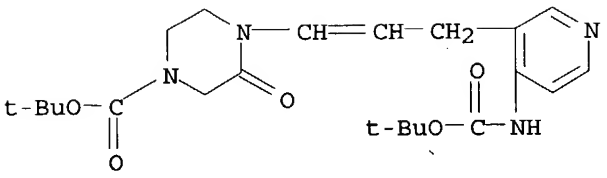
RN 211029-67-3 CAPLUS
CN Carbamic acid, (3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



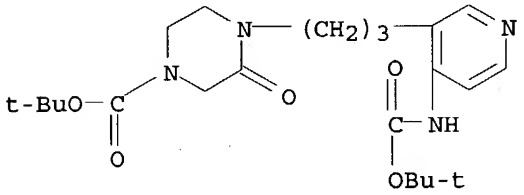
RN 234098-76-1 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]-2-propenyl]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



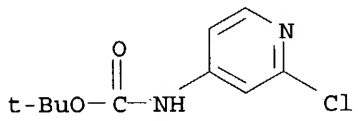
RN 234099-12-8 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]-1-propenyl]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



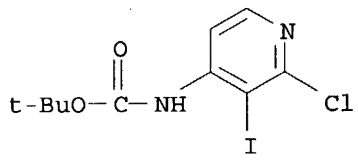
RN 234099-13-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]propyl]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



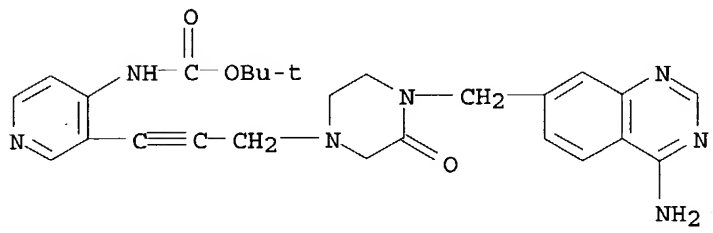
RN 234108-73-7 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



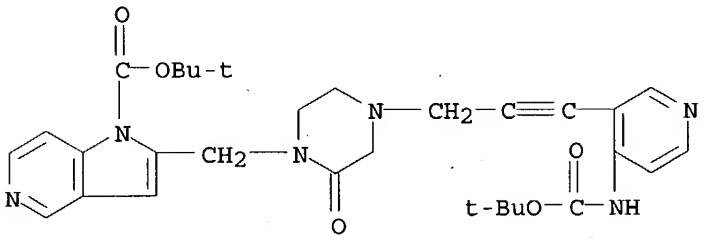
RN 234108-74-8 CAPLUS
CN Carbamic acid, (2-chloro-3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 234108-83-9 CAPLUS
CN Carbamic acid, [3-[3-[4-[(4-amino-7-quinazolinyl)methyl]-3-oxo-1-piperazinyl]-1-propynyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

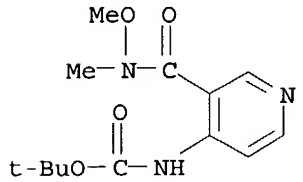


RN 234108-91-9 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine-1-carboxylic acid, 2-[[4-[3-[4-[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]-2-propynyl]-2-oxo-1-piperazinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 73 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:459691 CAPLUS
DOCUMENT NUMBER: 131:252128
TITLE: Discovery of 1,6-Naphthyridines as a Novel Class of Potent and Selective Human Cytomegalovirus Inhibitors
AUTHOR(S): Chan, Laval; Jin, Haolun; Stefanac, Tomislav; Lavallee, Jean-Francois; Falardeau, Guy; Wang, Wei; Bedard, Jean; May, Suzanne; Yuen, Leonard
CORPORATE SOURCE: BioChem Pharma Inc., Laval, QC, H7V 4A7, Can.
SOURCE: Journal of Medicinal Chemistry (1999), 42(16), 3023-3025
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The design and synthesis of analogs of 1,6-naphthyridine as human cytomegalovirus inhibitors as well as the results of preliminary structure-activity relation (SAR) studies are described. The SAR investigation suggests that an isopropoxy group at the ortho position and substitution at C-8 are highly desirable.
IT **244780-32-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(discovery of naphthyridines as a novel class of potent and selective human Cytomegalovirus inhibitors and structure-activity relations)
RN 244780-32-3 CAPLUS
CN Carbamic acid, [3-[(methoxymethylamino)carbonyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 74 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:330554 CAPLUS

DOCUMENT NUMBER: 130:352189
TITLE: Preparation of N-phenylacetyl-N-alkoxycarbonylaminopyridines as pesticides and fungicides.
INVENTOR(S): Bretschneider, Thomas; Heil, Markus; Alig, Bernd; Kleefeld, Gerd; Erdelen, Christoph
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19750403	A1	19990520	DE 1997-19750403	19971114
WO 9925691	A1	19990527	WO 1998-EP6908	19981031
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9911570	A1	19990607	AU 1999-11570	19981031
EP 1044188	A1	20001018	EP 1998-954472	19981031
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 2001523664	T2	20011127	JP 2000-521075	19981031
US 6335325	B1	20020101	US 2000-554152	20000509
PRIORITY APPLN. INFO.:			DE 1997-19750403 A	19971114
			WO 1998-EP6908 W	19981031

OTHER SOURCE(S): MARPAT 130:352189

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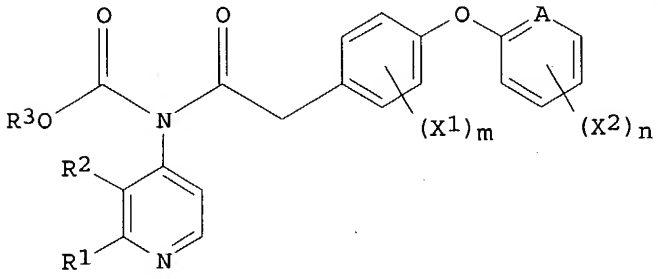
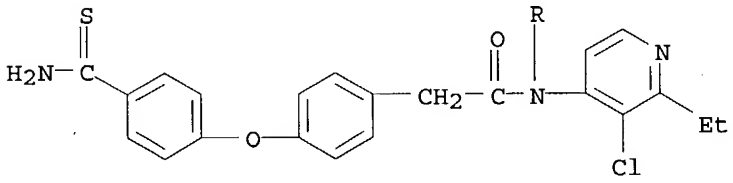
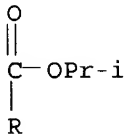


I

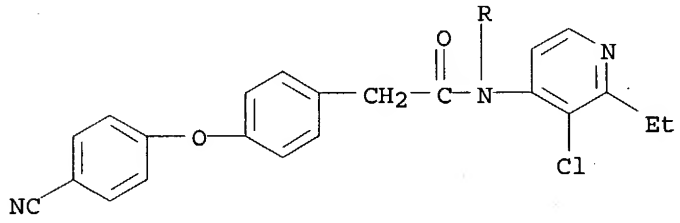
AB Title compds. [I; R1 = alkyl, haloalkyl, alkoxy, alkylthio, alkoxyalkyl, alkylthioalkyl, (substituted) cycloalkyl; R2 = H, alkyl, alkoxy, halo, cyano, aminothiocarbonyl, (substituted) aryl; R3 = alkyl, alkenyl, (substituted) cycloalkyl, aryl, aralkyl; R4 = CONR5R6, CSNR7R8, C(:NH)NHOR9, CHO, etc.; R5-R9 = H, alkyl; A = CH, CX2, N; X1, X2 = halo, alkyl, alkoxy, haloalkyl; m, n = 0-3; with provisos], were prepared Thus, N-(3-chloro-2-ethylpyridin-4-yl)-N-isopropoxycarbonyl-4-(4-cyanophenoxy)phenylacetamide was heated with diphenyldithiophosphinic acid in Me2CHOH to give N-(3-chloro-2-ethylpyridin-4-yl)-N-isopropoxycarbonyl-4-(4-aminothiocarbonylphenoxy)phenylacetamide. The latter at 0.0008% gave 100% kill of Spodoptera exigua.

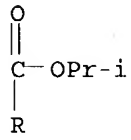
IT **224645-41-4P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-phenylacetyl-N-alkoxycarbonylaminopyridines as pesticides and fungicides)
RN 224645-41-4 CAPLUS
CN Carbamic acid, [[4-[4-(aminothioxomethyl)phenoxy]phenyl]acetyl](3-chloro-2-ethyl-4-pyridinyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)





IT **224645-43-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-phenylacetyl-N-alkoxycarbonylaminopyridines as pesticides and fungicides)
RN 224645-43-6 CAPLUS
CN Carbamic acid, (3-chloro-2-ethyl-4-pyridinyl)[[4-(4-cyanophenoxy)phenyl]acetyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)





L8 ANSWER 75 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:330553 CAPLUS
DOCUMENT NUMBER: 130:352188
TITLE: Preparation of N-phenylacetyl-N-alkoxycarbonyl-4-aminopyridines as pesticides and fungicides.
INVENTOR(S): Bretschneider, Thomas; Heil, Markus; Alig, Bernd; Kleefeld, Gerd; Erdelen, Christoph
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 26 pp.

CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19750402	A1	19990520	DE 1997-19750402	19971114
WO 9925692	A1	19990527	WO 1998-EP6958	19981103
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9911572	A1	19990607	AU 1999-11572	19981103
EP 1037877	A1	20000927	EP 1998-954479	19981103
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 2001523665	T2	20011127	JP 2000-521076	19981103
ZA 9810386	A	19990520	ZA 1998-10386	19981113

PRIORITY APPLN. INFO.: DE 1997-19750402 A 19971114
WO 1998-EP6958 W 19981103

OTHER SOURCE(S): MARPAT 130:352188
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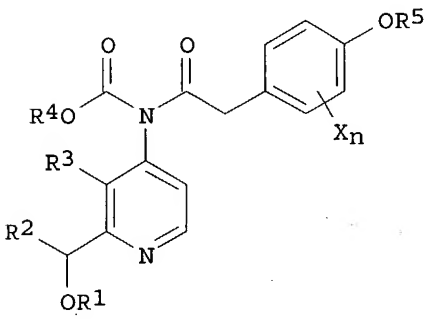


I

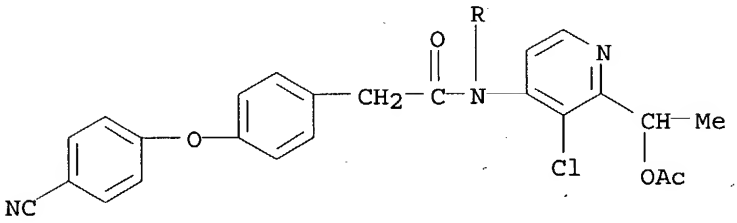
AB Title compds. [I; R1 = COY1, CO2Y2, COSY3, CONH2, CONHY4, CONY5Y6, SO2Y7; Y1-Y7 = alkyl, haloalkyl, (substituted) cycloalkyl, Ph; R2 = H, alkyl; R3 = H, alkyl, halo, cyano, aminothiocarbonyl, (substituted) Ph; R4 = alkyl, alkenyl, (substituted) cycloalkyl, Ph, PhCH2; R5 = (substituted) Ph; X = alkyl, halo, alkoxy, haloalkyl; n = 0-3], were prepared Thus, N-[2-(1-acetyloxy-1-ethyl)-3-chloropyridin-4-yl]-4-(4-cyanophenoxy)phenylacetamide (preparation given) in THF was treated with NaH and then with Me2CHO2CCl to give N-[2-(1-acetoxy-1-ethyl)-3-chloropyridin-4-yl]-N-isopropoxycarbonyl-4-(4-cyanophenoxy)phenylacetamide. The latter at 0.0008% gave 100% kill of Spodoptera exigua.

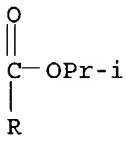
IT **224623-80-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-phenylacetyl-N-alkoxycarbonyl-4-aminopyridines as pesticides and fungicides)

RN 224623-80-7 CAPLUS

CN Carbamic acid, [2-[1-(acetyloxy)ethyl]-3-chloro-4-pyridinyl][[4-(4-

cyanophenoxy)phenyl]acetyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

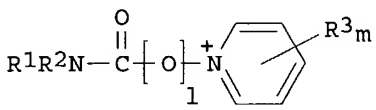




L8 ANSWER 76 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:260812 CAPLUS
DOCUMENT NUMBER: 130:330528
TITLE: Processing of silver halide photographic material containing pyridinium compound hardening agent
INVENTOR(S): Takahashi, Shigeaki
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11109541	A2	19990423	JP 1997-282599	19970930
PRIORITY APPLN. INFO.:			JP 1997-282599	19970930

OTHER SOURCE(S): MARPAT 130:330528
GI

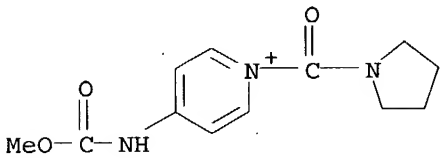


I

AB In processing the title material possessing hydrophilic colloid layers including Ag halide emulsion layers on a support with a developing solution, ≥1 of the colloid layers is hardened with a hardener I (R1, R2 = H, alkyl, aryl, R1 and R2 may link to form a heterocycle along with the N atom; R3 = substituent; m = 0-5, when m ≥2, the plural R3 groups are the same or different ; l = 0 or 1; X = counter ion; n = number required to neutralize the total charge in the mol., when I forms an inner salt, n = 0) and the developing solution contains C5-20 sugars having 5-20 OH groups. The material shows improved Ag tone, good drying properties, and little unevenness in gloss even in rapid processing.

IT **189155-48-4**
RL: TEM (Technical or engineered material use); USES (Uses)
(pyridinium compound photog. hardening agent)

RN 189155-48-4 CAPLUS
CN Pyridinium, 4-[(methoxycarbonyl)amino]-1-(1-pyrrolidinylcarbonyl)-, chloride (9CI) (CA INDEX NAME)



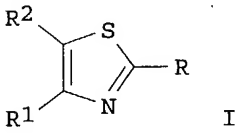
● Cl⁻

L8 ANSWER 77 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:222935 CAPLUS
DOCUMENT NUMBER: 130:267423
TITLE: Preparation of N-(2-thiazolyl)indole-2-carboxamides and analogs as CCK-A receptor agonists
INVENTOR(S): Brodin, Roger; Boigegrain, Robert; Bignon, Eric; Molimard, Jean-Charles; Olliero, Dominique
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9915525	A1	19990401	WO 1998-FR2007	19980918
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2768737	A1	19990326	FR 1997-11718	19970919
FR 2768737	B1	20000519		
FR 2777887	A1	19991029	FR 1998-5106	19980423
FR 2777887	B3	20000707		
ZA 9807961	A	19990407	ZA 1998-7961	19980901
CA 2304397	AA	19990401	CA 1998-2304397	19980918
AU 9891705	A1	19990412	AU 1998-91705	19980918
AU 746707	B2	20020502		
EP 1017693	A1	20000712	EP 1998-944024	19980918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9812653	A	20000822	BR 1998-12653	19980918
EE 200000168	A	20010416	EE 2000-200000168	19980918
TW 430664	B	20010421	TW 1998-87115602	19980918
TR 200001218	T2	20010521	TR 2000-200001218	19980918
JP 2001517667	T2	20011009	JP 2000-512830	19980918
JP 3456970	B2	20031014		
NZ 503339	A	20020328	NZ 1998-503339	19980918
IL 134961	A1	20020725	IL 1998-134961	19980918

NO 2000001409	A	20000516	NO 2000-1409		20000317
HR 2000000153	A1	20010430	HR 2000-153		20000317
BG 104254	A	20010831	BG 2000-104254		20000317
US 6380230	B1	20020430	US 2000-508830		20000602
PRIORITY APPLN. INFO.:			FR 1997-11718	A	19970919
			FR 1998-5106	A	19980423
			WO 1998-FR2007	W	19980918

OTHER SOURCE(S): MARPAT 130:267423
GI

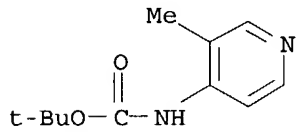


I

AB Title compds. [I; R = NHCOR3; R1 = MeOZ; R2 = R7CH2, R7CH2S, R7SCH2, etc.; R3 = e.g., Z1(CH2)nR15 or Z1(CH2)mC6H4R15; R7 = (di)(methyl)cycloalkyl; R15 = CO2H or alkoxycarbonyl; Z = (un)substituted 1,2-phenylene; Z1 = (un)substituted indole-2,1-diyl; m = 0 or 1; n = 1-5] were prepared Thus, I (R1 = 2,5-dimethoxy-4-methylphenyl, R2 = 2-cyclohexylethyl)(II; R = NH2) was amidated by 1-tert-butoxycarbonylmethyl-5-methylindole-2-carboxylic acid (preparation each given) to give, after saponification, II (R = NHCOZ1CH2CO2H, Z1 = 5-methylindole-2,1-diyl). Data for biol. activity of I were given.

IT **180253-65-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-(2-thiazolyl)indole-2-carboxamides and analogs as CCK-A receptor agonists)

RN 180253-65-0 CAPLUS
CN Carbamic acid, (3-methyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 78 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1999:184245 CAPLUS
DOCUMENT NUMBER:	130:223301
TITLE:	Preparation of 6,7-asymmetrically disubstituted quinoxalinecarboxylic acid derivatives and addition salts thereof as selective antagonists of AMPA receptor
INVENTOR(S):	Takano, Yasuo; Shiga, Futoshi; Takadoi, Masanori; Uchiki, Hideharu; Asano, Jun; Anraku, Tsuyoshi; Fukuchi, Kazunori; Uda, Junichiro; Ando, Naoki
PATENT ASSIGNEE(S):	Kyorin Pharmaceutical Co., Ltd., Japan
SOURCE:	PCT Int. Appl., 293 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

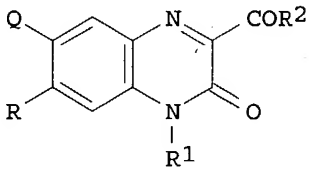
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911632	A1	19990311	WO 1998-JP3832	19980828
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2000080085	A2	20000321	JP 1998-291295	19980826
CA 2302161	AA	19990311	CA 1998-2302161	19980828
AU 9888864	A1	19990322	AU 1998-88864	19980828
AU 744540	B2	20020228		
EP 1020453	A1	20000719	EP 1998-940594	19980828
EP 1020453	B1	20040519		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9811739	A	20000919	BR 1998-11739	19980828
AT 267176	E	20040615	AT 1998-940594	19980828
NO 2000001046	A	20000502	NO 2000-1046	20000301
US 6348461	B1	20020219	US 2000-485716	20000301

PRIORITY APPLN. INFO.:

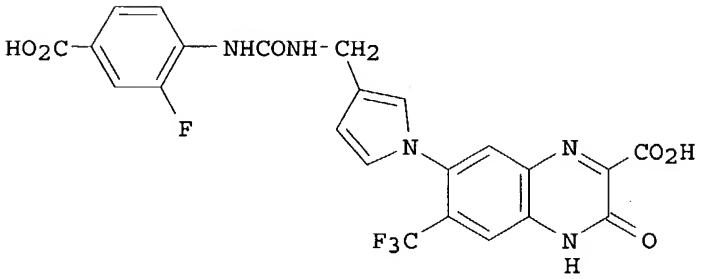
JP 1997-251313	A	19970901
JP 1998-190108	A	19980706
JP 1998-190109	A	19980706
WO 1998-JP3832	W	19980828

OTHER SOURCE(S): MARPAT 130:223301

GI



I



II

AB Claimed and prepared are the disubstituted quinoxalinecarboxylic acid derivs. represented by formula [I; wherein Q is halogeno, optionally halogenated lower alkyl, Ar-P- (wherein Ar is Ph optionally substituted with one or more substituting groups, or naphthyl; and P is lower alkylene, lower alkenylene, lower alkynylene, oxygen or sulfur), etc.; R is nitro, trifluoromethyl, optionally substituted amino or a group of general formula NS(O)nNR10R11 (wherein R10 and R11 represent H, optionally

halo-substituted alkyl, cycloalkyl, aralkyl, Ph, or optionally fused heterocyclyl; or NR10R11 forms a ring optionally containing 1 or 2 heteroatoms; n is 1 or 2); R1 is aralkyl, Ph, naphthyl, a 5- or 6-membered heterocycle or a fused ring thereof (which may have one or more substituting groups on the aromatic ring or the heterocycle), hydrogen, optionally halogenated lower alkyl or cycloalkyl; and R2 is hydroxyl, lower alkoxy or a group of general formula NR8R9 (wherein R8 and R9 are aralkyl, Ph, optionally fused heterocyclyl, H, optionally halo-substituted alkyl, or cycloalkyl; or NR8R9 forms a ring optionally containing 1 or 2 heteroatoms)]. Also claimed are antagonists of excitatory amino acid receptors comprising as the active ingredient 6,7-asym. disubstituted quinoxalinecarboxylic acid derivs. or addition salts thereof, particularly compds. exhibiting antagonism against AMPA receptors (non-NMDA receptor) ; and processes for the preparation of both. They are useful for the treatment of brain nerve cell disorders related to nerve cell death, so called excitotoxicity caused by excessive excitation of glutamic acid receptors. Thus, addition reaction of Et 7-(3-(aminomethyl)pyrrol-1-yl)-3-oxo-1,2,3,4-tetrahydro-6-(trifluoromethyl)quinoxaline-2-carboxylate hydrochloride with Et 3-fluoro-4-isocyanatobenzoate followed by 2,3-dichloro-5,6-dicyanoquinone oxidation and saponification gave the title compound (II). II in vitro showed the binding affinity to a synaptosome preparation from rat cerebral cortex with Ki of 11.8 nM.

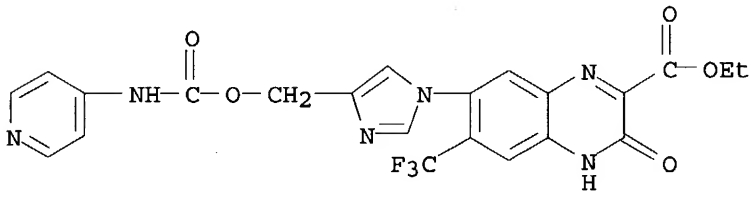
IT **221165-80-6P 221166-27-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of asym. disubstituted quinoxalinecarboxylic acid derivs. as selective antagonists of AMPA receptor for treatment of brain nerve cell disorders)

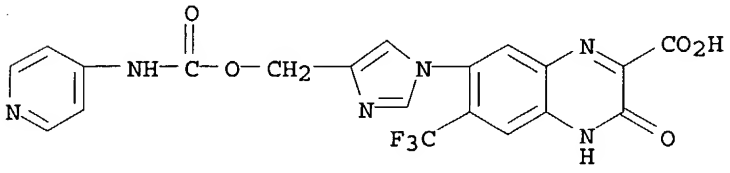
RN 221165-80-6 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 3,4-dihydro-3-oxo-7-[4-[[[(4-pyridinylamino)carbonyl]oxy]methyl]-1H-imidazol-1-yl]-6-(trifluoromethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 221166-27-4 CAPLUS

CN 2-Quinoxalinecarboxylic acid, 3,4-dihydro-3-oxo-7-[4-[[[(4-pyridinylamino)carbonyl]oxy]methyl]-1H-imidazol-1-yl]-6-(trifluoromethyl)-(9CI) (CA INDEX NAME)



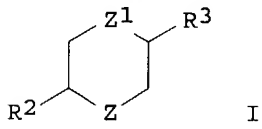
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 79 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:175680 CAPLUS
DOCUMENT NUMBER: 130:223298
TITLE: Preparation of 1,4-diacylpiperazinecarboxylates and -acetates and analogs as farnesyl protein transferase inhibitors
INVENTOR(S): Doll, Ronald J.; Mallams, Alan K.; Afonso, Adriano; Rane, Dinanth F.; Njoroge, George F.; Rossman, Randall R.; Baldwin, John J.; Li, Ge; Reader, John C.
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia Inc.
SOURCE: U.S., 44 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5880128	A	19990309	US 1996-646835	19960508
PRIORITY APPLN. INFO.:			US 1996-646835	19960508
OTHER SOURCE(S):	MARPAT 130:223298			

GI

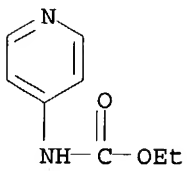


I

AB Title compds. [I; R2,R3 = H, alkyl, carbamoyl(alkyl), alkoxycarbonyl(alkyl), etc.; Z = NR1 and Z1 = CHCOR or NCOR; Z = NCOR and Z1 = NR1; R1 = T(CRaRb)xR10, COCH(NH2)CH2SH, CH2CH(SH)CH2NH2, etc.; R10 = H, (ar)alkyl, aryl(oxy), heteroaryl, etc.; Ra,Rb = H, (ar)alkyl, NH2, CO2H, etc.; T = bond, CO, CO2, SO2, etc.; x = 0-6] were prepared Thus, I (R2 = CH2CONHCHMe2, R3 = H)(II; Z = fluorenylmethoxycarbonylimino, Z1 = NCO2CMe3)(preparation given) was mono-deprotected and the product amidated by 3-pyridineacetic acid to give II (Z = 3-pyridineacetylimino)(III; Z1 = NCO2CMe3) which was deprotected and the product amidated by 1-naphthoic acid to give III (Z1 = 1-naphthoylimino). Data for biol. activity of I were given.

IT **54287-92-2P**, Ethyl 4-pyridinecarbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1,4-diacylpiperazinecarboxylates and -acetates and analogs as farnesyl protein transferase inhibitors)

RN 54287-92-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



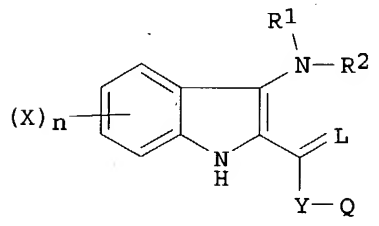
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 80 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:109422 CAPLUS
DOCUMENT NUMBER: 130:153572
TITLE: Preparation of 3-aminoindole compounds as cyclooxygenase (COX-2) inhibitors
INVENTOR(S): Stevens, Rodney William; Nakao, Kazunari; Kawamura, Kiyoshi; Uchida, Chikara; Fujiwara, Shinya
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9905104	A1	19990204	WO 1998-IB1026	19980703
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9880305	A1	19990216	AU 1998-80305	19980703
EP 1001934	A1	20000524	EP 1998-928479	19980703
EP 1001934	B1	20021127		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9812095	A	20000718	BR 1998-12095	19980703
JP 2000513386	T2	20001010	JP 1999-509572	19980703
JP 3267635	B2	20020318		
AT 228503	E	20021215	AT 1998-928479	19980703
PT 1001934	T	20030228	PT 1998-928479	19980703
ES 2184280	T3	20030401	ES 1998-928479	19980703
ZA 9806526	A	20000124	ZA 1998-6526	19980722
US 6300363	B1	20011009	US 1999-424837	19991203

PRIORITY APPLN. INFO.: WO 1997-IB917 A 19970723
WO 1998-IB1026 W 19980703

OTHER SOURCE(S): MARPAT 130:153572
GI



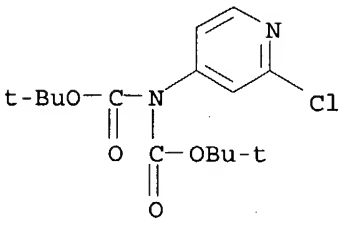
I

AB This invention provides a compound of formula (I) and the pharmaceutically acceptable salts thereof [wherein L is oxygen or sulfur; Y is a direct bond or C1-4 alkylidene; Q is C1-6 alkyl, C3-7 cycloalkyl, Ph, naphthyl, heteroaryl or the like; R1 is hydrogen, C1-6 alkyl or the like; R2 is hydrogen, C1-4 alkyl, C(O)R5 wherein R5 is C1-22 alkyl or C2-22 alkenyl, halosubstituted C1-8 alkyl, halosubstituted C2-8 alkenyl, -Y-C3-7

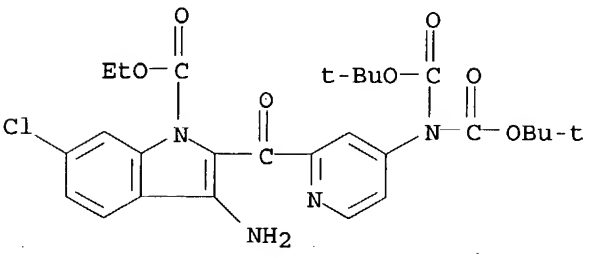
cycloalkyl, -Y-C3-7 cycloalkenyl, Ph, naphthyl, heteroaryl or the like; X is halo, C1-4 alkyl, hydroxy, C1-4 alkoxy or the like; and n is 0, 1, 2 or 3, with the proviso that a group of formula -Y-Q is not Me or Et when X is hydrogen; L is oxygen; R1 is hydrogen; and R2 is acetyl]. The compds. of this invention inhibit the biosynthesis of prostaglandins by intervention of the action of the enzyme cyclooxygenase on arachidonic acid, and are therefore useful in the treatment or alleviation of inflammation and other inflammation associated disorders in mammals. This invention also provides a pharmaceutical composition useful for the treatment of a medical condition in which prostaglandins are implicated as pathogens. Preferably compds. of this invention exhibit inhibitory activity against COX-2, with more preferable compds. having COX-2 selectivity. Thus, To a solution of 2-amino-4-chlorobenzonitrile (10.0 g, 65.5 mmol) in DMF (30 mL) cooled to 0 °C was added sodium hydride (60% weight/weight dispersion in mineral oil, 2.75 g, 68.7 mmol) portionwise over 10 min. The mixture was stirred for 1 h at 0 °C and then Et chloroformate (6.6 mL, 68.7 mmol) slowly added. After stirring for an addnl. hour at this temperature, the mixture was poured into water (300 mL) and extracted with di-Et ether to give 100% 4-chloro-2-(ethoxycarbonylamino)benzonitrile. Most compds. prepared in the working examples showed IC50 values of 0.0001 μM to 15 μM with respect to inhibition of COX-2 in human cell based COX-2 assay using confluent human umbilical vein endothelial cells.

IT **220270-49-5P**, 4-[N,N-Bis(tert-butoxycarbonyl)amino]-2-chloropyridine **220270-50-8P 220270-51-9P 220270-52-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoindole compds. as cyclooxygenase (COX-2) inhibitors, prostaglandin biosynthesis inhibitors, and antiinflammatory agents)

RN 220270-49-5 CAPLUS
CN Imidodicarbonic acid, (2-chloro-4-pyridinyl)-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

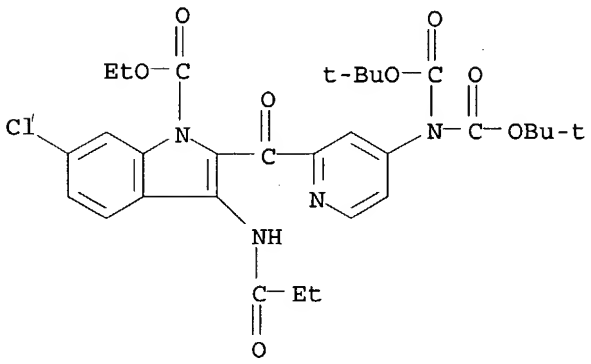


RN 220270-50-8 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-amino-2-[[4-[bis[(1,1-dimethylethoxy)carbonyl]amino]-2-pyridinyl]carbonyl]-6-chloro-, ethyl ester (9CI) (CA INDEX NAME)

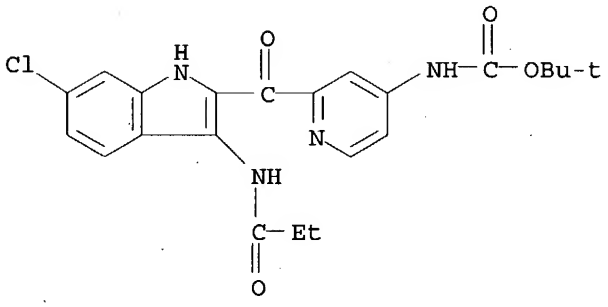


RN 220270-51-9 CAPLUS

CN 1H-Indole-1-carboxylic acid, 2-[[4-[bis[(1,1-dimethylethoxy)carbonyl]amino]-2-pyridinyl]carbonyl]-6-chloro-3-[(1-oxopropyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



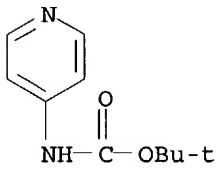
RN 220270-52-0 CAPLUS
CN Carbamic acid, [2-[[6-chloro-3-[(1-oxopropyl)amino]-1H-indol-2-yl]carbonyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



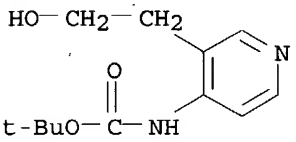
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 81 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:766183 CAPLUS
DOCUMENT NUMBER: 130:139211
TITLE: Synthesis of atropisomeric analogs of DMAP
AUTHOR(S): Spivey, Alan C.; Fekner, Tomasz; Adams, Harry
CORPORATE SOURCE: Department of Chemistry, University of Sheffield, Sheffield, S3 7HF, UK
SOURCE: Tetrahedron Letters (1998), 39(48), 8919-8922
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:139211
AB A method for the preparation of 7-aryl derivs. of N-methyl-5-azaindoline involving Suzuki cross-coupling is described. Certain biaryls prepared in this manner exhibit atropisomerism. In particular, one azaindoline [i.e., 2,3-dihydro-1-methyl-7-(3-methyl-1,1'-biphenyl-2-yl)-1H-pyrrolo[3,2-c]pyridine] is shown to be configurationally stable at room temperature and to catalyze efficiently the esterification. of 1-methylcyclohexanol with Ac2O.
IT **98400-69-2P 219834-80-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of of atropisomeric analogs of DMAP)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 219834-80-7 CAPLUS
CN Carbamic acid, [3-(2-hydroxyethyl)-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

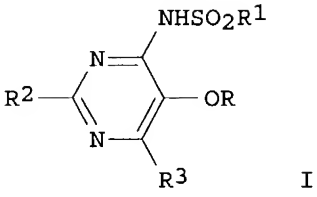


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 82 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:749411 CAPLUS
DOCUMENT NUMBER: 130:13993
TITLE: Preparation of N-(phenoxypyrimidinyl)heteroaromatic sulfonamides as endothelin antagonists
INVENTOR(S): Breu, Volker; Burri, Kaspar; Cassal, Jean-marie; Clozel, Martine; Hirth, Georges; Loffler, Bernd-michael; Muller, Marcel; Neidhart, Werner; Ramuz, Henri
PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., USA
SOURCE: U.S., 17 pp., Cont.-in-part of U. S. Ser. No. 676,313.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5837708	A	19981117	US 1996-730422	19961015
WO 9616963	A1	19960606	WO 1995-CH131	19950606
W: CH, US				
ZA 9509808	A	19960527	ZA 1995-9808	19951117
PL 185692	B1	20030731	PL 1995-311487	19951124
BR 9505528	A	19971104	BR 1995-5528	19951127
PRIORITY APPLN. INFO.:			CH 1994-3559 A	19941125
			WO 1995-CH131 A	19950606
			US 1996-676313 A2	19960718

OTHER SOURCE(S): MARPAT 130:13993
GI



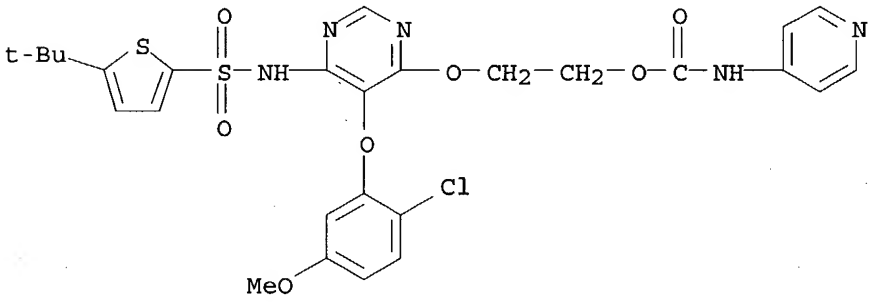
I

AB Title compds. [I; R = (un)substituted Ph; R1 = heterocyclyl (sic); R2 = H, alkyl, alkoxy, Ph, etc.; R3 = CHO, (un)substituted alkyl, alkoxy, etc.] were prepared Thus, 4,6-dichloro-5-(2-methoxyphenoxy)-2,2'-bipyrimidine was condensed with 5-tert-butylthiophene-2-sulfonamide K salt and the product etherified by (HOCH2)2 to give I [R = OC6H4(OMe)-2, R1 = 5-tert-butyl-2-thienyl, R2 = 2-pyrimidinyl, R3 = OCH2CH2OH]. Data for biol. activity of I were given.

IT **179400-39-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(phenoxypyrimidinyl)heteroarom. sulfonamides as endothelin antagonists)

RN 179400-39-6 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 2-[[5-(2-chloro-5-methoxyphenoxy)-6-[[[5-(1,1-dimethylethyl)-2-thienyl]sulfonyl]amino]-4-pyrimidinyl]oxy]ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

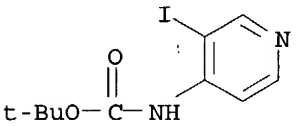
L8 ANSWER 83 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:426686 CAPLUS
DOCUMENT NUMBER: 129:161514
TITLE: Transition metal catalyzed synthesis of 5-azaindoles
AUTHOR(S): Xu, Lianhong; Lewis, Iestyn R.; Davidsen, Steven K.; Summers, James B.
CORPORATE SOURCE: D47J, AP10, Cancer Research, Abbott Park, IL, 60064-3500, USA
SOURCE: Tetrahedron Letters (1998), 39(29), 5159-5162
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 129:161514

AB In an effort to develop synthetic procedures for the preparation of 2-substituted 5-azaindoles, the synthesis and cyclization reactions of acetylenic aminopyridines was explored. A novel method for the synthesis

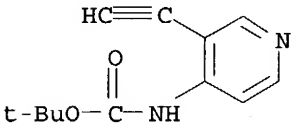
of 2-substituted 5-azaindoles via a transition metal catalyzed reaction is described. For example coupling reaction of 3-iodo-4-(tert-butoxycarbonyl)aminopyridine with propyne in the presence of Pd(PPh3)2Cl2, Et3N, and CuI at room temperature gave quant. 3-propynyl-4-(tert-butoxycarbonyl)aminopyrine, cyclization of which with CuI in DMF at 80° gave 84% 1-(tert-butoxycarbonyl)-2-methyl-5-azaindole.

IT **211029-67-3 211029-69-5 211029-73-1 211029-75-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(transition metal catalyzed synthesis of 5-azaindoles)

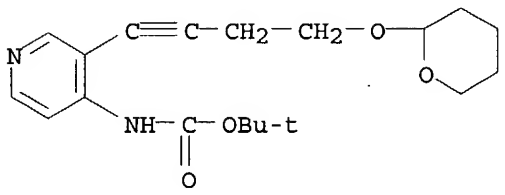
RN 211029-67-3 CAPLUS
CN Carbamic acid, (3-iodo-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



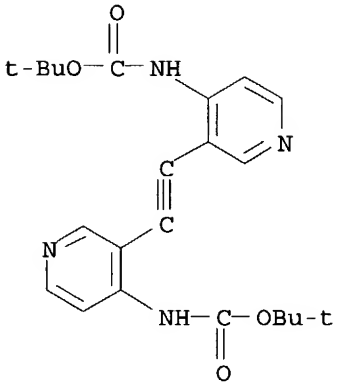
RN 211029-69-5 CAPLUS
CN Carbamic acid, (3-ethynyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 211029-73-1 CAPLUS
CN Carbamic acid, [3-[4-[(tetrahydro-2H-pyran-2-yl)oxy]-1-butynyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

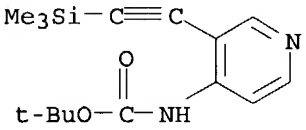


RN 211029-75-3 CAPLUS
CN Carbamic acid, (1,2-ethynediyldi-3,4-pyridinediyl)bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

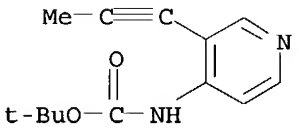


IT **211029-70-8P 211029-71-9P 211029-72-0P**
211029-74-2P
RL: RCT (Reactant);.SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(transition metal catalyzed synthesis of 5-azaindoles)

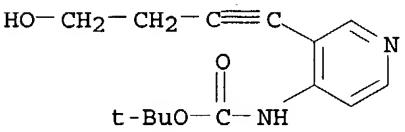
RN 211029-70-8 CAPLUS
CN Carbamic acid, [3-[(trimethylsilyl)ethynyl]-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



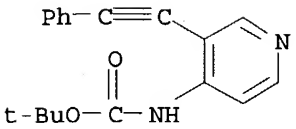
RN 211029-71-9 CAPLUS
CN Carbamic acid, [3-(1-propynyl)-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 211029-72-0 CAPLUS
CN Carbamic acid, [3-(4-hydroxy-1-butynyl)-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 211029-74-2 CAPLUS
CN Carbamic acid, [3-(phenylethynyl)-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



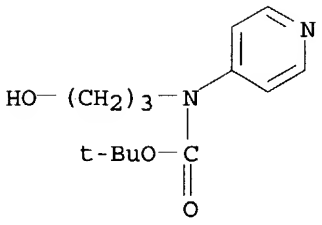
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 84 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:378453 CAPLUS
DOCUMENT NUMBER: 129:133005
TITLE: Structural basis for chemical inhibition of human blood coagulation factor Xa
AUTHOR(S): Kamata, Kenji; Kawamoto, Hiroshi; Honma, Teruki; Iwama, Toshiharu; Kim, Sung-Hou
CORPORATE SOURCE: Department of Chemistry and Lawrence Berkeley National Laboratory, University of California, Berkeley, CA, 94720-5230, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1998), 95(12), 6630-6635
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

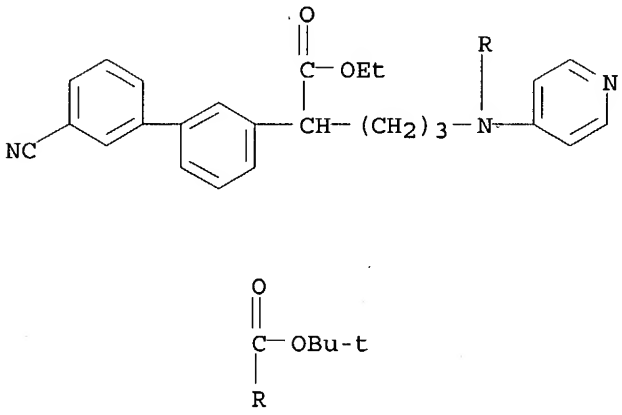
AB Factor Xa, the converting enzyme of prothrombin to thrombin, has emerged as an alternative (to thrombin) target for drug discovery for thromboembolic diseases. An inhibitor has been synthesized and the crystal structure of the complex between Des[1-44] factor Xa and the inhibitor has been determined by crystallog. methods in two different crystal forms to 2.3- and 2.4-Å resolution The racemic mixture of inhibitor FX-2212, (2RS)-(3'-amidino-3-biphenylyl)-5-(4-pyridylamino)pentanoic acid, inhibits factor Xa activity by 50% at 272 nM in vitro. The S-isomer of FX-2212 (FX-2212a) was found to bind to the active site of factor Xa in both crystal forms. The biphenylamidine of FX-2212a occupies the S1-pocket, and the pyridine ring makes hydrophobic interactions with the factor Xa aryl-binding site. Several water mols. meditate inhibitor binding to residues in the active site. In contrast to the earlier crystal structures of factor Xa, such as those of apo-Des[1-45] factor Xa and Des[1-44] factor Xa in complex with a naphthyl inhibitor DX-9065a, two epidermal growth factor-like domains of factor Xa are well ordered in both our crystal forms as well as the region between the two domains, which recently was found to be the binding site of the effector cell protease receptor-1. This structure provides a basis for designing next generation inhibitors of factor Xa.

IT **201341-82-4P 201342-04-3P 210568-18-6P**
210568-19-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of inhibitor FX-2212 and the crystal structure of it complexed with Des[1-44] factor Xa)

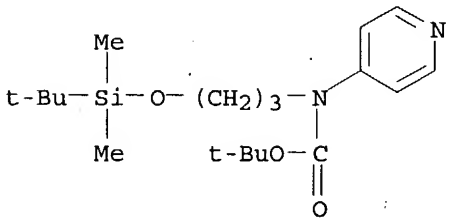
RN 201341-82-4 CAPLUS
CN Carbamic acid, (3-hydroxypropyl)-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



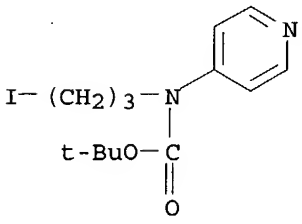
RN 201342-04-3 CAPLUS
CN [1,1'-Biphenyl]-3-acetic acid, 3'-cyano-α-[3-[[(1,1-dimethylethoxy)carbonyl]-4-pyridinylamino]propyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 210568-18-6 CAPLUS
CN Carbamic acid, [3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 210568-19-7 CAPLUS
CN Carbamic acid, (3-iodopropyl)-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 85 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:146564 CAPLUS
DOCUMENT NUMBER: 128:180340
TITLE: Preparation of tricyclic amide and urea compounds for inhibition of G-protein function and treatment of proliferative diseases
INVENTOR(S): Bishop, W. Robert; Doll, Ronald J.; Mallams, Alan K.; Njoroge, F. George; Petrin, Joanne M.; Piwinski, John J.; Wolin, Ronald L.; Taveras, Arthur G.; Remiszewski, Stacy W.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 215 pp., Cont.-in-part of U.S. Ser. No. 312,028, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

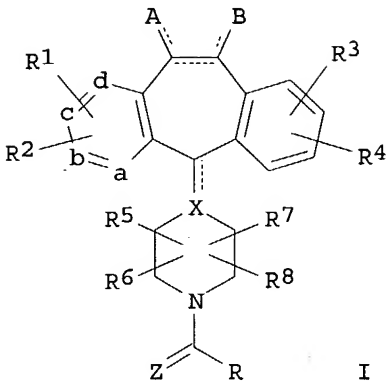
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5719148	A	19980217	US 1995-410187	19950324
EP 1123931	A1	20010816	EP 2001-109408	19941012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 5696121	A	19971209	US 1995-450288	19950525
US 5714609	A	19980203	US 1995-450064	19950525
US 5807853	A	19980915	US 1995-450433	19950525
CA 2216160	AA	19961003	CA 1996-2216160	19960321
CA 2216160	C	20011030		
WO 9630363	A1	19961003	WO 1996-US3314	19960321
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9653077	A1	19961016	AU 1996-53077	19960321
AU 714255	B2	19991223		
EP 815100	A1	19980107	EP 1996-909651	19960321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LV, FI				
JP 10505105	T2	19980519	JP 1996-529434	19960321
JP 3368905	B2	20030120		
AU 9892399	A1	19990204	AU 1998-92399	19981116
AU 735262	B2	20010705		
US 6365588	B1	20020402	US 1999-350870	19990709
US 6242458	B1	20010605	US 1999-400714	19990921
US 2002068742	A1	20020606	US 2001-829264	20010409
US 2003055065	A1	20030320	US 2001-26751	20011220

PRIORITY APPLN. INFO.:

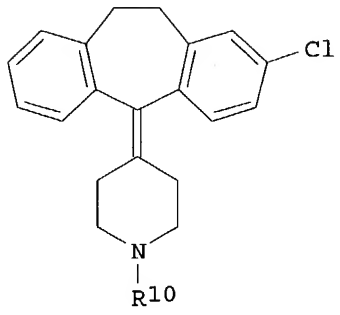
US 1993-137862	B2	19931015
US 1994-312028	B2	19940926
AU 1994-79703	A3	19941012
EP 1994-930650	A3	19941012
US 1995-410187	A1	19950324
US 1995-450288	A3	19950525
WO 1996-US3314	W	19960321
US 1997-971038	B1	19971114
US 1998-22137	B1	19980211

US 1999-350870 A3 19990709
US 1999-400714 A3 19990921

OTHER SOURCE(S): MARPAT 128:180340
GI



I



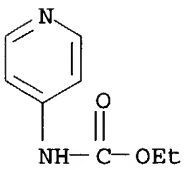
II

AB Title compds. [I; A,B = H2, O, halo, alkyl, alkoxy, etc.; ≤1 of a-d = N or NR9 and the others = CR1 or CR2; R = (hetero)aryl(methyl), etc.; R1,R2 = H, halo, OH, alkoxy(carbonyl), etc.; R3,R4 = groups cited for R1; R3R4 = atoms to complete a ring; R5-R8 = H, alkyl, aryl, etc.; R9 = O, Me, (CH2)1-3CO2H; Z = O, S; dashed lines = optional bonds], capable of inhibiting Ras function and therefore inhibiting the abnormal growth of cells, were prepared Thus, benzocycloheptapyridine derivative II (R10 = H) (preparation given) was amidated by pyridine-4-acetic acid to give II (R10 = 4-pyridylacetyl). II (R10 = 3-pyridylacetyl) gave 61.2% inhibition of mouse Lewis lung carcinoma in nu/nu mice at 100mg/kg BID for 4 wk.

IT **54287-92-2P**, Ethyl 4-pyridylcarbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic amide and urea compds. for inhibition of G-protein function and treatment of proliferative diseases)

RN 54287-92-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 86 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:119756 CAPLUS
DOCUMENT NUMBER: 128:238971
TITLE: Inhibitors of Farnesyl Protein Transferase. 4-Amido, 4-Carbamoyl, and 4-Carboxamido Derivatives of 1-(8-Chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)piperazine and 1-(3-Bromo-8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-

yl)piperazine

AUTHOR(S): Mallams, Alan K.; Rossman, Randall R.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Ganguly, Ashit K.; Petrin, Joanne; Wang, Lynn; Patton, Robert; Bishop, W. Robert; Carr, Donna M.; Kirschmeier, Paul; Catino, Joseph J.; Bryant, Matthew S.; Chen, Kwang-Jong; Korfmacher, Walter A.; Nardo, Cymbelene; Wang, Shiyong; Nomeir, Amin A.; Lin, Chin-Chung; Li, Zujun; Chen, Jianping; Lee, Suining; Dell, Janet; Lipari, Philip; Malkowski, Michael; Yaremko, Bodan; King, Ivan; Liu, Ming; et al.

CORPORATE SOURCE: Antiinfectives and Tumor Biology Research, Schering-Plough Research Institute, Kenilworth, NJ, 07033-0539, USA

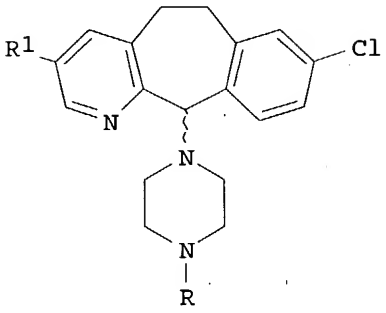
SOURCE: Journal of Medicinal Chemistry (1998), 41(6), 877-893
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



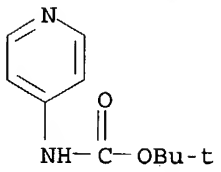
I

AB The synthesis of 4-amido, 4-carbamoyl and 4-carboxamido derivs. of 1-(8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)piperazine (I; R = R1 = H) to explore the SAR of of this series of FPT inhibitors is described. I (R = 4-pyridylacetyl; R1 = H) and I (R = 3-pyridylacetyl; R1 = H) were both orally active but were rapidly metabolized in vivo. Identification of the principal metabolites led to the synthesis of a variety of new compds. that would be less readily metabolized, the most interesting of which were the 3- and 4-pyridylacetyl N-oxides. Novel replacements for the pyridylacetyl moiety were sought, and this resulted in the discovery of the N-methyl- and N-carboxamido-4-piperidinylacetyl derivs. All of these derivs. exhibited greatly improved pharmacokinetics. The synthesis of the corresponding 3-bromo analogs resulted in the discovery of (±)-I (R = 4-pyridylacetyl N-oxide; R1 = Br) [(±)-II] and (11S)-II and the (±)-N-carboxamido-4-piperidinylacetyl derivative, all of which exhibited potent FPT inhibition in vitro. All three showed excellent oral bioavailability in vivo in nude mice and cynomolgus monkeys and exhibited excellent antitumor efficacy against a series of tumor cell lines when dosed orally in nude mice.

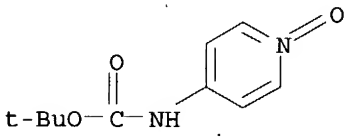
IT **98400-69-2P**, tert-Butyl 4-pyridylcarbamate **205044-50-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of chlorobenzocycloheptapyridinylpiperazines as inhibitors of farnesyl protein transferase)

RN 98400-69-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 205044-50-4 CAPLUS
CN Carbamic acid, (1-oxido-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

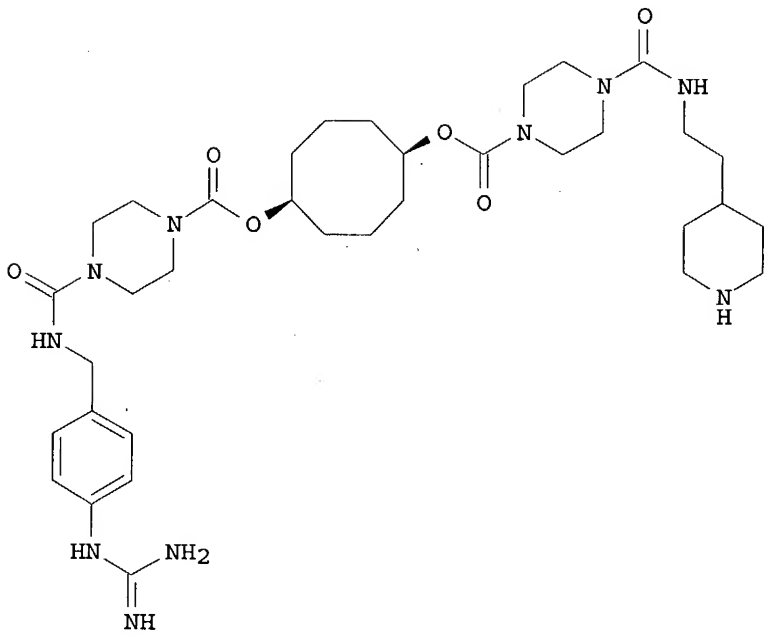
L8 ANSWER 87 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:102855 CAPLUS
DOCUMENT NUMBER: 128:167443
TITLE: Novel compounds [cyclooctylene bis(piperazinecarboxylates) and analogs] and compositions for treating diseases associated with tryptase activity
INVENTOR(S): Dener, Jeffrey Mark; Kuo, Elaine Yee-Lin; Rice, Ken Duane; Wang, Vivian Rueywen; Young, Wendy Beth
PATENT ASSIGNEE(S): Arris Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9804537	A1	19980205	WO 1997-US13422	19970730
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2262542	AA	19980205	CA 1997-2262542	19970730
AU 9739670	A1	19980220	AU 1997-39670	19970730
AU 733621	B2	20010517		
EP 934293	A1	19990811	EP 1997-937066	19970730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1226892	A	19990825	CN 1997-196877	19970730
CN 1073103	B	20011017		
NZ 333713	A	20001222	NZ 1997-333713	19970730
JP 2001509787	T2	20010724	JP 1998-509136	19970730
FI 9900171	A	19990323	FI 1999-171	19990129

NO 9900433	A	19990325	NO 1999-433		19990129
KR 2000029679	A	20000525	KR 1999-700757		19990129
LV 12291	B	20000420	LV 1999-27		19990218
LT 4587	B	19991227	LT 1999-19		19990301
LV 12458	B	20000920	LV 2000-30		20000225
LV 12459	B	20000920	LV 2000-31		20000225
PRIORITY APPLN. INFO.:			US 1996-23139P	P	19960730
			US 1997-895772	A	19970717
			WO 1997-US13422	W	19970730
			LV 1999-990027	A3	19990218

OTHER SOURCE(S): MARPAT 128:167443

GI



II

AB The invention relates to novel compds. (R1X1X2X3X4)-X5-(X6X7X8X9R2) (I), which are tryptase inhibitors, and their pharmaceutically acceptable salts and N-oxides, as well as their uses as therapeutic agents, and methods of their preparation [wherein X5 = (hetero)cycloalkylene, (hetero)arylene; X4, X6 = bond, alkylene; X1, X9 = bond, CO, CO2, OCO, CONR3, NR3CO, etc.; R3 = H, alkyl, cycloalkyl; X3, X7 = CO, CO2, OCO, CONR3, NR3CO, etc.; X2, X8 = (hetero)alkylene and/or cycloalkylene; R1 = amino, amidino, guanidino, certain N-heterocycles, etc., with optional (hetero)alkylene or other bridge; R2 = amino, 1-iminoethyl, methylamino, or certain N-heterocycles, with required or optional alkylene or other bridge]. The compds. are useful for treating a variety of conditions, including asthma, rheumatoid arthritis, and conjunctivitis. For instance, tert-Bu 4-[(4-guanidinobenzyl)carbamoyl]-1-piperazinecarboxylate trifluoroacetate underwent deprotection with CF3CO2H and amidation with cis-1,5-cyclooctylene chloroformate 4-(tert-butoxycarbonyl)-1-piperazinecarboxylate (77%), followed by a second deprotection and reaction with tert-Bu 4-(2-isocyanatoethyl)-1-piperidinecarboxylate, to give title compound II. Compds. I inhibited human tryptase in vitro with IC50 in the range of 0.0001 to 41 μM.

IT **202978-57-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

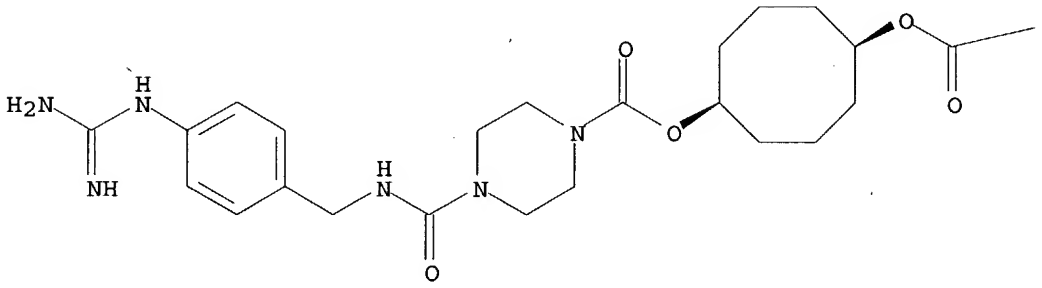
(preparation of cyclooctylene bis(piperazinecarboxylates) and analogs as tryptase inhibitors)

RN 202978-57-2 CAPLUS

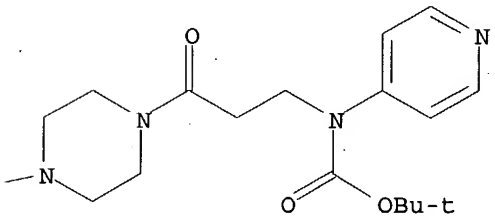
CN 1-Piperazinecarboxylic acid, 4-[[[[4-[(aminoiminomethyl)amino]phenyl]methyl]amino]carbonyl]-, 5-[[[4-[3-[[(1,1-dimethylethoxy)carbonyl]-4-pyridinylamino]-1-oxopropyl]-1-piperazinyl]carbonyl]oxy]cyclooctyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 88 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:59352 CAPLUS

DOCUMENT NUMBER: 128:127934

TITLE: Preparation of isoindolinyl bicyclic aromatic amidines as anticoagulants

INVENTOR(S): Nomoto, Takashi; Hayashi, Kyoko; Kawamoto, Hiroshi; Sato, Sadayuki; Miyaji, Mitsuru; Takaenoki, Yoko

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

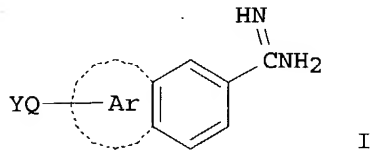
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 10017549 A2 19980120 JP 1996-191434 19960702
PRIORITY APPLN. INFO.: JP 1996-191434 19960702
OTHER SOURCE(S): MARPAT 128:127934
GI

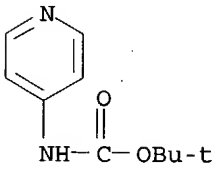


I

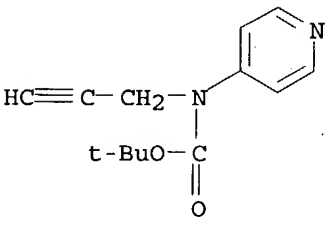
AB Title compds. I [Ar = benzene ring, 1-3 O-, N-, and/or S-containing aromatic heterocycle; Q = (substituted) C3-7 alkylene, (substituted) alkenylene, (substituted) alkynylene; Y = ≥1 N-containing 5- to 10-membered mono or bicyclic heterocycle] or their pharmaceutically acceptable salts, useful as anticoagulants for prevention and treatment of thrombus or embolus, are prepared A EtOH solution of 180 mg Et (E)-5-(N-tert-butyloxycarbonylisoindolin-5-yl)-2-(7-cyano-2-naphthyl)-4-pentenoate (preparation given) was reacted with HCl-dioxane at room temperature overnight, condensed with NH3 gas under ice-cooling, and left standing at room temperature overnight to give 139 mg Et (E)-2-(7-amidino-2-naphthyl)-5-(isoindolin-5-yl)-4-pentenoate dihydrochloride (II). II in vitro showed IC50 of 0.28 μM for inhibition of factor Xa.

IT **98400-69-2**, 4-tert-Butoxycarbonylaminopyridine **201341-90-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of bicyclic aromatic amidines as anticoagulants)

RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

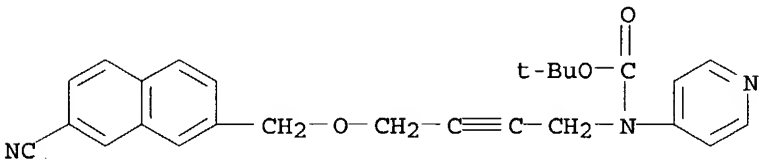


RN 201341-90-4 CAPLUS
CN Carbamic acid, 2-propynyl-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

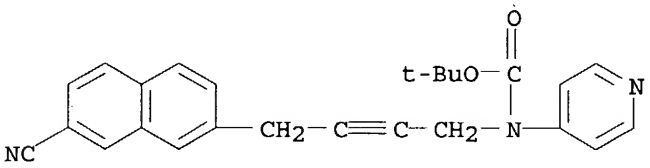


IT **201940-04-7P 201940-07-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic aromatic amidines as anticoagulants)

RN 201940-04-7 CAPLUS
CN Carbamic acid, [4-[(7-cyano-2-naphthalenyl)methoxy]-2-butynyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 201940-07-0 CAPLUS
CN Carbamic acid, [4-(7-cyano-2-naphthalenyl)-2-butynyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



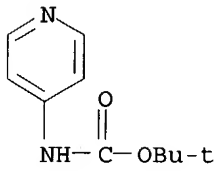
L8 ANSWER 89 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:25393 CAPLUS
DOCUMENT NUMBER: 128:114790
TITLE: Preparation of biphenylamidines as anticoagulants for inhibition and treatment of thrombus and embolus
INVENTOR(S): Nomoto, Takashi; Kawamoto, Hiroshi; Sato, Sadashi; Honma, Mitsuki; Miyaji, Mitsuru; Takaenoki, Yoko
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10001467	A2	19980106	JP 1996-174219	19960613

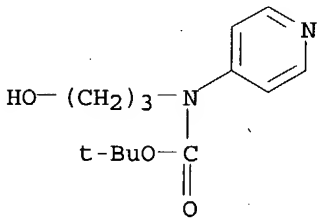
PRIORITY APPLN. INFO.: JP 1996-174219 19960613
OTHER SOURCE(S): MARPAT 128:114790
GI For diagram(s), see printed CA Issue.
AB Title compds. I [Ar = benzene, 1-3 O-, N-, and/or S-containing aromatic heterocycle; R = H, lower alkyl, hydroxyalkyl, Q = C3-7 (substituted) alkylene, (substituted) alkenylene, (substituted) alkynylene; Y = (lower alkyl) 5- to 10-membered ≥1 N- containing monocyclic or bicyclic heterocycle] and their pharmaceutically acceptable salts, useful as anticoagulants for inhibition and treatment of thrombus and embolus, are prepared A EtOH solution of 24 mg 1-[N-tert-butyloxycarbonyl-N-(4-pyridyl)amino]-3-[(3'-cyanophenyl-3-phenyl)oxy]propane (preparation given) was mixed with HCl-dioxane at room temperature for 2 days to give a reaction mixt, which was saturated with NH3 gas under cooling, and mixed at room temperature for 3
days to give 9 mg I (Ar = benzene, R = H, YQ = YNH(CH)3O, Y = pyridyl) dihydrochloride (II). II in vitro showed IC50 of 0.57 μM for inhibition of human activated blood coagulation factor Xa.

IT **98400-69-2 201341-82-4 201341-86-8**
201341-90-4 201342-55-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of biphenylamidines as anticoagulants for inhibition and treatment of thrombus and embolus)

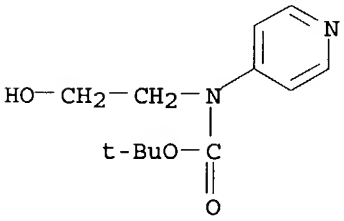
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



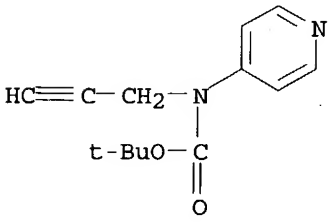
RN 201341-82-4 CAPLUS
CN Carbamic acid, (3-hydroxypropyl)-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

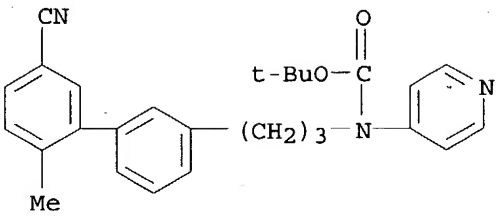


RN 201341-86-8 CAPLUS
CN Carbamic acid, (2-hydroxyethyl)-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

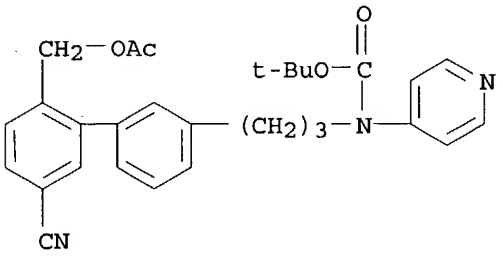


RN 201341-90-4 CAPLUS
CN Carbamic acid, 2-propynyl-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

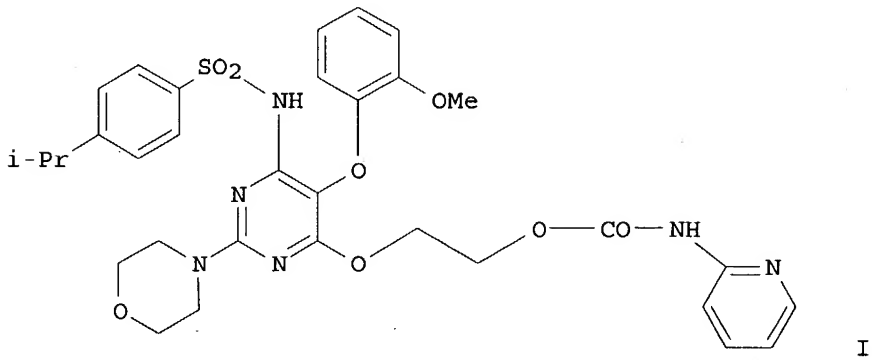




RN 201342-54-3 CAPLUS
CN Carbamic acid, [3-[2'-[(acetyloxy)methyl]-5'-cyano[1,1'-biphenyl]-3-yl]propyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 90 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:633849 CAPLUS
DOCUMENT NUMBER: 127:307357
TITLE: Discovery of RO 48-5695: a potent mixed endothelin receptor antagonist optimized from bosentan
AUTHOR(S): Neidhart, Werner; Breu, Volker; Burri, Kaspar; Clozel, Martine; Hirth, Georges; Klinkhammer, Uwe; Giller, Thomas; Ramuz, Henri
CORPORATE SOURCE: Pharma Div., Preclinical Res., F. Hoffmann-La Roche Ltd., Basel, CH-4070, Switz.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(17), 2223-2228
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



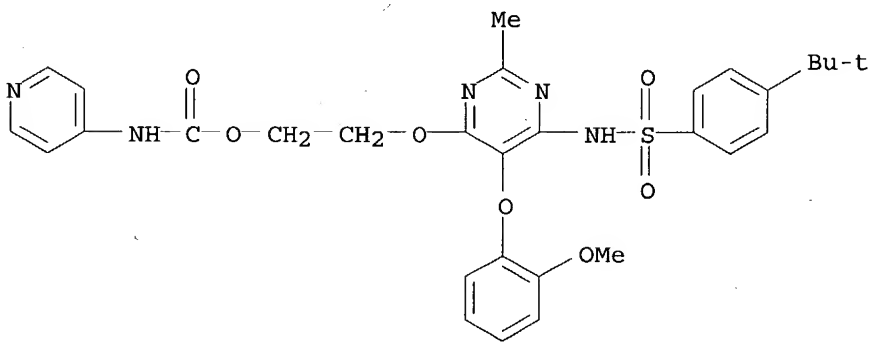
I

AB Implementation of a pyridylcarbamoyl group and an isopropylpyridylsulfonamide substituent as key components in the scaffold of Bosentan resulted in the identification of the potent orally active endothelin receptor antagonist Ro 48-5695 (I). It shows affinities for ETA and ETB receptors in the low nanomolar range and high functional antagonistic potency in vitro.

IT **167402-65-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of RO 48-5695, a potent mixed endothelin receptor antagonist optimized from bosentan)

RN 167402-65-5 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 2-[[6-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-5-(2-methoxyphenoxy)-2-methyl-4-pyrimidinyl]oxy]ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 91 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:539266 CAPLUS
DOCUMENT NUMBER: 127:220667
TITLE: Preparation of pyridopyrimidines as inhibitors of tyrosine kinases of the epidermal growth factor receptor family
INVENTOR(S): Bridges, Alexander James; Denny, William Alexander; Fry, David; Kraker, Alan; Meyer, Robert Frederick; Rewcastle, Gordon William; Thompson, Andrew Mark
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 55 pp., Cont.-in-part of U.S. Ser. No. 186,735, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

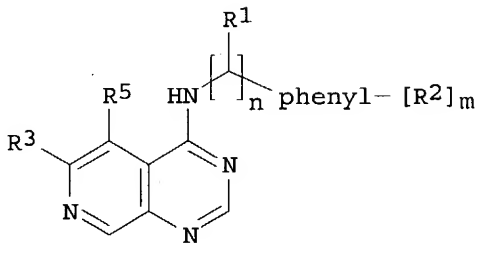
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5654307	A	19970805	US 1994-358351	19941223
IL 112249	A1	20011125	IL 1995-112249	19950104
ZA 9500440	A	19951010	ZA 1995-440	19950119
ZA 9500441	A	19951010	ZA 1995-441	19950119
CA 2177372	AA	19950727	CA 1995-2177372	19950123
WO 9519774	A1	19950727	WO 1995-US941	19950123

W: AM, AU, BG, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SI, SK, TJ, UA, UZ

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9517314	A1	19950808	AU 1995-17314		19950123
AU 686334	B2	19980205			
EP 742717	A1	19961120	EP 1995-909316		19950123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
CN 1139383	A	19970101	CN 1995-191310		19950123
CN 1139430	A	19970101	CN 1995-191318		19950123
JP 09508127	T2	19970819	JP 1995-519732		19950123
PL 179132	B1	20000731	PL 1995-315633		19950123
MD 1632	F2	20010331	MD 1996-960217		19950123
RO 117257	B1	20011228	RO 1996-1517		19950123
NZ 281011	A	20020201	NZ 1995-281011		19950123
BG 63245	B1	20010731	BG 1996-100614		19960520
FI 9602856	A	19960925	FI 1996-2856		19960715
NO 9603094	A	19960724	NO 1996-3094		19960724
US 6084095	A	20000704	US 1997-811797		19970306
US 6521620	B1	20030218	US 1998-183190		19981030
US 6265410	B1	20010724	US 1998-191163		19981113
US 2001027197	A1	20011004	US 2001-824606		20010402
US 6455534	B2	20020924			
US 2003186987	A1	20031002	US 2002-201808		20020724
US 6713484	B2	20040330			
FI 2004000648	A	20040507	FI 2004-648		20040507
FI 2004000649	A	20040507	FI 2004-649		20040507
PRIORITY APPLN. INFO.:			US 1994-186735	B2	19940125
			US 1994-186745	B2	19940125
			US 1994-358351	A	19941223
			WO 1995-US941	W	19950123
			US 1997-811797	A1	19970306
			US 1998-183190	A1	19981030
			US 1998-191163	A3	19981113

OTHER SOURCE(S): MARPAT 127:220667

GI



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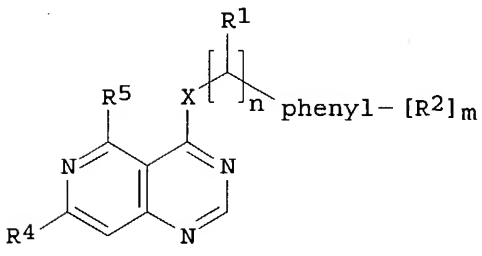
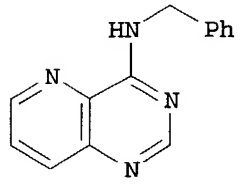


II



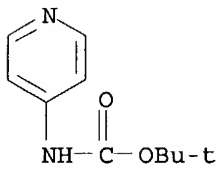
III

AB The title compds. [I and II; X = NH, NR7 (wherein R7 = C1-4 alkyl, OH, NH2, etc.); n = 0-2; R1 = H, C1-4 alkyl; R2 = C1-4 alkyl, C3-7 cycloalkyl, C1-4 alkoxy, etc.; m = 0-3; R3-R5 = H, C1-4 alkyl, C3-8 cycloalkyl, etc.], inhibitors of epidermal growth factor receptor family of tyrosine kinase

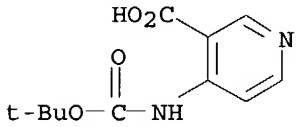
which are useful in treating proliferative diseases such as cancer, synovial pannus invasion in arthritis, psoriasis, vascular restenosis and angiogenesis and addnl. useful in the treatment of pancreatitis and kidney disease as well as a contraceptive agent, were prepared Thus, reaction of freshly prepared 4-chloropyrido[3,2-d]pyrimidine with PhCH2NH2 in iPrOH containing a trace of concentrate HCl afforded 77% III which showed IC50 of 3.6 μM against EGF receptor tyrosine kinase inhibition.

IT **98400-69-2P 171178-34-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridopyrimidines as inhibitors of tyrosine kinases of the epidermal growth factor receptor family)

RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 171178-34-0 CAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)



L8 ANSWER 92 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:503143 CAPLUS
DOCUMENT NUMBER: 127:121643
TITLE: Preparation of 5-[2-(pyridin-4-ylamino)ethoxy]benzamides as thrombin inhibitors
INVENTOR(S): Watson, Nigel Stephen; Pass, Martin; Patel, Vipulkumar
PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Watson, Nigel Stephen; Pass, Martin; Patel, Vipulkumar
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722589	A1	19970626	WO 1996-EP5743	19961213

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,

MR, NE, SN, TD, TG

AU 9713030	A1	19970714	AU 1997-13030	19961213
JP 2000503634	T2	20000328	JP 1997-522517	19961213
EP 1021411	A1	20000726	EP 1996-944604	19961213
EP 1021411	B1	20030305		

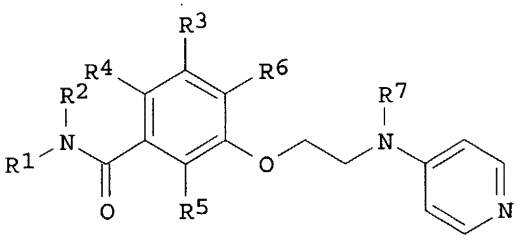
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

AT 233733	E	20030315	AT 1996-944604	19961213
ES 2196197	T3	20031216	ES 1996-944604	19961213
US 6326386	B1	20011204	US 2000-678610	20001004

PRIORITY APPLN. INFO.: GB 1995-25620 A 19951215
WO 1996-EP5743 W 19961213
US 1998-77885 B1 19980612

OTHER SOURCE(S): MARPAT 127:121643

GI



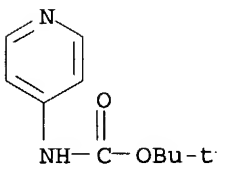
I

AB The title compds. [I; R1, R2 = XR8 (wherein X = a bond, C1-6 alkylene, C3-6 alkenylene, etc.; R8 = H, C3-7 cycloalkyl, aryl, etc.); R1R2 = (un)substituted C3-7 heterocycloalkyl, heterocycloalkenyl; R3 = H, C1-3 alkyl, halo, C1-2 alkoxy; R4-R6 = H, halo; R7 = H, C1-6 alkyl] and their salts, useful as thrombin inhibitors, were prepared and formulated. Thus, reaction of 3-methyl-5-[2-(pyridin-4-ylamino)ethoxy]benzoic acid.CF3COOH with N-methylcyclohexylamine in the presence of HOBt, TBTU and DIPEA in DMF afforded I.CF3COOH [R1 = Me; R2 = cyclohexyl; R3 = Me; R4-R7 = H] which showed IC50 of 8 nM.

IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 5-[2-(pyridin-4-ylamino)ethoxy]benzamides as thrombin inhibitors)

RN 98400-69-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



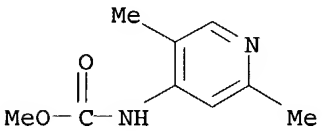
IT **192810-21-2P 192810-23-4P 192810-25-6P**
192810-27-8P 192810-29-0P 192810-31-4P
192810-32-5P 192810-34-7P 192810-36-9P
192810-39-2P 192810-41-6P 192810-43-8P
192810-44-9P 192810-45-0P 192810-46-1P
192810-47-2P 192810-48-3P 192810-49-4P

L8 ANSWER 93 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:458913 CAPLUS
DOCUMENT NUMBER: 127:161747
TITLE: Syntheses of five potential heterocyclic amine food mutagens
AUTHOR(S): Tanga, M. J.; Bupp, J. E.; Tochimoto, T. K.
CORPORATE SOURCE: SRI International, Menlo Park, CA, 94025, USA
SOURCE: Journal of Heterocyclic Chemistry (1997), 34(3), 717-727
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English

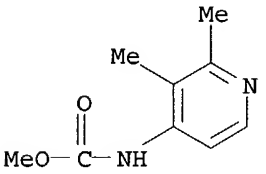
AB The syntheses of the potential heterocyclic amine food mutagens, 3,5,7-trimethyl-2-aminoimidazo[4,5-b]pyridine, 1,4,7-trimethyl-2-aminoimidazo[4,5-c]pyridine, 1,6,7-trimethyl-2-aminoimidazo[4,5-c]pyridine, 3,4,6-trimethyl-2-aminoimidazo[4,5-c]pyridine, and 1,4,6-trimethyl-7-aminoimidazo[4,5-c]pyridine are described.

IT **193690-59-4P 193690-66-3P 193690-75-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of trimethylaminoimidazopyridines)

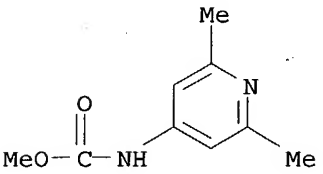
RN 193690-59-4 CAPLUS
CN Carbamic acid, (2,5-dimethyl-4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 193690-66-3 CAPLUS
CN Carbamic acid, (2,3-dimethyl-4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 193690-75-4 CAPLUS
CN Carbamic acid, (2,6-dimethyl-4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



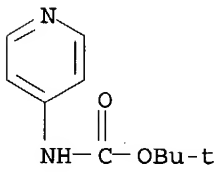
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

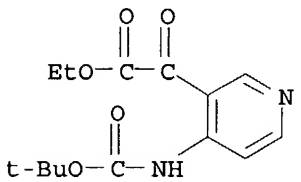
L8 ANSWER 94 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:324643 CAPLUS
DOCUMENT NUMBER: 127:65704
TITLE: Ethyl [4-(acylamino)pyridin-3-yl]glyoxylate and 5-azaisatin as new synthons for a route to various new polyheterocycles
AUTHOR(S): Rivalle, Christian; Bisagni, Emile
CORPORATE SOURCE: UMR 176 CNRS, Institut Curie Recherche, Centre Universitaire, Paris-Sud, Orsay, F-91405, Fr.
SOURCE: Journal of Heterocyclic Chemistry (1997), 34(2), 441-444
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:65704

AB From 4-N-protected-aminopyridines which were functionalized at their 3-position, 5-azaisatin and equivalent synthons where obtained. Via the use of the Pfitzinger reaction, these compds. provided an easy route to new and various polyheterocyclic compds.

IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of [(acylamino)pyridinyl]glyoxylates and azaisatin as synthons for polyheterocycles)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

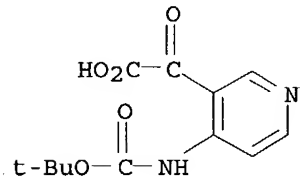


IT **191338-96-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [(acylamino)pyridinyl]glyoxylates and azaisatin as synthons for polyheterocycles)
RN 191338-96-2 CAPLUS
CN 3-Pyridineacetic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-α-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT **191338-97-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of [(acylamino)pyridinyl]glyoxylates and azaisatin as synthons for polyheterocycles)
RN 191338-97-3 CAPLUS

CN 3-Pyridineacetic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-α-oxo- (9CI) (CA INDEX NAME)

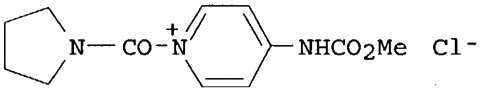


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 95 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:324128 CAPLUS
DOCUMENT NUMBER: 126:310424
TITLE: Silver halide photographic material containing carboxy-activating hardener
INVENTOR(S): Hosoi, Juji
PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09061958	A2	19970307	JP 1995-218752	19950828

PRIORITY APPLN. INFO.: JP 1995-218752 19950828
GI

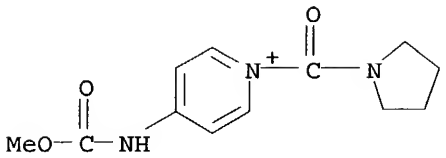


I

AB The title material, having ≥1 Ag halide emulsion layer on a support, contains a carboxyl group-activating hardener in the emulsion layer and/or other hydrophilic colloid layer, and an adhesive layer containing gelatin and/or its derivative between the emulsion layer and an undercoat layer formed on the support. The material shows less variation in the photog. properties due to after hardening and good scratch resistance. Thus, a PET film with an undercoat layer on the both sides was coated successively with a gelatin-based adhesive layer, an Ag halide emulsion layer, and a gelatin-based protective layer containing I on the both sides to give a x-ray film.

IT **189155-48-4**
RL: MOA (Modifier or additive use); TEM (Technical or engineered material use); USES (Uses)
(carboxyl activating photog. hardener)

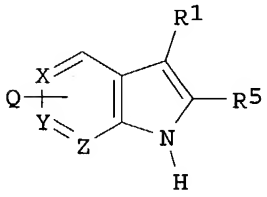
RN 189155-48-4 CAPLUS
CN Pyridinium, 4-[(methoxycarbonyl)amino]-1-(1-pyrrolidinylcarbonyl)-, chloride (9CI) (CA INDEX NAME)



L8 ANSWER 96 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:41475 CAPLUS
DOCUMENT NUMBER: 126:59864
TITLE: Synthesis of azaindoles
INVENTOR(S): Bishop, Brian Christopher; Cameron, Mark; Cottrell, Ian Frank; Hands, David
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK
SOURCE: Brit. UK Pat. Appl., 24 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2298199	A1	19960828	GB 1996-3374	19960216
GB 2298198	A1	19960828	GB 1996-3065	19960214
US 5681959	A	19971028	US 1996-604133	19960220
PRIORITY APPLN. INFO.:			GB 1995-3400	19950221
			GB 1995-22015	19951027

OTHER SOURCE(S): CASREACT 126:59864; MARPAT 126:59864
GI



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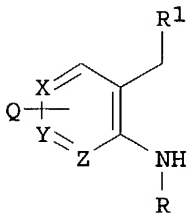
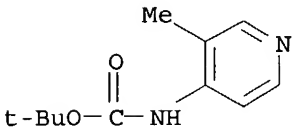


II

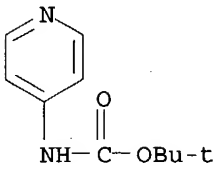
AB The title compds. [I; Q = H, C1-6 alkyl, C2-6 alkenyl, etc.; one of X, Y, Z = N and the others = CH; R1 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl; R5 = H, C1-6 alkyl, aryl] were prepared by deprotonation of compound II [R = CO(O)C1-6 alkyl, C(O)C1-6 alkyl] with an alkyllithium reagent followed by reaction of the deprotonation product with an amide R5C(O)NR6R7 (wherein R6 = C1-6 alkyl; R7 = C1-6 alkyl, C1-6 alkoxy, aryl) or an ester R5C(O)OR8 (R8 = C1-6 alkyl, arylC1-4alkyl, aryl) and reaction of the resulting intermediate with a concentrated acid.

IT **180253-65-0P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of azaindoles)
RN 180253-65-0 CAPLUS

CN Carbamic acid, (3-methyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



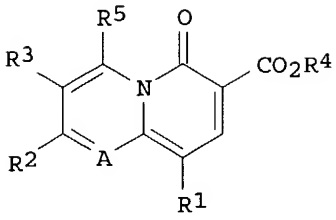
IT **98400-69-2**, 4-tert-Butoxycarbonylaminopyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of azaindoles)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 97 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:754424 CAPLUS
DOCUMENT NUMBER: 126:101707
TITLE: Synthesis of quinolizinone-type antibacterial compounds
INVENTOR(S): Chu, Daniel T.; Li, Qun; Cooper, Curt S.; Fung, Anthony K. L.; Lee, Cheuk M.; Plattner, Jacob J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 115 pp., Cont.-in-part of U.S. Ser. No. 137,236, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5580872	A	19961203	US 1994-316319	19940930
US 5599816	A	19970204	US 1995-482249	19950607
US 5726182	A	19980310	US 1995-484632	19950607
PRIORITY APPLN. INFO.:			US 1990-517780 B2	19900502
			US 1992-940870 B2	19921027
			US 1993-137236 B2	19931014
			US 1994-316319 A2	19940930
			US 1995-469159 A3	19950606

OTHER SOURCE(S): MARPAT 126:101707
GI



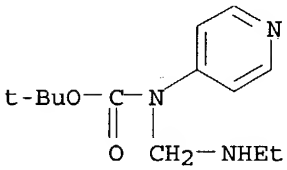
I

AB Antibacterial quinolizinones and related compds. [I; R1 = (halo)alkyl, alkenyl, alkynyl, alkoxy, C3-8 cycloalkyl, (substituted) Ph, halo, CN, NO2, bicycloalkyl, N-containing aromatic heterocyclyl, etc.; R2 = alkyl, alkenyl, C3-8 cycloalkyl, C4-8 cycloalkenyl, NH2, :NH, alkylamino, (substituted) Ph, N-containing bicyclic or aromatic heterocyclyl, etc.; R3 = H, halo, alkoxy; R4 = H, alkyl, cation, prodrug ester group; R5 = H, halo, OH, alkyl, haloalkyl, alkoxy, (substituted) amino; A = N, CR6; R6 = halo, (substituted) alkyl, alkoxy] are prepared for use in pharmaceutical compns. for treatment of bacterial infections. Thus, 3-fluoro-9-(4-fluorophenyl)-2-(4-methylpiperazin-1-yl)-6H-6-oxopyrido[1,2-a]pyrimidine-7-carboxylic acid (II) showed a MIC of 0.39 and 0.1 μg/mL in vitro against Staphylococcus aureus A5177 and Pseudomonas aeruginosa BMH10, resp. II was prepared in 6 steps from 5-fluoro-2-(4-fluorobenzyl)-4-hydroxypyrimidine (preparation given).

IT **185692-26-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of quinolizinone-type antibacterial compds.)

RN 185692-26-6 CAPLUS

CN Carbamic acid, [(ethylamino)methyl]-4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 98 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

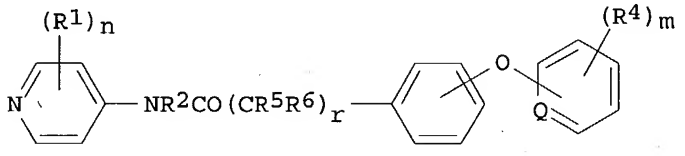
ACCESSION NUMBER: 1996:754020 CAPLUS
DOCUMENT NUMBER: 126:31273
TITLE: Preparation of acylaminopyridines as pesticides.
INVENTOR(S): Takefuji, Nobuo; Nakatani, Masao; Suzuki, Junko; Ozaki, Masami; Ueno, Ryouhei; Yano, Hiroyuki; Kawashima, Mieko; Kurihara, Yutaka; Shimazu, Tomonori
PATENT ASSIGNEE(S): Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9633975		A1	19961031	WO 1996-JP1096	19960423
W: AU, BR, CA, CN, CZ, HU, JP, KR, MX, RU, TR, UA, US, UZ, VN					
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9653480		A1	19961118	AU 1996-53480	19960423
EP 822930		A1	19980211	EP 1996-910228	19960423
EP 822930		B1	19990728		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
AT 182585		E	19990815	AT 1996-910228	19960423
ZA 9603268		A	19960902	ZA 1996-3268	19960424
JP 09012552		A2	19970114	JP 1996-131422	19960426
US 5763463		A	19980609	US 1997-849718	19970625
PRIORITY APPLN. INFO.:				JP 1995-129086	19950428
				WO 1996-JP1096	19960423

OTHER SOURCE(S): MARPAT 126:31273

GI



I

AB Title compds. (I; R1 = halo, alkyl, haloalkyl, alkoxy, haloalkoxy, cycloalkyl; R2 = alkenyl, alkynyl, alkoxycarbonyl, alkoxycarbonylalkyl, etc.; R3 = H, halo, alkyl, alkoxy; R4 = halo, cyano, NO2, alkyl, haloalkyl, etc.; R5, R6 = H, alkyl; Q = methine, N; m = 0, 1; n, r = 1, 2), were prepared Thus, Me 4-hydroxyphenylacetate was stirred 1 h with K2CO3 in DMF; 2-chloro-5-trifluoromethylpyridine was added and the mixture was heated 2 h at 120° to give a residue which was stirred 12 h with aqueous NaOH/MeOH to give 4-[(5-trifluoromethylpyridin-2-yl)oxy]acetic acid. The latter in dioxane was stirred with carbonyldiimidazole and 4-amino-3-chloro-2-ethylpyridine to give N-(3-chloro-2-ethylpyridin-4-yl)-4-[(5-trifluoromethylpyridin-2-yl)oxy]phenylacetamide. This in THF was stirred with NaH and then MeO2CCl was added at -5° to 0°; the mixture was stirred 30 min. at that temperature and 12 h at room temperature to give N-(3-chloro-2-ethylpyridin-4-yl)-N-methoxycarbonyl-4-[(5-trifluoromethylpyridin-2-yl)oxy]phenylacetamide. This at 500 ppm on cabbage leaves gave 100% control of beet armyworm.

IT **184415-76-7P 184415-77-8P 184415-78-9P**
184415-79-0P 184415-80-3P 184415-81-4P
184415-82-5P 184415-83-6P 184415-84-7P
184415-87-0P 184415-88-1P 184415-92-7P
184415-93-8P 184415-99-4P 184416-00-0P
184416-01-1P 184416-02-2P 184416-03-3P
184416-04-4P 184416-05-5P 184416-06-6P
184416-07-7P 184416-08-8P 184416-09-9P
184416-10-2P 184416-11-3P 184416-12-4P
184416-13-5P 184416-14-6P 184416-15-7P
184416-16-8P 184416-21-5P 184416-22-6P
184416-23-7P 184416-24-8P 184416-26-0P
184416-29-3P 184416-30-6P 184416-31-7P
184416-32-8P 184416-35-1P 184416-36-2P
184416-37-3P 184416-38-4P 184416-39-5P
184416-40-8P 184416-43-1P 184416-46-4P
184416-49-7P 184416-52-2P 184416-53-3P
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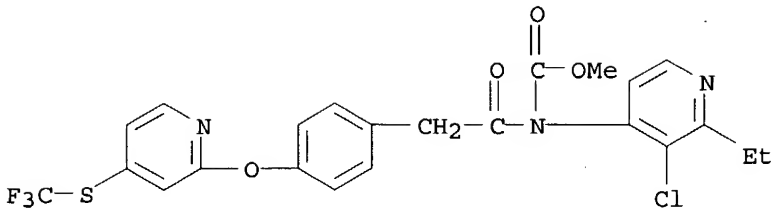
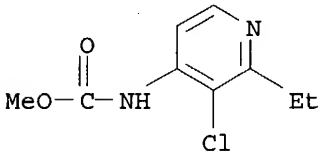


IT **184416-79-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of acylaminopyridines as pesticides)
RN 184416-79-3 CAPLUS
CN Carbamic acid, (3-chloro-2-ethyl-4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 99 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:738426 CAPLUS
DOCUMENT NUMBER: 126:8144
TITLE: Tricyclic compounds for the treatment of cell proliferative disorder
INVENTOR(S): Doll, Ronald J.; Mallams, Alan K.; Afonso, Adriano; Rane, Dinnath F.; Njoroge, F. George; Rossman, Randall R.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631111	A1	19961010	WO 1996-US4168	19960403
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KZ, LK, LR, LT, LV, MD, MG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5891872	A	19990406	US 1995-446980	19950522
CA 2217680	AA	19961010	CA 1996-2217680	19960403
AU 9654325	A1	19961023	AU 1996-54325	19960403
EP 819128	A1	19980121	EP 1996-911439	19960403
EP 819128	B1	20020710		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI				
JP 11503157	T2	19990323	JP 1996-530360	19960403
AT 220400	E	20020715	AT 1996-911439	19960403
ES 2175087	T3	20021116	ES 1996-911439	19960403

PRIORITY APPLN. INFO.: US 1995-418982 A 19950407
WO 1996-US4168 W 19960403

OTHER SOURCE(S): MARPAT 126:8144

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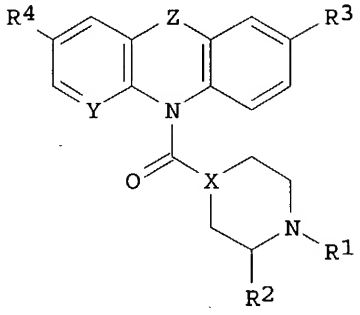


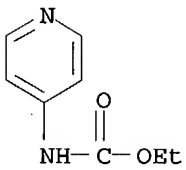
I

AB The title compds. [I; R1 = 4-pyridylmethylcarbonyl, 3-pyridylaminocarbonyl, H2NCH2CH(SH)C(O), etc.; R2 = H, C1-8 alkyl, C2-8 alkenyl, etc.; R3, R4 = H, halo, C1-6 alkyl; X = CH, N; Y = N, CH; Z = (un)substituted CONH, NHCO, (CH2)2, CH:CH], useful for inhibiting Ras farnesyl protein transferase and therefore inhibiting the abnormal growth of cells, are disclosed. In general, compds. I are effective at 10-1000 mg/day (oral administration). Tablet and capsules formulations containing I are given.

IT **54287-92-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(tricyclic compds. for the treatment of cell proliferative disorder)

RN 54287-92-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 100 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:718267 CAPLUS

DOCUMENT NUMBER: 126:7992

TITLE: Preparation of tricyclic amide and urea compounds useful for the inhibition of g-protein function and for the treatment of proliferative cell diseases

INVENTOR(S): Bishop, W. Robert; Doll, Ronald J.; Mallams, Alan K.; Njoroge, F. George; Petrin, Joanne M.; Piwinski, John J.; Wolin, Ronald L.; Taveras, Arthur G.; Remiszewski, Stacy W.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

10/730,495

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630363	A1	19961003	WO 1996-US3314	19960321
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5719148	A	19980217	US 1995-410187	19950324
AU 9653077	A1	19961016	AU 1996-53077	19960321
AU 714255	B2	19991223		
EP 815100	A1	19980107	EP 1996-909651	19960321
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LV, FI				
JP 10505105	T2	19980519	JP 1996-529434	19960321
JP 3368905	B2	20030120		

PRIORITY APPLN. INFO.:	US 1995-410187	A	19950324
	US 1993-137862	B2	19931015
	US 1994-312028	B2	19940926
	WO 1996-US3314	W	19960321

OTHER SOURCE(S): MARPAT 126:7992

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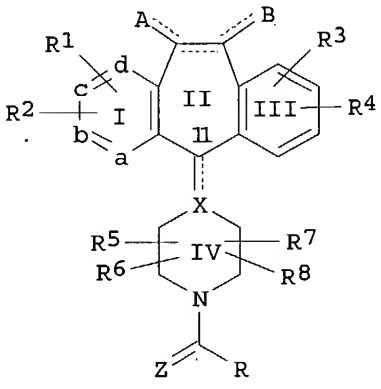

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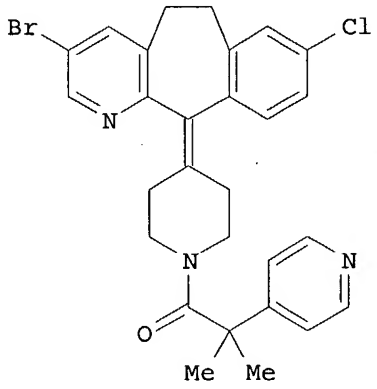


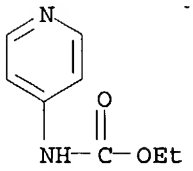
II

AB A method of inhibiting the Ras function, and thus the abnormal growth of cells (e.g., tumors), is disclosed using I, the values of which are defined in the patent application, and I-containing formulations presented. II was prepared and demonstrated a IC50 inhibition of farnesyl protein transferase at 0.01-10 μM.

IT **54287-92-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tricyclic amide and urea compds. useful for inhibition of g-protein function and for treatment of proliferative cell diseases)

RN 54287-92-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 101 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:710529 CAPLUS
DOCUMENT NUMBER: 126:8134
TITLE: Preparation of antineoplastic carbonylpiperazinyl and -piperidinyl derivatives which inhibit farnesyl protein transferase
INVENTOR(S): Doll, Ronald J.; Mallams, Alan K.; Afonso, Adriano; Rane, Dinanath F.; Njoroge, F. George; Rossman, Randall A.; Baldwin, John J.; Li, Ge; Reader, John C.
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9631501	A1	19961010	WO 1996-US4169		19960403
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
ZA 9602694	A	19961003	ZA 1996-2694		19960403
CA 2217351	AA	19961010	CA 1996-2217351		19960403
CA 2217351	C	20030318			
AU 9654326	A1	19961023	AU 1996-54326		19960403
EP 820452	A1	19980128	EP 1996-911440		19960403
EP 820452	B1	20030604			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI					
JP 10511979	T2	19981117	JP 1996-530361		19960403
JP 3038016	B2	20000508			
AT 242231	E	20030615	AT 1996-911440		19960403
ES 2194986	T3	20031201	ES 1996-911440		19960403
PRIORITY APPLN. INFO.:			US 1995-418319	A	19950407
			WO 1996-US4169	W	19960403

OTHER SOURCE(S): MARPAT 126:8134
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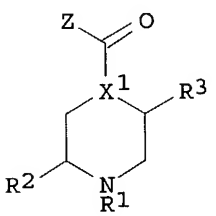

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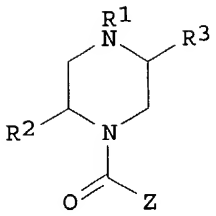
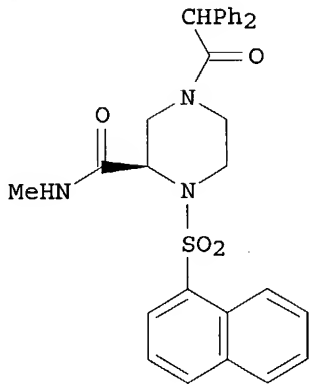


II



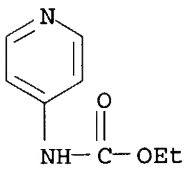
III

AB The title compds. [I, II; R1 = carbonyl- or sulfonyl-containing moiety; R2, R3 = aminocarbonyl- or carboxyalkyl-containing moiety; Z = (un)substituted quinolinyl, (un)substituted quinolinylalkyl, (un)substituted naphthyl, (un)substituted naphthylalkyl, (un)substituted diphenylmethyl, (un)substituted diphenylalkyl, etc.] (e.g., III; IC50 for farnesyl protein transferase <10 mM), useful for inhibiting the Ras function and therefore inhibiting the abnormal growth of cells (e.g., cancer), are prepared and I-containing formulations presented.

IT **54287-92-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antineoplastic carbonylpiperazinyl and -piperidinyl derivs. which inhibit farnesyl protein transferase)

RN 54287-92-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 102 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:479053 CAPLUS

DOCUMENT NUMBER: 125:167834

TITLE: A convenient method for the preparation of 5-, 6-, and 7-azaindoles and their derivatives

AUTHOR(S): Hands, David; Bishop, Brian; Cameron, Marc; Edwards, John S.; Cottrell, Ian F.; Wright, Stanley H. B.

CORPORATE SOURCE: Merck Sharp Dohme Research Laboratories, Hertfordshire, EN11 9BU, UK

SOURCE: Synthesis (1996), (7), 877-882

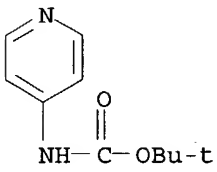
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Thieme
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:167834

AB The directed ortho-lithiation of 2-tert-butoxycarbonylamino-3-methylpyridine has provided a convenient method for the preparation of 7-azaindole. This procedure was used to prepare a range of 3-substituted 2-tert-butoxycarbonylaminopyridines, 2- and 3-substituted and 2,3-disubstituted 1H-pyrrolo[2,3-b]pyridines, and 5- and 6-azaindole and derivs.

IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azaindoles)

RN 98400-69-2 CAPLUS

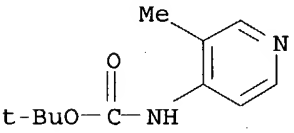
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **180253-65-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azaindoles)

RN 180253-65-0 CAPLUS

CN Carbamic acid, (3-methyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 103 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:469485 CAPLUS
DOCUMENT NUMBER: 125:114678

TITLE: Preparation of N-(4-pyrimidinyl)sulfonamides as endothelin receptor antagonists

INVENTOR(S): Breu, Volker; Burri, Kaspar; Cassal, Jean-Marie; Clozel, Martine; Hirth, Georges; Loeffler, Bernd-Michael; Mueller, Marcel; Neidhart, Werner; Ramuz, Henri
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 713875	A1	19960529	EP 1995-117833	19951113
EP 713875	B1	20010321		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2162630	AA	19960526	CA 1995-2162630	19951110
AT 199905	E	20010415	AT 1995-117833	19951113
ES 2156179	T3	20010616	ES 1995-117833	19951113
PT 713875	T	20010928	PT 1995-117833	19951113
AU 9537895	A1	19960530	AU 1995-37895	19951116
AU 691353	B2	19980514		
ZA 9509808	A	19960527	ZA 1995-9808	19951117
JP 08208625	A2	19960813	JP 1995-300933	19951120
JP 2755565	B2	19980520		
HU 75030	A2	19970328	HU 1995-3311	19951120
IL 116064	A1	20000629	IL 1995-116064	19951120
NO 9504718	A	19960528	NO 1995-4718	19951122
CZ 289920	B6	20020417	CZ 1995-3088	19951123
FI 9505669	A	19960526	FI 1995-5669	19951124
CN 1132751	A	19961009	CN 1995-120250	19951124
CN 1064965	B	20010425		
TW 394763	B	20000621	TW 1995-84112546	19951124
RU 2162084	C2	20010120	RU 1995-120013	19951124
PL 185692	B1	20030731	PL 1995-311487	19951124
BR 9505528	A	19971104	BR 1995-5528	19951127
HK 1012345	A1	20020308	HK 1998-113451	19981215
GR 3036065	T3	20010928	GR 2001-400908	20010618
PRIORITY APPLN. INFO.:			CH 1994-3559 A	19941125
			CH 1995-2842 A	19951009

OTHER SOURCE(S): MARPAT 125:114678
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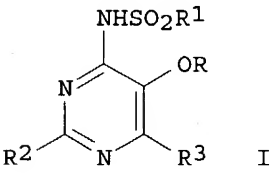


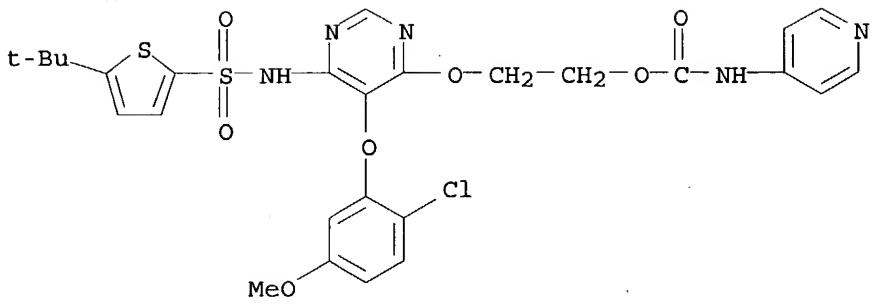
I

AB Title compds. [I; R = (un)substituted Ph; R1 = heterocyclyl; R2 = H, alkyl, alkoxy, Ph, heterocyclyl, etc.; R3 = alkyl, alkoxy, CHO, etc.] were prepared Thus, 5-tert-butyl-2-thiophenesulfonamide was N-arylated by 4,6-dichloro-5-(2-methoxyphenoxy)-2,2'-bipyrimidine and the product etherified by HOCH2CH2OH to give I [R = 1g(OMe)-2, R1 = 5-tert-butyl-2-thienyl, R2 = 2-pyrimidinyl, R3 = OCH2CH2OH]. Data for inhibition of endothelin-induced rat aorta contraction by 2 prepared I were given.

IT **179400-39-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(4-pyrimidinyl)sulfonamides as endothelin receptor antagonists)

RN 179400-39-6 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 2-[[5-(2-chloro-5-methoxyphenoxy)-6-[[[5-(1,1-dimethylethyl)-2-thienyl]sulfonyl]amino]-4-pyrimidinyl]oxy]ethyl ester (9CI) (CA INDEX NAME)

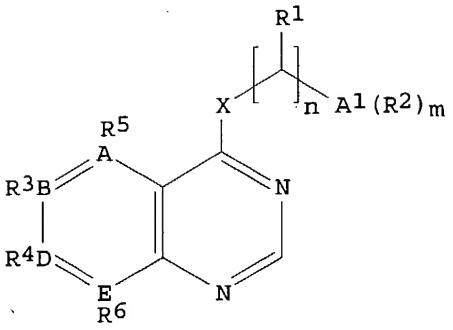


L8 ANSWER 104 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:969436 CAPLUS
DOCUMENT NUMBER: 124:8839
TITLE: Preparation of bicyclic pyrimidines capable of inhibiting tyrosine kinases of the epidermal growth factor receptor family
INVENTOR(S): Bridges, Alexander James; Denny, William Alexander; Fry, David; Kraker, Alan; Meyer, Robert; Rewcastle, Gordon William; Thompson, Andrew Mark
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 218 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9519774	A1	19950727	WO 1995-US941	19950123
W: AM, AU, BG, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SI, SK, TJ, UA, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5654307	A	19970805	US 1994-358351	19941223
ZA 9500440	A	19951010	ZA 1995-440	19950119
AU 9517314	A1	19950808	AU 1995-17314	19950123
AU 686334	B2	19980205		
EP 742717	A1	19961120	EP 1995-909316	19950123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09508127	T2	19970819	JP 1995-519732	19950123
PL 179132	B1	20000731	PL 1995-315633	19950123
MD 1632	F2	20010331	MD 1996-960217	19950123
RU 2174980	C2	20011020	RU 1996-116985	19950123
RO 117257	B1	20011228	RO 1996-1517	19950123
NZ 281011	A	20020201	NZ 1995-281011	19950123
BG 63245	B1	20010731	BG 1996-100614	19960520
FI 9602856	A	19960925	FI 1996-2856	19960715
NO 9603094	A	19960724	NO 1996-3094	19960724
FI 2004000648	A	20040507	FI 2004-648	20040507
FI 2004000649	A	20040507	FI 2004-649	20040507

PRIORITY APPLN. INFO.:
US 1994-186735 A 19940125
US 1994-186745 A 19940125
US 1994-358351 A 19941223
WO 1995-US941 W 19950123

OTHER SOURCE(S): MARPAT 124:8839
GI

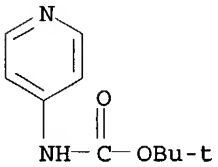


I

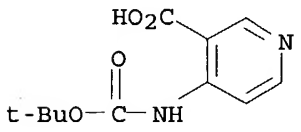
AB The title compds. [I; A-E = nitrogen with the remaining atom(s) carbon, or any two contiguous positions in A-E taken together can be a single heteroatom N, O or S, in which case one of the two remaining atoms must be carbon, and the other can be either carbon or nitrogen, etc.; A1 = divalent Ph, thienyl, furanyl pyrimidinyl, heterocyclyl, etc.; R1 = H, lower alkyl; R2 = lower alkyl, cycloalkyl, alkoxy, cycloalkoxy, NO2, halogen, etc.; R3-R6 = H, alkyl, alkoxy, HO, acyloxy, (un)substituted NH2, etc.; X = O, S, (un)substituted NH; m = 0-3; n = 0-2], useful for inhibiting tyrosine kinases of the epidermal growth factor receptor family, are prepared Thus, 4-(3-bromoanilino)-6-fluoropyrido[3,4-d]pyrimidine was reacted with Me2NH, producing 4-(3-bromoanilino)-6-(dimethylamino)pyrido[3,4-d]pyrimidine, which demonstrated a IC50 of 6 pM for inhibition of tyrosine kinase at an epidermal growth factor receptor.

IT **98400-69-2P 171178-34-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic pyrimidines capable of inhibiting tyrosine kinases of the epidermal growth factor receptor family)

RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 171178-34-0 CAPLUS
CN 3-Pyridinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)



L8 ANSWER 105 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:950891 CAPLUS
DOCUMENT NUMBER: 124:117272
TITLE: Synthesis of pyrido[4,3-f]-1,5-thiazepine as a

potential antihypertensive agent

AUTHOR(S): Ham, Won-Hun; Oh, Chang-Young; Lim, Tae-Gyun; Jung, Yun-Ho; Jung, Young-Hoon

CORPORATE SOURCE: Coll. Pharm., Sungkyunkwan Univ., Suwon, 440-746, S. Korea

SOURCE: Archives of Pharmacal Research (1995), 18(5), 366-8
CODEN: APHRDQ; ISSN: 0253-6269

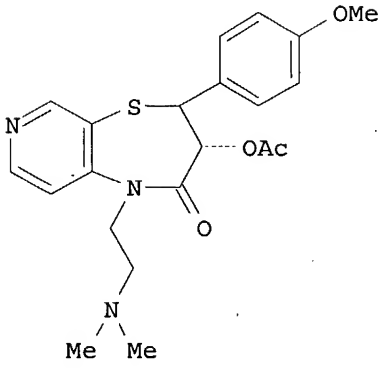
PUBLISHER: Pharmaceutical Society of Korea

DOCUMENT TYPE: Journal

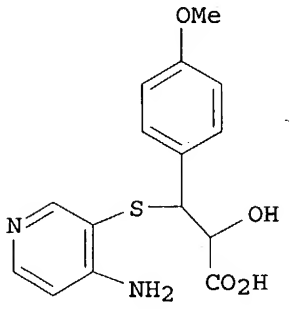
LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:117272

GI



I



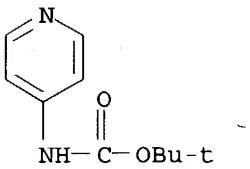
II

AB The title compound I was prepared via intramol. cyclization of acid II followed by N-alkylation with Me2NCH2CH2Cl and O-acetylation.

IT **98400-69-2P**, Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridothiazepine)

RN 98400-69-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 106 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:872009 CAPLUS

DOCUMENT NUMBER: 123:285791

TITLE: Preparation of tricyclic amide and urea compounds for inhibition of G-protein function and treatment of proliferative diseases

INVENTOR(S): Bishop, W. Robert; Doll, Ronald J.; Mallams, Alan K.; Njoroge, F. George; Petrin, Joanne M.; Piwinski, John J.; Remiszewski, Stacy W.; Taveras, Arthur G.; Wolin, Ronald L.

PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: PCT Int. Appl., 260 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9510516	A1	19950420	WO 1994-US11392	19941012
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IL 111235	A1	20010319	IL 1994-111235	19941011
CA 2174104	AA	19950420	CA 1994-2174104	19941012
AU 9479703	A1	19950504	AU 1994-79703	19941012
AU 700246	B2	19981224		
ZA 9407971	A	19960712	ZA 1994-7971	19941012
EP 723540	A1	19960731	EP 1994-930650	19941012
EP 723540	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08510760	T2	19961112	JP 1995-511924	19941012
JP 2880576	B2	19990412		
HU 76056	A2	19970630	HU 1996-962	19941012
SG 75084	A1	20000919	SG 1996-1120	19941012
EP 1123931	A1	20010816	EP 2001-109408	19941012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 210654	E	20011215	AT 1994-930650	19941012
ES 2164718	T3	20020301	ES 1994-930650	19941012
PT 723540	T	20020531	PT 1994-930650	19941012
AU 9892399	A1	19990204	AU 1998-92399	19981116
AU 735262	B2	20010705		

PRIORITY APPLN. INFO.:
US 1993-137862 A 19931015
AU 1994-79703 A3 19941012
EP 1994-930650 A3 19941012
WO 1994-US11392 W 19941012

OTHER SOURCE(S): MARPAT 123:285791
GI

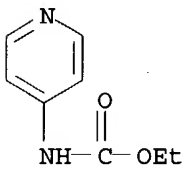
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; A,B = H2, O, halo, alkyl, alkoxy, etc.; ≤1 of a-d = N or NR9 and the others = CR1 or CR2; R = (hetero)aryl(methyl), etc.; R1,R2 = H, halo, OH, alkoxy(carbonyl), etc.; R3,R4 = groups cited for R1; R3R4 = atoms to complete a ring; R5-R8 = H, alkyl, aryl, etc.; R9 = O, Me, (CH2)1-3CO2H; Z = O, S; dashed lines = optional bonds], capable of inhibiting Ras function and therefore inhibiting the abnormal growth of cells, were prepared Thus, benzocycloheptapyridine derivative II (R10 = H) (preparation given) was amidated by pyridine-4-acetic acid to give II (R10 = 4-pyridylacetyl). II (R10 = 3-pyridylacetyl) gave 61.2% inhibition of mouse Lewis lung carcinoma in nu/nu mice at 100mg/kg BID for 4 wk.

IT **54287-92-2P**, Ethyl 4-pyridylcarbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic amide and urea compds. for inhibition of G-protein function and treatment of proliferative diseases)

RN 54287-92-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)

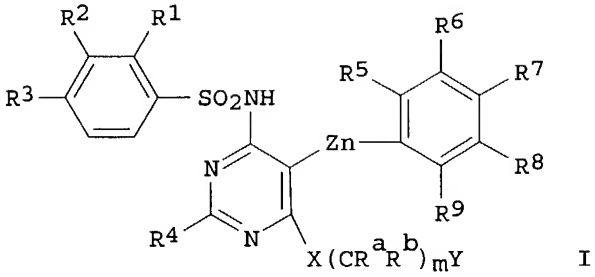


L8 ANSWER 107 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:780258 CAPLUS
DOCUMENT NUMBER: 123:169647
TITLE: Preparation of sulfonylaminopyrimidines as endothelin antagonists.
INVENTOR(S): Breu, Volker; Burri, Kaspar; Cassal, Hean-Marie; Clozel, Martine; Hirth, Georges; Loeffler, Bernd-Michael; Mueller, Marcel; Neidhart, Werner; Ramuz, Henri
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 633259	A1	19950111	EP 1994-109257	19940616
EP 633259	B1	19990113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
TW 394761	B	20000621	TW 1994-83105221	19940608
CA 2125730	AA	19941229	CA 1994-2125730	19940613
AT 175669	E	19990115	AT 1994-109257	19940616
ES 2127850	T3	19990501	ES 1994-109257	19940616
ZA 9404434	A	19950103	ZA 1994-4434	19940621
IL 110089	A1	20000831	IL 1994-110089	19940622
AU 9465948	A1	19950105	AU 1994-65948	19940624
AU 678467	B2	19970529		
HU 67636	A2	19950428	HU 1994-1907	19940624
FI 9403084	A	19941229	FI 1994-3084	19940627
NO 9402428	A	19941229	NO 1994-2428	19940627
BR 9402558	A	19950328	BR 1994-2558	19940627
CN 1106007	A	19950802	CN 1994-106574	19940627
CN 1050839	B	20000329		
LT 3723	B	19960226	LT 1994-1979	19940627
LV 11175	B	19960620	LV 1994-131	19940627
US 5541186	A	19960730	US 1994-266072	19940627
PL 175771	B1	19990226	PL 1994-304007	19940627
PL 177031	B1	19990930	PL 1994-323036	19940627
RU 2142457	C1	19991210	RU 1994-22258	19940627
CZ 287184	B6	20001011	CZ 1994-1573	19940627
JP 07017972	A2	19950120	JP 1994-146003	19940628
JP 2545200	B2	19961016		
RO 114325	B3	19990330	RO 1994-1112	19940628
SK 280736	B6	20000711	SK 1994-779	19940628
PRIORITY APPLN. INFO.:			CH 1993-1924 A	19930628
			IL 1992-101650 A0	19920420
			CH 1994-1575 A	19940520

OTHER SOURCE(S): MARPAT 123:169647

GI



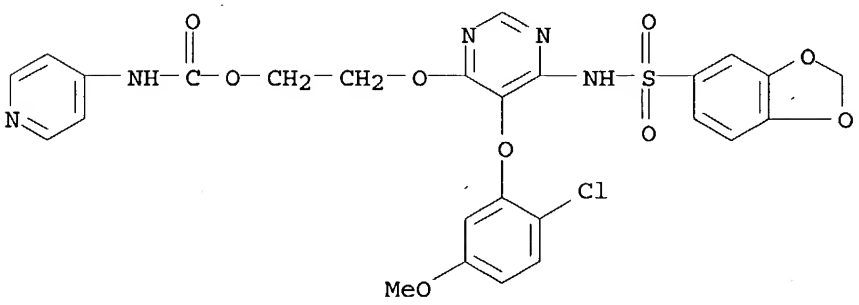
I

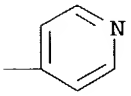
AB Title compds. (I; R1-R3 = H, alkyl, alkoxy, alkylthio, alkenyl, halo, CF3, hydroxyalkoxy, haloalkoxy, alkanoylalkyl, hydroxyalkyl, CO2H, amino, etc.; R2R3, R5R6, R6R7 = butadienyl, methylenedioxy, ethylenedioxy, isopropylidenedioxy; R4 = H, alkyl, cycloalkyl, CF3, alkoxy, alkynyloxy, alkylthio, alkylthioalkyl, hydroxyalkyl, dihydroxyalkoxy, alkylsulfinyl, alkylsulfonyl, aryl, arylthio, aryloxy, heterocyclyl, heterocyclylalkyl, etc.; R5-R9 = H, halo, CF3, alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl; Ra, Rb = H, alkyl, alkoxy, alkylthio; X = O, S, NH; Y = O2CNR10R11, HNOCNR10R11, O2COR10, HNCO2R10; R10 = alkyl, cycloalkyl, hydroxyalkyl, carboxyalkyl, alkoxycarbonylalkyl, alkanoyloxyalkyl, arylcarbamoylalkyl, heterocyclyl, heterocyclylalkyl, etc.; R11 = H, R10; m = 1-3; n = 0,1), were prepared Thus, 2-pyridinecarbonyl azide was heated in PhMe; 4-tert-butyl-N-[6-(2-hydroxyethoxy)-5-(2-methoxyphenoxy)-2,2'-bipyrimidin-4-yl]benzenesulfonamide was added to give pyridine-2-carbaminic acid, 2-[6-(4-tert-butylphenylsulfonylamino)-5-(2-methoxyphenoxy)-2,2'-bipyrimidin-4-yloxy]ethyl ester. The latter at 30 mg/kg orally in rats gave a 30% reduction in average arterial blood pressure.

IT **167402-50-8P 167402-54-2P 167402-55-3P**
167402-58-6P 167402-59-7P 167402-60-0P
167402-61-1P 167402-62-2P 167402-63-3P
167402-64-4P 167402-65-5P 167402-66-6P
167402-67-7P 167402-69-9P 167402-70-2P
167402-71-3P 167402-73-5P 167402-74-6P
167402-75-7P 167403-45-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonylaminopyrimidines as endothelin antagonists)

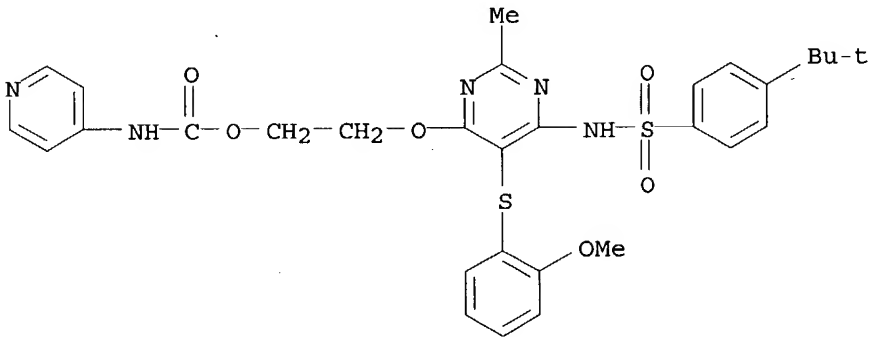
RN 167402-50-8 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 2-[[6-[(1,3-benzodioxol-5-ylsulfonyl)amino]-5-(2-chloro-5-methoxyphenoxy)-4-pyrimidinyl]oxy]ethyl ester (9CI) (CA INDEX NAME)





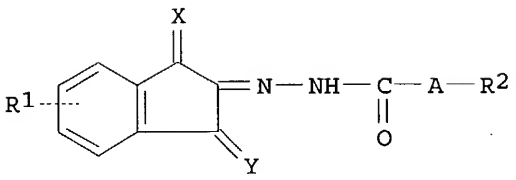
RN 167403-45-4 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 2-[[6-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]amino]-5-[(2-methoxyphenyl)thio]-2-methyl-4-pyrimidinyl]oxy]ethyl ester (9CI) (CA INDEX NAME)



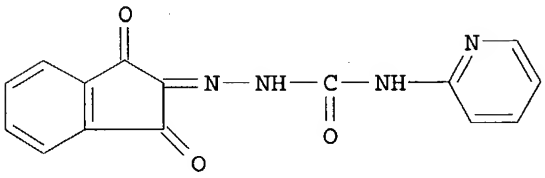
L8 ANSWER 108 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:557358 CAPLUS
DOCUMENT NUMBER: 122:290465
TITLE: Ketonic indane derivatives, their preparation and therapeutic application thereof.
INVENTOR(S): Desquand, Stephanie; Finet, Michel Boulevard Pasteur; Le Marquer, Florence; Tembo, Nornert Olivier; Torregrosa, Jean-Luc; Yannic-Arnoult, Sylvie
PATENT ASSIGNEE(S): Laboratoire Innothera SA, Fr.
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 646574	A1	19950405	EP 1994-402168	19940929
EP 646574	B1	19981209		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2710639	A1	19950407	FR 1993-11719	19931001
FR 2710639	B1	19951222		
AT 174328	E	19981215	AT 1994-402168	19940929
ES 2127902	T3	19990501	ES 1994-402168	19940929
PRIORITY APPLN. INFO.:			FR 1993-11719	19931001

OTHER SOURCE(S): CASREACT 122:290465; MARPAT 122:290465
GI



I



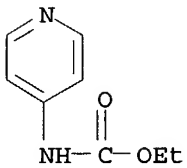
II

AB Title compds. I [X, Y = O, NyR4; y = 1-2; A = O, NR5; R1 = H, halo, NO2, NxR3R3; x = 1-2; R2 = monovalent organic radical, (un)substituted (hetero)aromatic; R3 = monovalent organic radical, H, or O; R4 = alkyl, OH, alkoxy; R5 = H, organic radical; excluding R2 = Ph, hydroxyphenyl, or alkoxyphenyl, when R1 = H] are claimed, and 45 examples were prepared I have a variety of pharmacol. properties, acting as venotonics, antilipoperoxidants, antiradical and antiinflammatory agents, and protectants against septic shock. For example, condensation of 2,3-dihydro-2,2-dihydroxy-1,3-dioxo-1H-indene with 2-pyridylaminocarbonylhydrazine in THF at 5° gave 59% title compound II, which was converted quant. to its HCl salt (III) by HCl-ether solution in MeOH. Several selected I, including III, gave up to 30-200% augmentation of noradrenaline-induced (0.3 μM) contractions of isolated rabbit saphenous vein. I were generally nonlethal in mice at 1 g/kg orally (no specific data), with coloration of urine and colored diarrhea being the only observed effects.

IT **54287-92-2**, 4-Ethoxycarbonylaminopyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of ketonic indane derivs. and analogs as drugs)

RN 54287-92-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 109 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:400812 CAPLUS

DOCUMENT NUMBER: 123:32977

TITLE: Design and synthesis of complementary components for the formation of self-assembled supramolecular rigid rods

AUTHOR(S): Kotera, Mitsu; Lehn, Jean-Marie; Vigneron, Jean-Pierre

CORPORATE SOURCE: Lab. Chim. Interact. Mol., CNRS, Paris, 75005, Fr.

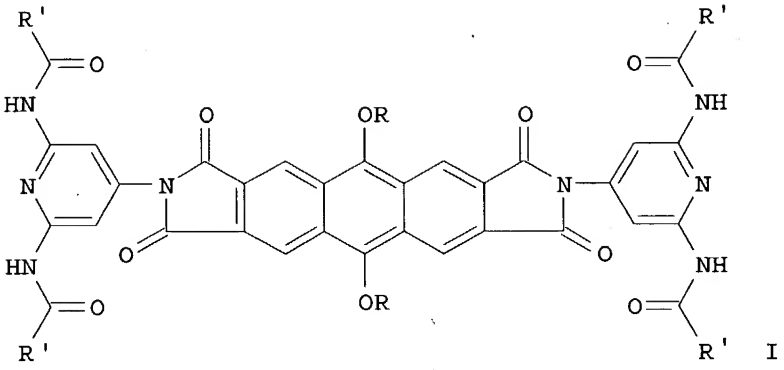
SOURCE: Tetrahedron (1995), 51(7), 1953-72
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier

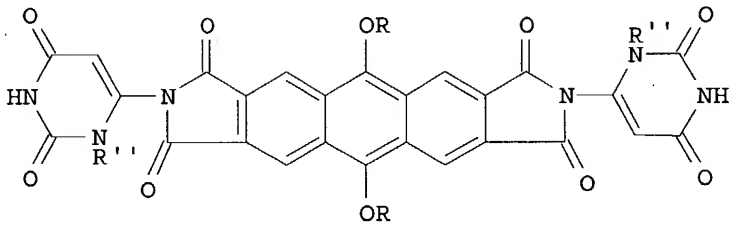
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



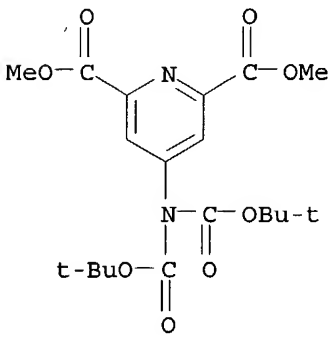
II

AB The complementary components I (R = C12H25; R' = Me, Bu, CH2CMe3) and II (same R; R'' = H, Me, Pr), resulting resp. from the linking of diacylaminopyridine and uracil derivs. to an anthracenic core, have been designed in order to self-assemble, through hydrogen bonding, into polymeric supramol. rigid rods (I, II)n. The synthesis of these compds. is reported.

IT **154601-41-9P 154601-42-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of complementary components for the formation of self-assembled supramol. rigid rods)

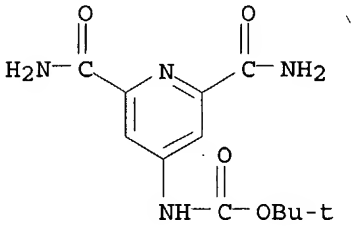
RN 154601-41-9 CAPLUS

CN 2,6-Pyridinedicarboxylic acid, 4-[bis[(1,1-dimethylethoxy)carbonyl]amino]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 154601-42-0 CAPLUS

CN Carbamic acid, [2,6-bis(aminocarbonyl)-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

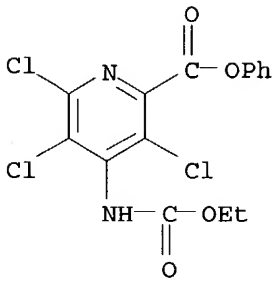


L8 ANSWER 110 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:333975 CAPLUS
DOCUMENT NUMBER: 122:207643
TITLE: Herbicidal characteristics of some derivatives of 4-amino-3,5,6-trichloropicolinic acid
AUTHOR(S): Ugryumov, E. P.; Sokolov, M. S.; Palchkov, V. A.
CORPORATE SOURCE: Vserossiisk. NII Biol. Zashch. Rast., Krasnodar, Russia
SOURCE: Agrokhimiya (1994), (11), 87-97
CODEN: AGKYAU; ISSN: 0002-1881
PUBLISHER: Nauka
DOCUMENT TYPE: Journal
LANGUAGE: Russian

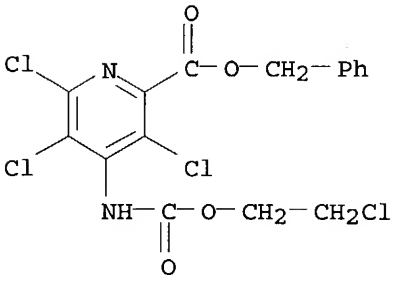
AB The herbicidal activities of a series of derivs. of 4-amino-3,5,6-trichloropicolinic acid (picloram) was evaluated, in expts. with pea plant. The most detailed evaluation was conducted on aliphatic and some aromatic esters of picloram. Most of these compds. had lower herbicidal activities than picloram. The relation between the chemical structure and biol. activity of studied herbicides was observed: an increase in the amount of C atoms in the alc. part of the mol. decreased the herbicidal activity, except of Me, Et and Pr esters of picloram which had the activity close to the original picloram. The substituted thioethyl esters had significantly lower activity than picloram. Synthesized compds., such as β-aminoethyl esters of picloram, had higher activity than many of other studied ester derivs. The herbicidal activity of amides and hydrazides of picloram was very low. Ureido and carbamino derivs. of picloram did not improve the herbicidal activity in comparison with picloram. Phosphorus-containing derivs. of picloram and organic salts of picloram, and other synthesized derivs. were studied also, and data are presented and discussed. In general, the substitution of amino and carboxyl groups resulted in significant modification of chem structure and biol. activity of picloram.

IT **161722-59-4 161722-60-7**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(herbicidal activity of picloram derivs.)

RN 161722-59-4 CAPLUS
CN 2-Pyridinecarboxylic acid, 3,5,6-trichloro-4-[(ethoxycarbonyl)amino]-, phenyl ester (9CI) (CA INDEX NAME)



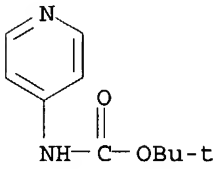
RN 161722-60-7 CAPLUS
CN 2-Pyridinecarboxylic acid, 3,5,6-trichloro-4-[[(2-chloroethoxy)carbonyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)



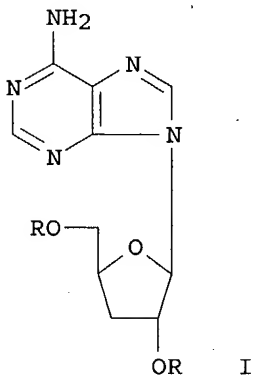
L8 ANSWER 111 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:263074 CAPLUS
DOCUMENT NUMBER: 122:132922
TITLE: A simple method for the protection of aryl amines as their t-butylcarbamoyl (Boc) derivatives
AUTHOR(S): Kelly, Terence A.; McNeil, Daniel W.
CORPORATE SOURCE: Dep. of Medicinal Chem., Boehringer Ingelheim Pharmaceuticals Inc., Ridgefield, CT, 06877, USA
SOURCE: Tetrahedron Letters (1994), 35(48), 9003-6
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:132922

AB It has been found that aryl amines can be directly protected as their Boc derivs. by treatment of the amine with two equivalent of NaHMDS in THF followed by one equivalent of di-t-butyldicarbonate. This procedure works on a wide variety of both electron-rich and electron-deficient aryl amines.

IT **98400-69-2P**, 4-(tert-Butoxycarbonylamino)pyridine
RL: SPN (Synthetic preparation); PREP (Preparation)
(protection of aryl amines as their t-butylcarbamoyl (Boc) derivs.)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



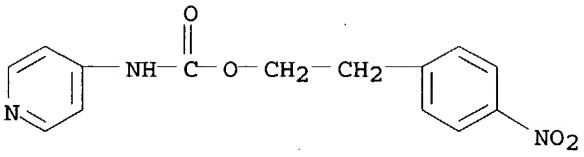
L8 ANSWER 112 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:53077 CAPLUS
DOCUMENT NUMBER: 122:133633
TITLE: Nucleosides. Part LVI. Aminolysis of carbamates of adenosine and cytidine
AUTHOR(S): Sigmund, Harald; Pfeiderer, Wolfgang
CORPORATE SOURCE: Fak. Chem., Univ. Konstanz, Konstanz, D-78434, Germany
SOURCE: Helvetica Chimica Acta (1994), 77(5), 1267-80
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:133633
GI



AB The 2-(4-nitrophenyl)ethoxycarbonyl(npeoc) group, introduced 1984 as protecting group for exocyclic amino functions of nucleic-acid bases, reacts with amines under mild conditions to urea derivs. Treatment of 2',5'-di-O-acetyl-N6-[2-(4-nitrophenyl)ethoxycarbonyl]cordycepin with NH3/MeOH overnight at room temperature affords cordycepins I (R = H, CONH2). Preliminary investigations towards the elucidation of the reaction mechanism indicate that the aminolysis proceeds via as addition-elimination or an isocyanate mechanism, depending on the reaction conditions. The phenoxycarbonyl group at N6 or N4 was chosen to study the mild conversion fo carbamates with aromatic amines into ureas of adenosine and cytidine, resp.

IT **161001-90-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(aminolysis of carbamates of adenosine and cytidine)

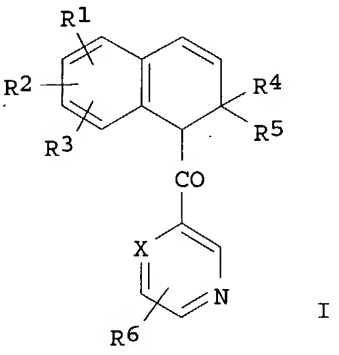
RN 161001-90-7 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 2-(4-nitrophenyl)ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 113 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:655828 CAPLUS
DOCUMENT NUMBER: 121:255828
TITLE: Preparation of N-pyridylcarbonyl- and pyrazinylcarbonyldihydroquinolines as herbicides
INVENTOR(S): Uekawa, Toru; Hiratsuka, Mitsunori; Hirata, Naonori; Saito, Kazuo; Yogai, Hiroyuki
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 72 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 579469	A2	19940119	EP 1993-305439	19930712
EP 579469	A3	19940427		
R: DE, DK, ES, FR, GB, IT, NL, PT, SE				
AU 9341452	A1	19940120	AU 1993-41452	19930622
AU 661159	B2	19950713		
CA 2099050	AA	19940116	CA 1993-2099050	19930623
JP 06080663	A2	19940322	JP 1993-195485	19930712
US 5354729	A	19941011	US 1993-89576	19930712
BR 9302849	A	19940216	BR 1993-2849	19930714
PRIORITY APPLN. INFO.:			JP 1992-188043	19920715

OTHER SOURCE(S): MARPAT 121:255828
GI



I

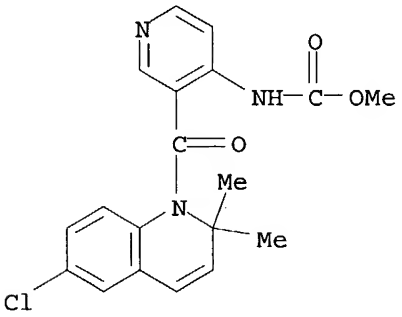
AB Title comps. I (R1, R2, R3 = H, halo, C1-C6 alkyl, C1-C6 alkoxy; halo-C1-C6 alkyl; halo-C1-C6 alkoxy; C1-C6 alkoxycarbonyl; C1-C6 alkylthio; C1-C6 alkylamino; di(C1-C6 alkyl)amino, PhO, etc.;R4, R5, = H, C1-C6 alkyl, R4R5 = C2-C5 alkylene; R6 = H, halo, amino, C1-C6 alkylamino, di(C1-C6 alkyl)amino, (C1-C6 alkoxy)carbonylamino, ,etc.; X = HC, N) are prepared Pyrazinecarbonyl chloride in CH2Cl2 and ET3N were stirred at room temperature followed by 1,2-dihydro-2,2,6-trimethylquinoline to give I (R1 = R4

= R5 = Me, R2 = R3 = R6 = H, X = N) which at 250 g/ha completely controlled Monochoria vaginalis with no phytotoxicity to rice. A large number of I were prepared and tested also against other weeds.

IT **158494-32-7P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)

RN 158494-32-7 CAPLUS

CN Carbamic acid, [3-[(6-chloro-2,2-dimethyl-1(2H)-quinolinyl)carbonyl]-4-pyridinyl]-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 114 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:645103 CAPLUS

DOCUMENT NUMBER: 121:245103

TITLE: The identification of a novel renin inhibitor of equivalent efficacy following oral or intravenous administration

AUTHOR(S): Smith, S. A.; Al-Barazanji, K. A.; Buckingham, R. E.; Cassidy, F.; Coldwell, M. C.; Finney, F. J. L.; Hadley, M. S.; Ham, P.; Lawrence, S. A.; et al.

CORPORATE SOURCE: SmithKline Beecham Pharm., Discovery Res., Harlow/Essex, CM19 5AD, UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(11), 1291-6
CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

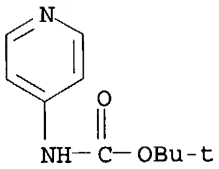
LANGUAGE: English

AB The identification and in vitro potency of a novel series of renin inhibitors, based on pyrido-fused [6,6]ring systems is described. The syntheses of representative members of the series are reported. The most interesting compound, the pyrido[4,3-b]thiazine 10, shows equivalent efficacy in the conscious, sodium-depleted, marmoset following oral or i.v. administration.

IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(lithiation; preparation, in vitro potency, and hypotensive effect of series of renin inhibitors, based on pyrido-fused [6,6]ring systems)

RN 98400-69-2 CAPLUS

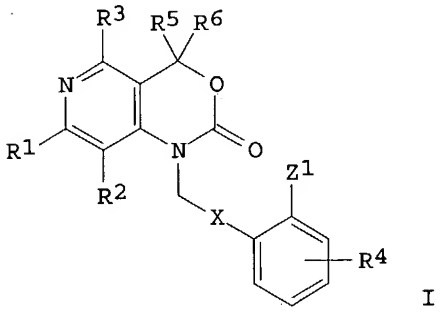
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 115 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:557658 CAPLUS
DOCUMENT NUMBER: 121:157658
TITLE: Pyrido[4,3-d][1,3]oxazinone angiotensin II antagonists
INVENTOR(S): Ratcliffe, Arnold Harry; Gibson, Keith Hopkinson
PATENT ASSIGNEE(S): Zeneca, UK
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9411379	A1	19940526	WO 1993-GB2282	19931104
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9453761	A1	19940608	AU 1994-53761	19931104
PRIORITY APPLN. INFO.:			GB 1992-23371	19921106
			WO 1993-GB2282	19931104

OTHER SOURCE(S): MARPAT 121:157658
GI



I

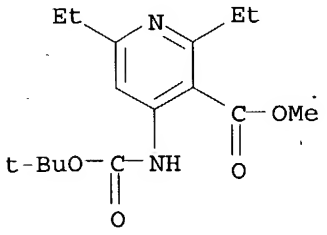
AB The title compds. [I; R1 = H, C1-8 alkyl, C3-8 cycloalkyl, (un)substituted C1-4 alkyl, etc.; R2 = H, C1-4 alkyl, C1-4 alkoxy, halogen, trifluromethyl, (un)substituted CO2H, CN, NO2, etc.; R3 = halogen, C1-4 alkoxy, OH, (un)substituted NH2, R1; R4 = H, C1-4 alkyl, C1-4 alkoxy, halogen, trifluromethyl, CN, NO2; R5 = H, (un)substituted C1-4 alkyl, C3-8 cycloalkyl, Ph, pyridyl, etc.; R6 = H, C1-4 alkyl; X = (un)substituted phenylene, direct bond; Z = (un)substituted 1H-tetrazol-5-yl, NHSO2CF3, carboxylate ester, etc.], which are angiotensin II antagonists (no data), useful in the treatment of hypertension (no data), congestive heart failure (no data), etc., are prepared and I-containing formulations presented. Thus, 5,7-diethyl-1-[[2'-(1H-tetrazol-5-yl)biphenyl-4-yl]methyl]-1,4-

dihydro-2H-pyrido[4,3-d][1,3]oxazin-2-one hydrochloride, m.p. 218-221°, was prepared from di-tert-Bu dicarbonate in 4 steps.

IT **157066-69-8P**, Methyl 4-tert-butyloxycarbonylamino-2,6-diethylpyridine-3-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of pyridooxazinone angiotensin II antagonists)

RN 157066-69-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-2,6-diethyl-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 116 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:258088 CAPLUS

DOCUMENT NUMBER: 120:258088

TITLE: Self-assembled supramolecular rigid rods

AUTHOR(S): Kotera, Mitsuharu; Lehn, Jean Marie; Vigneron, Jean Pierre

CORPORATE SOURCE: Lab. Chim. Interact. Mol., Coll. France, Paris, 75005, Fr.

SOURCE: Journal of the Chemical Society, Chemical Communications (1994), (2), 197-9
CODEN: JCCCAT; ISSN: 0022-4936

DOCUMENT TYPE: Journal

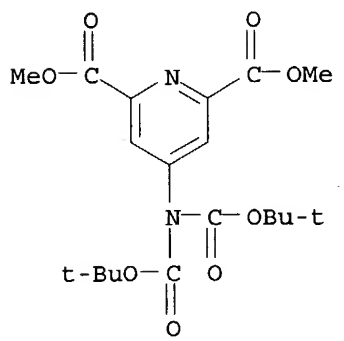
LANGUAGE: English

AB The self-assembly of the complementary components AP2 and AU2, through H bonding via uracil and 2,6-diacylaminopyridine groups, yields polymeric supramol. rigid rods (AP2, AU2)n that present a lyotropic mesophase.

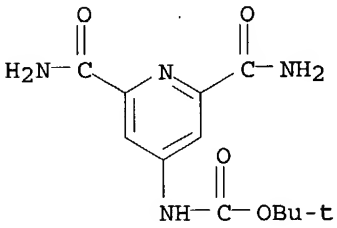
IT **154601-41-9P 154601-42-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of, in liquid crystal preparation)

RN 154601-41-9 CAPLUS

CN 2,6-Pyridinedicarboxylic acid, 4-[bis[(1,1-dimethylethoxy)carbonyl]amino]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 154601-42-0 CAPLUS
CN Carbamic acid, [2,6-bis(aminocarbonyl)-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 117 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:90688 CAPLUS
DOCUMENT NUMBER: 120:90688
TITLE: Silver halide photographic material containing hydrazine derivative fogging agent
INVENTOR(S): Onodera, Akira; Usagawa, Yasushi
PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 37 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05216151	A2	19930827	JP 1992-21322	19920206

PRIORITY APPLN. INFO.: JP 1992-21322 19920206

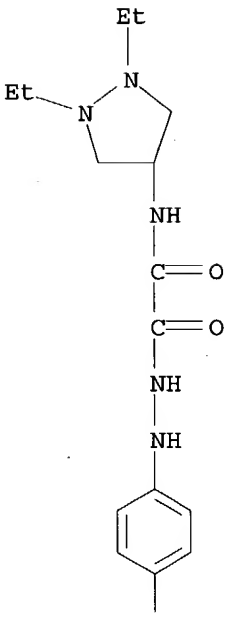
GI For diagram(s), see printed CA Issue.

AB The material has ≥1 layer containing ≥1 hydrazine derivative I [R = acylamino, ureido, hydrazinocarbonylamino, oxyoxarylamino, oxamoylamino, sulfonamido, phosphonamido, acylhydrazino, oxycarbonylhydrazino, (thio)semicarbazido, carbamoyl, acyloxy, oxycarbonyl(amino), thiocarbonamido, thioacylamino, thioureido, hydrazinothiocarbonylamino, (substituted) amino, (substituted) hydrazino, hydrazinocarbonyl, R2O, R3S; R2-3 = H, aliphatic group, aromatic group, heterocyclic group; Z = atomic group forming N-containing heterocyclic quaternary onium group; X anion; Y = substituent group; L = (substituted) alkylene, (substituted) alkenylene; J = aliphatic group, aromatic group, heterocyclic group; m = 0-4; A1 = A2 = H or 1 of A1-2 = H and another A1-2 = acyl, sulfonyl, oxalyl; G = carbonyl, sulfonyl, sulfoxy, phosphoryl, iminomethylene; R1 = H, block group]. A material containing II showed good dot reproductivity and storage stability.

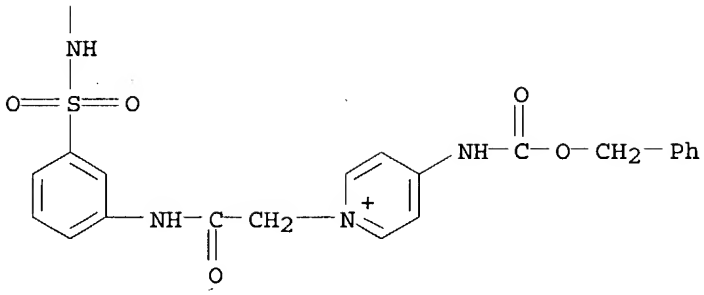
IT **152333-05-6**
RL: USES (Uses)
(fogging agent, for silver halide photog. material, with good dot reproductivity and storage stability)

RN 152333-05-6 CAPLUS
CN Pyridinium, 1-[2-[[3-[[[4-[2-[[(1,2-diethyl-4-pyrazolidinyl)amino]oxoacetyl]hydrazino]phenyl]amino]sulfonyl]phenyl]amino]-2-oxoethyl]-4-[[(phenylmethoxy)carbonyl]amino]-, chloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

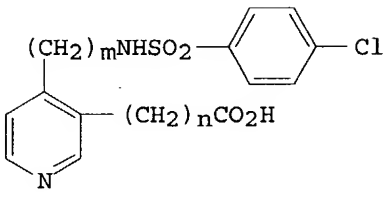


● Cl^-

L8 ANSWER 118 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:254702 CAPLUS
DOCUMENT NUMBER: 118:254702
TITLE: Thromboxane receptor antagonism combined with thromboxane synthase inhibition. 6. 4-Substituted 3-pyridinylalkanoic acids
AUTHOR(S): Bhagwat, S. S.; Boswell, C.; Gude, C.; Contardo, N.; Cohen, D. S.; Mathis, J.; Dotson, R.; Lee, W.; Shetty, S.
CORPORATE SOURCE: Res. Dep., CIBA-GEIGY Corp., Summit, NJ, 07901, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1992), 2(12), 1619-22
CODEN: BMCLE8; ISSN: 0960-894X

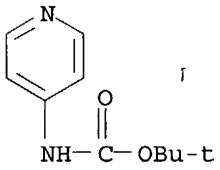
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



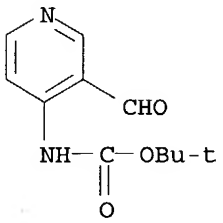
I

AB (3-Pyridinyl)alkanoic acids I (m = 0-3; n = 5, 6) were synthesized and behaved as platelet thromboxane receptor antagonists and thromboxane synthase inhibitors. I behaved as agonists at the vascular receptor for thromboxane A2.

IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(formylation of)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **116026-93-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Wittig reaction of)
RN 116026-93-8 CAPLUS
CN Carbamic acid, (3-formyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 119 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:93144 CAPLUS
DOCUMENT NUMBER: 118:93144
TITLE: Synthesis and characterization of lanthanide(III) nitrate coordination polymers of 4-ethoxycarbonylaminopyridine N-oxide
AUTHOR(S): Agarwal, R. K.; Prakash, I.; Sarin, R. K.
CORPORATE SOURCE: Dep. Chem., Lajpat Rai Coll., Sahibabad, 201 005,

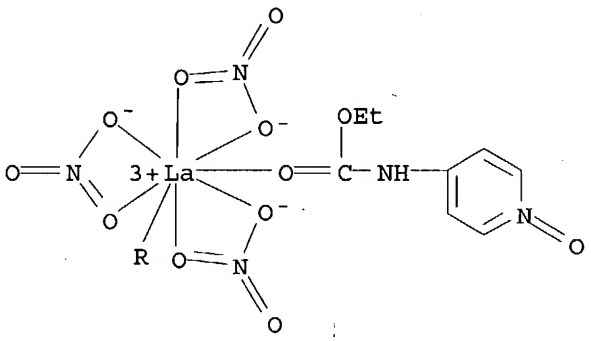
India
SOURCE: Polish Journal of Chemistry (1992), 66(6), 917-22
CODEN: PJCHDQ; ISSN: 0137-5083
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Ln(NO3)3.2(4-ECAPO) coordination polymers (4-ECAPO = 4-ethoxycarbonylaminopyridine N-oxide; Ln = La, Pr, Nd, Sm, Gd-Ho, Yb) were prepared These coordination polymers were characterized by IR and thermal studies.

IT **145956-76-9P 145956-77-0P 145956-78-1P**
145956-79-2P 145956-80-5P 145956-81-6P
145956-82-7P 145956-83-8P 145956-84-9P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and IR spectrum and thermal decomposition of)

RN 145956-76-9 CAPLUS
CN Lanthanum, bis[ethyl (1-oxido-4-pyridinyl)carbamate-κO']tris(nitrato-κO,κO')- (9CI) (CA INDEX NAME)

PAGE 1-A



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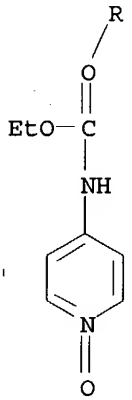
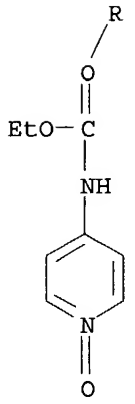


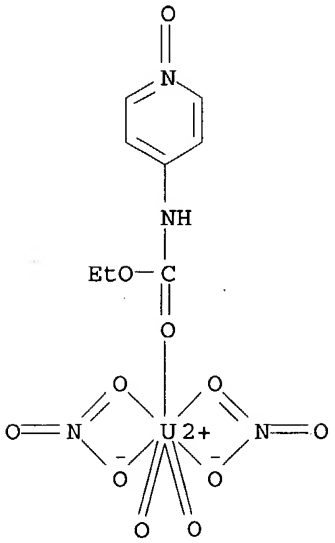
RN 145956-77-0 CAPLUS
CN Praseodymium, bis[ethyl (1-oxido-4-pyridinyl)carbamate-κO']tris(nitrato-κO,κO')- (9CI) (CA INDEX NAME)



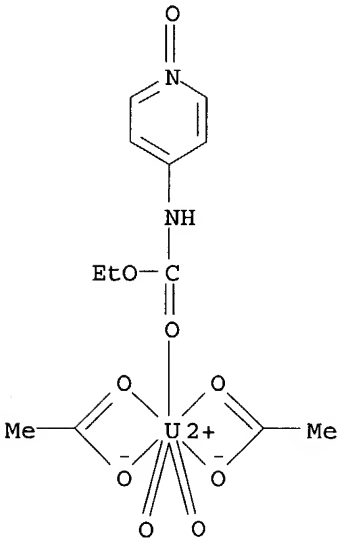
L8 ANSWER 120 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:93143 CAPLUS
DOCUMENT NUMBER: 118:93143
TITLE: Synthesis and characterization of dioxouranium(VI) coordination polymers of 4-ethoxycarbonylaminopyriride N-oxide
AUTHOR(S): Agarwal, R. K.; Prakash, J.
CORPORATE SOURCE: Dep. Chem., Lajpat Rai Coll., Sahibabad, 201 005, India
SOURCE: Polish Journal of Chemistry (1992), 66(6), 909-15
CODEN: PJCHDQ; ISSN: 0137-5083
DOCUMENT TYPE: Journal
LANGUAGE: English

AB [UO2X2(4-ECAPO)x]n coordination polymers (4-ECAPO = 4-ethoxycarbonylaminopyridine N-oxide; n = 2; X = Cl, Br, NCS; n = 1; X = NO3, AcO) were prepared and characterized. All the coordination polymers have 8-coordination around U(VI) with bidentate bridging organic ligand mol. and terminally bonded Cl-/Br-/NCS- and bidentate chelating NO3-/AcO- groups in the solid state.

IT **145956-85-0P 145956-86-1P 145956-87-2P 146019-50-3P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and IR spectrum and thermal decomposition of)
RN 145956-85-0 CAPLUS
CN Uranium, dichlorobis[ethyl (1-oxido-4-pyridinyl)carbamate]dioxo- (9CI) (CA INDEX NAME)



IT **145994-96-3P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and IR spectrum of)
RN 145994-96-3 CAPLUS
CN Uranium, bis(acetato-O,O')[ethyl (1-oxido-4-pyridinyl)carbamate]dioxo-,
(PB-7-33-1222'2')- (9CI) (CA INDEX NAME)



L8 ANSWER 121 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:142734 CAPLUS
DOCUMENT NUMBER: 116:142734
TITLE: Some coordination polymers of thorium(IV) with 4,4'-bipyridyl-N,N'-dioxide and 4-ethoxycarbonylaminopyridine-N-oxide
AUTHOR(S): Agarwal, R. K.; Prakash, Jai
CORPORATE SOURCE: Postgrad. Dep. Chem., Lajpat Rai Postgrad. Coll., Sahibabad, 201 005, India
SOURCE: Polyhedron (1991), 10(23-24), 2809-12
CODEN: PLYHDE; ISSN: 0277-5387

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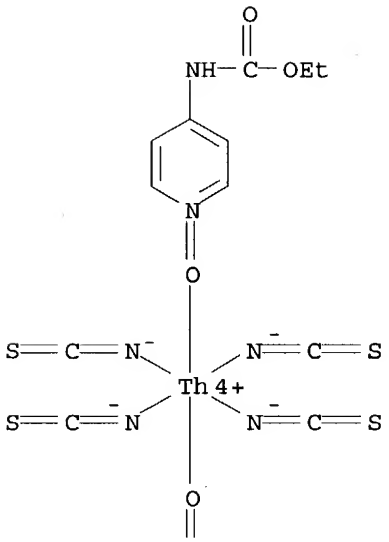

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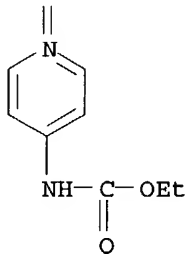
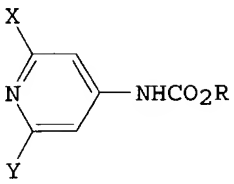


L8 ANSWER 122 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:78591 CAPLUS
DOCUMENT NUMBER: 116:78591
TITLE: Preparation of N-(4-pyridyl)carbamates as flowering accelerators.
INVENTOR(S): Konishi, Kenji; Kobayashi, Kenji; Nitani, Fumio
PATENT ASSIGNEE(S): Nippon Kayaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03181462	A2	19910807	JP 1989-317689	19891208
PRIORITY APPLN. INFO.:			JP 1989-317689	19891208

OTHER SOURCE(S): MARPAT 116:78591

GI



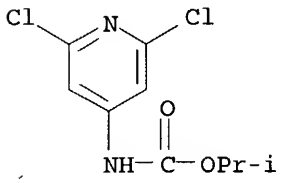
I

AB Flowering accelerators contain the title compds. I [R = C3-8 alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, (halo- or Me-substituted) Ph; X = halo; Y = H, halo, Me; if X = Cl and Y = H, then R ≠ Pr] as active ingredients. 2-Chloroisonicotinic acid azide and cyclopentanol in toluene were refluxed for 3 h to give 93.9% I (R = cyclopentyl, X = Cl, Y = H) (II). A wettable powder was prepared from II 25, diatomaceous earth 20, kaolin 47, and a mixture of Na lauryl sulfate and Na 2,2-dinaphthylmethanesulfonate 8 weight parts. Asparagus seeds were soaked in the H2O-diluted wettable powder containing 100 ppm II at 25° for 14 days and cultivated for 14 days to result in 53% flowering, 100% germination, and 100% survival, vs. 19, 100, and 20%, resp., for atrazine.

IT **138763-64-1P 138763-65-2P 138763-66-3P**
138763-67-4P 138763-68-5P 138763-69-6P
138763-70-9P 138763-73-2P 138763-74-3P
138763-75-4P 138763-76-5P 138763-77-6P
138763-78-7P 138763-79-8P 138763-80-1P
138763-81-2P 138763-82-3P 138763-83-4P
138763-84-5P 138763-87-8P 138763-88-9P
138763-89-0P 138763-91-4P 138763-92-5P
138763-93-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as flowering accelerator)

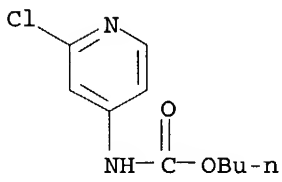
RN 138763-64-1 CAPLUS

CN Carbamic acid, (2,6-dichloro-4-pyridinyl)-, 1-methylethyl ester (9CI) (CA INDEX NAME)



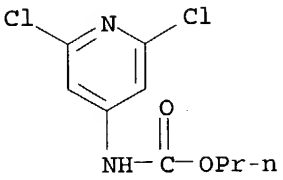
RN 138763-65-2 CAPLUS

CN Carbamic acid, (2-chloro-4-pyridinyl)-, butyl ester (9CI) (CA INDEX NAME)

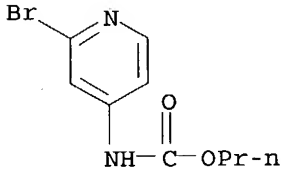


RN 138763-66-3 CAPLUS

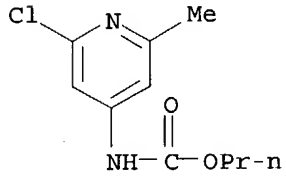
CN Carbamic acid, (2,6-dichloro-4-pyridinyl)-, propyl ester (9CI) (CA INDEX NAME)



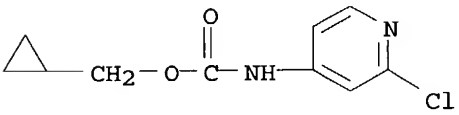
RN 138763-67-4 CAPLUS
CN Carbamic acid, (2-bromo-4-pyridinyl)-, propyl ester (9CI) (CA INDEX NAME)



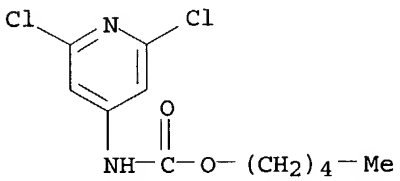
RN 138763-68-5 CAPLUS
CN Carbamic acid, (2-chloro-6-methyl-4-pyridinyl)-, propyl ester (9CI) (CA INDEX NAME)



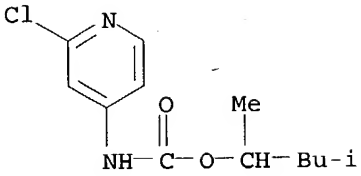
RN 138763-69-6 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, cyclopropylmethyl ester (9CI) (CA INDEX NAME)



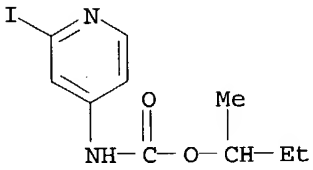
RN 138763-70-9 CAPLUS
CN Carbamic acid, (2,6-dichloro-4-pyridinyl)-, pentyl ester (9CI) (CA INDEX NAME)



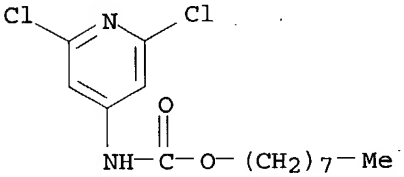
RN 138763-73-2 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1,3-dimethylbutyl ester (9CI) (CA INDEX NAME)



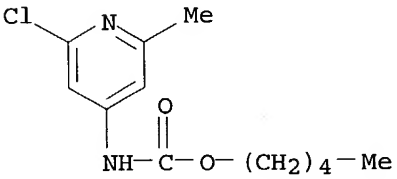
RN 138763-74-3 CAPLUS
CN Carbamic acid, (2-iodo-4-pyridinyl)-, 1-methylpropyl ester (9CI) (CA INDEX NAME)



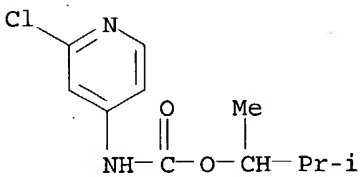
RN 138763-75-4 CAPLUS
CN Carbamic acid, (2,6-dichloro-4-pyridinyl)-, octyl ester (9CI) (CA INDEX NAME)



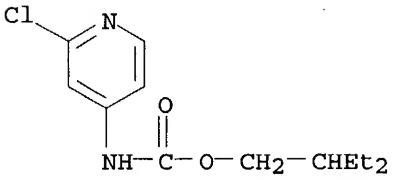
RN 138763-76-5 CAPLUS
CN Carbamic acid, (2-chloro-6-methyl-4-pyridinyl)-, pentyl ester (9CI) (CA INDEX NAME)



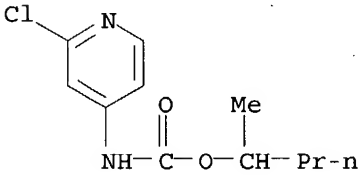
RN 138763-77-6 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1,2-dimethylpropyl ester (9CI) (CA INDEX NAME)



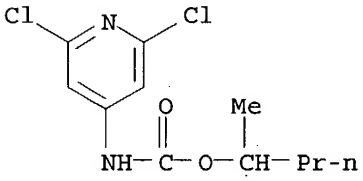
RN 138763-78-7 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, 2-ethylbutyl ester (9CI) (CA INDEX NAME)



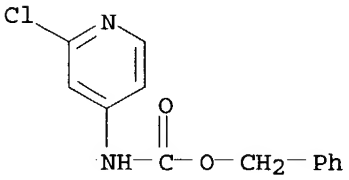
RN 138763-79-8 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1-methylbutyl ester (9CI) (CA INDEX NAME)



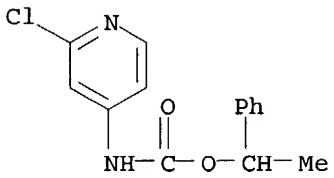
RN 138763-80-1 CAPLUS
CN Carbamic acid, (2,6-dichloro-4-pyridinyl)-, 1-methylbutyl ester (9CI) (CA INDEX NAME)



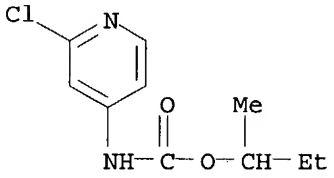
RN 138763-81-2 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



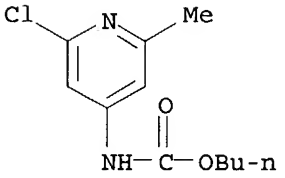
RN 138763-82-3 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1-phenylethyl ester (9CI) (CA INDEX NAME)



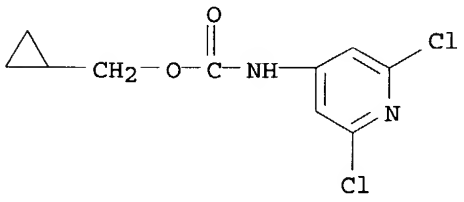
RN 138763-83-4 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1-methylpropyl ester (9CI) (CA INDEX NAME)



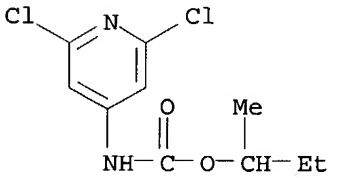
RN 138763-84-5 CAPLUS
CN Carbamic acid, (2-chloro-6-methyl-4-pyridinyl)-, butyl ester (9CI) (CA INDEX NAME)



RN 138763-87-8 CAPLUS
CN Carbamic acid, (2,6-dichloro-4-pyridinyl)-, cyclopropylmethyl ester (9CI) (CA INDEX NAME)

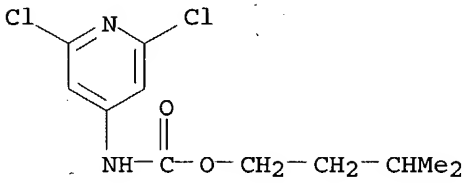


RN 138763-88-9 CAPLUS
CN Carbamic acid, (2,6-dichloro-4-pyridinyl)-, 1-methylpropyl ester (9CI) (CA INDEX NAME)

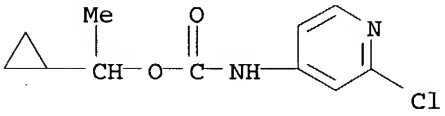


RN 138763-89-0 CAPLUS

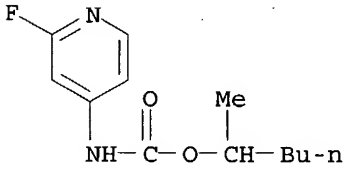
CN Carbamic acid, (2,6-dichloro-4-pyridinyl)-, 3-methylbutyl ester (9CI) (CA INDEX NAME)



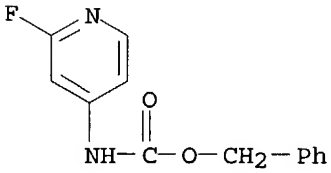
RN 138763-91-4 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, 1-cyclopropylethyl ester (9CI) (CA INDEX NAME)



RN 138763-92-5 CAPLUS
CN Carbamic acid, (2-fluoro-4-pyridinyl)-, 1-methylpentyl ester (9CI) (CA INDEX NAME)



RN 138763-93-6 CAPLUS
CN Carbamic acid, (2-fluoro-4-pyridinyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 123 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1991:121974 CAPLUS
DOCUMENT NUMBER:	114:121974
TITLE:	Thioanhydrides. 4. Alkoxythiocarbonyl(ethoxycarbonyl)sulfides as Thioacylating agents
AUTHOR(S):	Martin, Arnim A.; Zeuner, Frank; Barnikow, Guenter
CORPORATE SOURCE:	Sekt. Chem., Humboldt-Univ., Berlin, DDR-1040, Ger. Dem. Rep.
SOURCE:	Zeitschrift fuer Chemie (1990), 30(3), 90-1 CODEN: ZECEAL; ISSN: 0044-2402
DOCUMENT TYPE:	Journal
LANGUAGE:	German

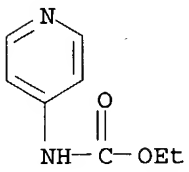
OTHER SOURCE(S): CASREACT 114:121974

AB The thioacylation of R1NH2 (R1 = pyridyl, thiazolyl, etc.) with alkoxythiocarbonyl(ethoxycarbonyl)sulfides R2OC(:S)SCO2Et (R = Me, Et, Pr) gave thiocarbamide-O-alkyl esters, R1NHCSOR2 (same R1, R2).

IT **54287-92-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 54287-92-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 124 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:101785 CAPLUS

DOCUMENT NUMBER: 114:101785

TITLE: Disubstituted tetrahydrofurans and dioxolanes as platelet activating factor (PAF) antagonists

AUTHOR(S): Bartroli, Javier; Carceller, Elena; Merlos, Manuel; Garcia-Rafanell, Julian; Forn, Javier

CORPORATE SOURCE: Chem. Lab., J. Uriach and Cia S. A., Barcelona, 08026, Spain

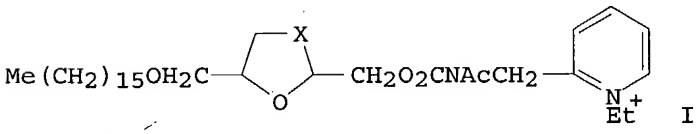
SOURCE: Journal of Medicinal Chemistry (1991), 34(1), 373-86
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:101785

GI

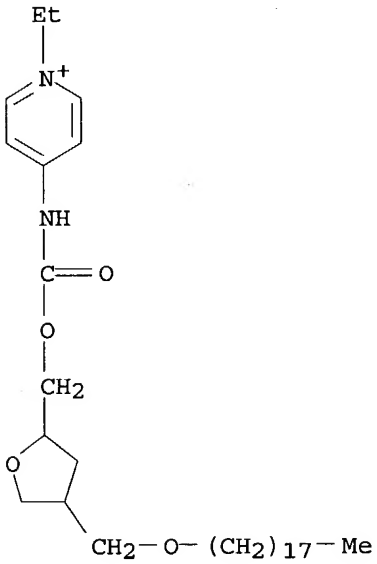


I

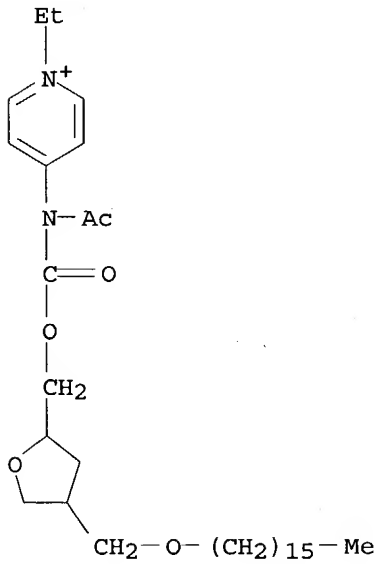
AB A new series of disubstituted THF and dioxolane derivs., including I (X = CH2, O), were prepared by a number of synthetic approaches and evaluated for their PAF antagonist activity in in vitro platelet-aggregation and in vivo hypotension PAF-induced tests. Several of these compds. such as I (X = CH2) exhibited more potent activity than structurally related 2-[N-acetyl-N-[[[2-methoxy-3-[(octadecylcarbamoyl)oxy]propoxy]carbonyl]amino]methyl]-1-ethylpyridinium chloride (CV-6209) in the in vitro assay, whereas all showed less potency in the in vivo test. The role of both the substituent nature and the placement and number of oxygen atoms in the ring are discussed. A qual. structure activity relationship study was carried out on these nuclei.

IT **131830-68-7P 131830-69-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and platelet activating factor antagonist and antihypertensive

activity of)
RN 131830-68-7 CAPLUS
CN Pentitol, 1,4-anhydro-2,3-dideoxy-2-[(octadecyloxy)methyl]-, (1-ethylpyridinium-4-yl)carbamate, iodide (9CI) (CA INDEX NAME)



RN 131830-69-8 CAPLUS
CN Pentitol, 1,4-anhydro-2,3-dideoxy-2-[(hexadecyloxy)methyl]-, acetyl(1-ethylpyridinium-4-yl)carbamate, iodide (9CI) (CA INDEX NAME)



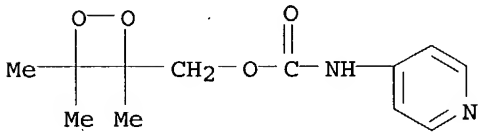
L8 ANSWER 125 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:611731 CAPLUS
DOCUMENT NUMBER: 113:211731
TITLE: Activation parameters and excitation yields of 1,2-dioxetanes of photogenotoxic interest
AUTHOR(S): Adam, Waldemar; Beinhauer, Axel; Hauer, Hermann; Saha-Moeller, Chantu

CORPORATE SOURCE: Inst. Org. Chem., Univ. Wuerzburg, Wuerzburg, D-8700, Germany
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1990), 332(2), 161-8
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:211731

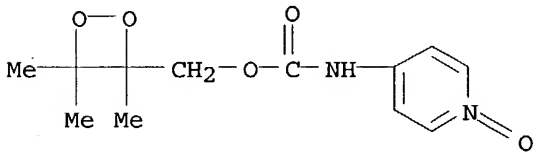
AB The chemiluminescent decomposition of functionalized 1,2-dioxetanes was examined in toluene solution Activation energies were measured by isothermal and nonisothermal kinetic methods. Quantum efficiencies were determined by Stern-Volmer kinetics, using the fluorescence 9,10-dibromo- and 9,10-diphenylanthracene for the triplet and singlet excitation yields. The derivs. of 3-hydroxymethyl-3,4,4-trimethyl-1,2-dioxetane have ΔG.dbldag. of ca. 25 kcal/mol, but the ΔG.dbldag. values of the annelated benzofuran-type dioxetanes are ca. 1 kcal/mol lower. There exists a reasonable correlation between ΔG.dbldag. for the thermal decomposition of the dioxetanes and their triplet excitation flux (EpT).

IT **107323-99-9 130293-29-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(decomposition of, kinetics and mechanism of)

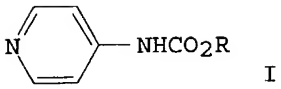
RN 107323-99-9 CAPLUS
CN Carbamic acid, 4-pyridinyl-, (3,4,4-trimethyl-1,2-dioxetan-3-yl)methyl ester (9CI) (CA INDEX NAME)



RN 130293-29-7 CAPLUS
CN Carbamic acid, (1-oxido-4-pyridinyl)-, (3,4,4-trimethyl-1,2-dioxetan-3-yl)methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 126 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:472943 CAPLUS
DOCUMENT NUMBER: 113:72943
TITLE: Effect of micellar media on the kinetic of hydrolysis of two N-(4-pyridyl)carbamates showing herbicidal properties
AUTHOR(S): Matondo, H.; De Savignac, A.; Bergon, M.; Calmon, J. P.; Lattes, A.
CORPORATE SOURCE: UPS, Toulouse, F-31062, Fr.
SOURCE: Comunicaciones presentadas a la Jornadas del Comite Espanol de la Detergencia (1990), 21, 373-9
CODEN: CJCDD7; ISSN: 0212-7466
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



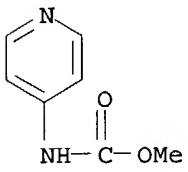
I

AB The kinetics of hydrolysis of the potential pesticidal N-(4-pyridyl)carbamates I (R = Ph or Me) were investigated in micellar H2O-dioxane solns. containing SDS or CTAB and compared with the kinetics in H2O-dioxane media. The rate consts. observed were slightly reduced by the SDS micellar medium. On the other hand, the CTAB micellar medium speeds up the hydrolysis rate for I (R = Ph), whereas a small decrease is observed for I (R = Me). These results can be explained by a pseudophase kinetic model coupled with the hydrolysis mechanisms of these compds. in water-dioxane solution These results are of significance in the formulation of pesticides belonging to this chemical class.

IT **79546-31-9**, Methyl N-4-(pyridyl)carbamate
RL: PRP (Properties)
(kinetics of hydrolysis of, in micellar media)

RN 79546-31-9 CAPLUS

CN Carbamic acid, 4-pyridinyl-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 127 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:193716 CAPLUS

DOCUMENT NUMBER: 112:193716

TITLE: Anticytokinin activity of N-phenyl- and N-pyridylcarbamates

AUTHOR(S): Shimizu, Ryo; Iwamura, Hajime; Fujita, Toshio

CORPORATE SOURCE: Fac. Agric., Kyoto Univ., Kyoto, 606, Japan

SOURCE: Zeitschrift fuer Naturforschung, C: Journal of Biosciences (1990), 45(1-2), 89-95
CODEN: ZNCBDA; ISSN: 0341-0382

DOCUMENT TYPE: Journal

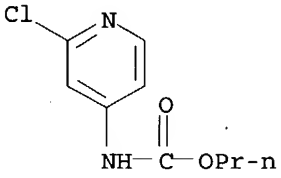
LANGUAGE: English

AB A new class of non-adenylate anticytokinins, alkyl and Ph N-phenylcarbamates and related Ph N-pyridylcarbamates, has been developed. Their structure has an immediate resemblance to the non-adenylate class of cytokinins, N,N'-diphenylureas, and N-pyridyl-N'-phenylureas, and the design of the mol. was made based on insight into the bioisoteric nature of the previous, non-adenylate s-triazine anticytokinins and the carbamate and urea structures. The I50 value of the most potent members, 4-fluoro- and 4-chlorophenyl N-(2-chloro-4-pyridyl)carbamates, was 0.3-0.5 + 10-6M when examined by the tobacco (Nicotiana tabacum) callus assay in the presence of 0.05 + 10-6M kinetin.

IT **121433-24-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(anticytokinin activity of, structure in relation to)

RN 121433-24-7 CAPLUS

CN Carbamic acid, (2-chloro-4-pyridinyl)-, propyl ester (9CI) (CA INDEX NAME)

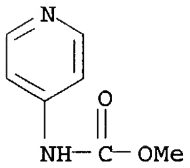


L8 ANSWER 128 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:177719 CAPLUS
DOCUMENT NUMBER: 112:177719
TITLE: Kinetics of the hydrolysis of the potentially pesticidal N-(4-pyridyl)carbamates in micellar solution
AUTHOR(S): Matondo, Hubert; De Savignac, Alain; Bergon, Michel; Calmon, Jean Pierre; Lattes, Armand
CORPORATE SOURCE: Lab. IMRCP, Univ. Paul Sabatier, Toulouse, 31062, Fr.
SOURCE: Journal of Agricultural and Food Chemistry (1990), 38(4), 1106-9
CODEN: JAFCAU; ISSN: 0021-8561
DOCUMENT TYPE: Journal
LANGUAGE: English

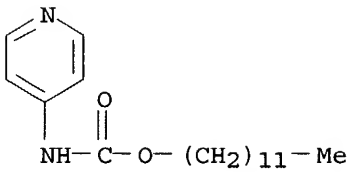
AB The kinetics of hydrolysis of the potential pesticides, Ph (I) Me (II), and dodecyl N-(4-pyridyl)carbamates (III) were investigated in micellar H2O-dioxane solns. containing sodium dodecyl sulfate (SDS) or cetyltrimethylammonium bromide (CTAB) and compared with the kinetics in H2O-dioxane media. For I and II the rate consts. observed are slightly reduced by the SDS micellar media. On the other hand, the CTAB micellar media speed up the hydrolysis rate for I; a small decrease is observed for II. These results can be explained by means of the pseudophase kinetic model coupled with the mechanism of hydrolysis of these compds. in water-dioxane solution Lastly, for III, the micellar SDS or CTAB media inhibit the hydrolysis reaction, which may be attributed to the tensioactive character of these substances.

IT **79546-31-9 125329-97-7**
RL: PRP (Properties)
(hydrolysis of in micellar aqueous dioxane, kinetics and mechanism of)

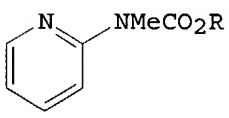
RN 79546-31-9 CAPLUS
CN Carbamic acid, 4-pyridinyl-, methyl ester (9CI) (CA INDEX NAME)



RN 125329-97-7 CAPLUS
CN Carbamic acid, 4-pyridinyl-, dodecyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 129 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:138873 CAPLUS
DOCUMENT NUMBER: 112:138873
TITLE: Reactions of 2-, 3-, and 4-(N-nitrosomethylamino)pyridine with esters containing active methylene groups
AUTHOR(S): Kiriazis, L.; Kalatzis, E.; Alexandrou, N. E.
CORPORATE SOURCE: Natl. Hellenic Res. Found., Athens, Greece
SOURCE: Journal of Heterocyclic Chemistry (1989), 26(1), 155-60
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:138873
GI



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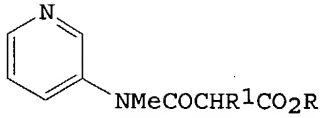
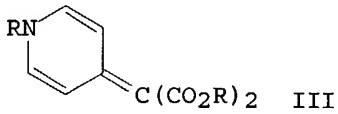


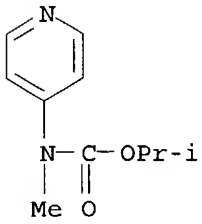
II



III

AB 2-(N-Nitrosomethylamino)pyridine [but not 2-(methylamino)pyridine] and the 3- and 4-isomers of these compds. react with malonate esters to form the corresponding carbamate derivs. I (R = alkyl), amides II (R1 = H, Me), and dihydropyridines III, resp. In the reaction of the 4-isomers with diisopropyl malonate, small amts. of the corresponding carbamates were also formed.

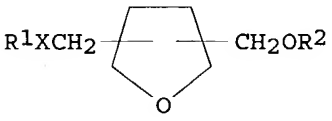
IT **125867-16-5P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)
RN 125867-16-5 CAPLUS
CN Carbamic acid, methyl-4-pyridinyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 130 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:118631 CAPLUS
DOCUMENT NUMBER: 112:118631
TITLE: 2,4-Disubstituted tetrahydrofuran derivatives as platelet-activating factor (PAF) antagonists and their preparation
INVENTOR(S): Carceller, Elena; Bartroli, Javier
PATENT ASSIGNEE(S): Uriach, J., y Cia. S. A., Spain
SOURCE: Eur. Pat. Appl., 92 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

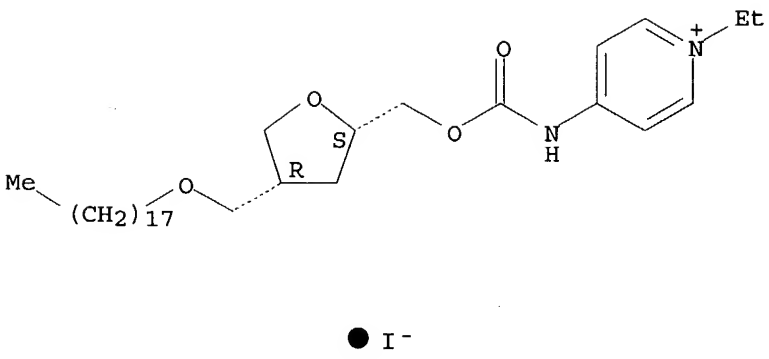
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 312040	A2	19890419	EP 1988-116989	19881013
EP 312040	A3	19900725		
EP 312040	B1	19920603		
R: AT, BE, CH, DE, FR, GB, GR, IT, LI, LU, NL, SE				
ES 2007121	A6	19890601	ES 1987-2900	19871013
ES 2007534	A6	19890616	ES 1988-2276	19880719
JP 01132582	A2	19890525	JP 1988-258321	19881013
US 4997843	A	19910305	US 1988-257205	19881013
AT 76872	E	19920615	AT 1988-116989	19881013
PRIORITY APPLN. INFO.:			ES 1987-2900	19871013
			ES 1988-2276	19880719
			EP 1988-116989	19881013

OTHER SOURCE(S): MARPAT 112:118631
GI



I

AB The title compds. I (X = O, covalent single bond; either the CH2XR1 group is in position 2 and the CH2OR2 group is in position 4, or vice versa; R1 = C10-24 alkyl, alkenyl, alkynyl; or R1 = CONR3R4 and X = O; R3 = C10-24 alkyl, alkenyl, alkynyl; R4 = H, C1-4 alkyl, acyl, etc.; R2 = Y(CH2)nQ(A-)z; Y = single covalent bond, CO, CO2, etc.; n = 0-10; Q = neutral heterocycle group containing a nonquaternary N atom and connecting to the alkylene chain by a ring C, etc.; A- = pharmaceutically acceptable anion such as halide, C1-10 alkylsulfonate, etc.; n = 0-10; z = 0,1), useful as PAF antagonists, were prepared A mixture of (±)-cis,trans-7-[(4-dodecyloxymethyltetrahydrofuran-2-yl)methoxy]heptyl 4-



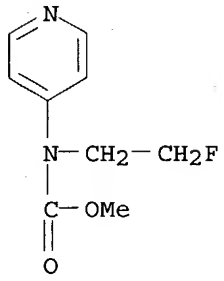
L8 ANSWER 131 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:553338 CAPLUS
DOCUMENT NUMBER: 111:153338
TITLE: Preparation of N-(Fluoroethyl)anilines and heterocyclic analogs as insecticides, acaricides, and microbicides
INVENTOR(S): Hayase, Yoshio; Ichinari, Mitsuhiro; Oba, Katsuaki; Hatta, Takayuki; Takahashi, Toshio
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63227552	A2	19880921	JP 1987-59560	19870313
PRIORITY APPLN. INFO.:			JP 1987-59560	19870313

OTHER SOURCE(S): MARPAT 111:153338

AB The title compds. R1R2NCH2CH2F (I) [R1 = (substituted) Ph, phenylalkyl, pyridyl, etc.; R2 = H, alkyl, haloalkyl, alkanoylalkyl, etc.; or R1R2 = carbazole, (substituted) phenothiazine, etc.; when R1 is substituted Ph, R2 is other than 2-fluoroethyl], useful as insecticides and microbicides, were prepared A mixture of PhNH2 and BrCH2CH2F was heated at 60° for 19 h to give N-(2-fluoroethyl)aniline. A solution containing I (R1 = Ph, R2 = PhCH2CO) (concentration 500 ppm) gave 75% control of Pseudoperonospora cubensis.

IT **122975-00-2P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as insecticide, acaricide, and microbicide)
RN 122975-00-2 CAPLUS
CN Carbamic acid, (2-fluoroethyl)-4-pyridinyl-, methyl ester (9CI) (CA INDEX NAME)

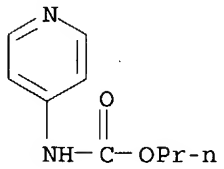


L8 ANSWER 132 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:435064 CAPLUS
DOCUMENT NUMBER: 111:35064
TITLE: Flower induction in seedlings of Asparagus officinalis L. by N-phenylcarbamates
AUTHOR(S): Yanosaka, Keiko; Iwamura, Hajime; Fujita, Toshio
CORPORATE SOURCE: Fac. Agric., Kyoto Univ., Kyoto, 606, Japan
SOURCE: Zeitschrift fuer Naturforschung, C: Journal of Biosciences (1989), 44(3-4), 226-32
CODEN: ZNCBDA; ISSN: 0341-0382
DOCUMENT TYPE: Journal
LANGUAGE: English

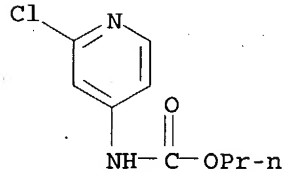
AB A series of N-phenylcarbamates induced flowers in one-month-old seedlings of A. officinalis. Ninety to 100% of the plants flowered when the seeds were germinated in the presence of the most potent members of this class. The flowering occurred only once at the top of the seedlings, which then continued to grow normally. This made it possible to select the com. preferred males of this dioecious plant at the seedling stage. Both male and female flowers were fertile, so cross-breeding was possible between flowering seedlings as well as between flowering seedlings and adults that had grown normally. Activity of flowering induction was not related to inhibition of photosystem II activity.

IT **121433-23-6P 121433-24-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and flowering induction by, in Asparagus officinalis)

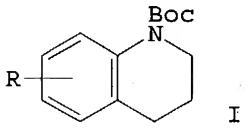
RN 121433-23-6 CAPLUS
CN Carbamic acid, 4-pyridinyl-, propyl ester (9CI) (CA INDEX NAME)



RN 121433-24-7 CAPLUS
CN Carbamic acid, (2-chloro-4-pyridinyl)-, propyl ester (9CI) (CA INDEX NAME)

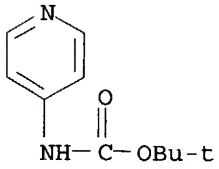


L8 ANSWER 133 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:407196 CAPLUS
DOCUMENT NUMBER: 111:7196
TITLE: Synthesis of 1,2,3,4-tetrahydroquinolines and 1,2,3,4-tetrahydro-1,6-naphthyridines by directed lithiation reactions
AUTHOR(S): Reed, J. Norman; Rotchford, Judy; Strickland, Dean
CORPORATE SOURCE: Dep. Chem., Mem. Univ. Newfoundland, Corner Brook, NF, A2H 6P9, Can.
SOURCE: Tetrahedron Letters (1988), 29(45), 5725-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:7196
GI



I

AB RC6H4NHBoc (R = 4-Me, 4-Cl, 2-F, 4-F, 4-MeO, 3-MeO; Boc = Me3CO2C) are easily converted in a one pot reaction sequence into the N-(tert-butoxycarbonyl)-1,2,3,4-tetrahydroquinolines I by directed ortho lithiation followed by reaction with Cl(CH2)3I, hence providing a new versatile quinoline ring nucleus synthesis. In an analogous reaction, 2-N-(tert-butoxycarbonyl)- and 2-N-(pivaloylamino)pyridine are converted to 1,2,3,4-tetrahydro-1,6-naphthyridines.
IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(lithiation and cyclization of, with chloro(iodo)propane, tetrahydronaphthyridine from)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

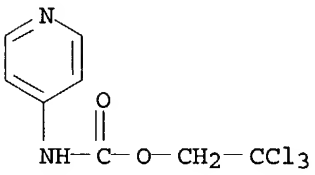


L8 ANSWER 134 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:52849 CAPLUS
DOCUMENT NUMBER: 110:52849
TITLE: Synthesis, mechanism of action, and herbicidal activity of new aryl and alkyl N-(4-pyridyl)carbamates
AUTHOR(S): Matondo, Hubert; Benevides, Norma; Tissut, Michel; Bergon, Michel; De Savignac, Alain; Calmon, Jean Pierre; Lattes, Armand
CORPORATE SOURCE: Lab. IMRCP, Univ. Paul Sabatier, Toulouse, 31062, Fr.

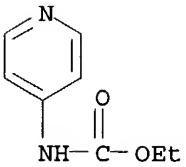
SOURCE: Journal of Agricultural and Food Chemistry (1989), 37(1), 169-72
CODEN: JAFCAU; ISSN: 0021-8561
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of aryl and alkyl N-(4-pyridyl)carbamates was synthesized and screened for potential inhibitory activity on the germination of wheat seedlings. Activity was compared with that of iso-Pr 3-chlorocarbanilate (chlorpropham). The mechanism of hydrolysis of these carbamates was also investigated and was found to follow either an E1cB or BAc2 mechanism depending on the possible formation of an isocyanate intermediate. The reactivities of two series, the N-(4-pyridyl)- and N-phenylcarbamates, were also compared in order to assess the influence of structure on the biol. activity of these new compds.

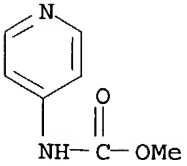
IT **117652-51-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of)
RN 117652-51-4 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)



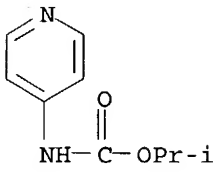
IT **54287-92-2P 79546-31-9P 117652-47-8P**
117652-48-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and herbicidal activity and hydrolysis of)
RN 54287-92-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



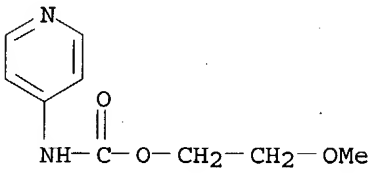
RN 79546-31-9 CAPLUS
CN Carbamic acid, 4-pyridinyl-, methyl ester (9CI) (CA INDEX NAME)



RN 117652-47-8 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1-methylethyl ester (9CI) (CA INDEX NAME)



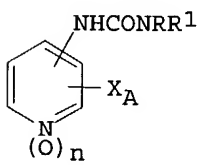
RN 117652-48-9 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 135 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:630807 CAPLUS
DOCUMENT NUMBER: 109:230807
TITLE: Preparation of pyridinylurea compounds and agricultural uses as plant growth regulators
INVENTOR(S): Henrie, Robert Neil, II
PATENT ASSIGNEE(S): FMC Corp., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8702665	A1	19870507	WO 1986-US2117	19861008
W: BR, DK, HU, JP, KR				
RW: CH, DE, FR, GB, IT, NL				
US 4808722	A	19890228	US 1985-793372	19851031
US 4818271	A	19890404	US 1985-793371	19851031
JP 62502404	T2	19870917	JP 1986-505586	19861008
EP 243450	A1	19871104	EP 1986-906570	19861008
EP 243450	B1	19930428		
R: CH, DE, FR, GB, IT, LI, NL				
CA 1289559	A1	19910924	CA 1986-520118	19861008
CN 86107258	A	19870527	CN 1986-107258	19861025
IL 80430	A1	19910630	IL 1986-80430	19861028
PRIORITY APPLN. INFO.:			US 1985-793371	19851031
			US 1985-793372	19851031
			WO 1986-US2117	19861008

OTHER SOURCE(S): CASREACT 109:230807
GI



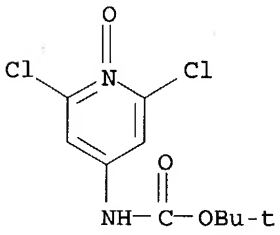
I

AB Title compds. I [n = 0, 1; R = (un)substituted cycloalkyl, -alkenyl, -alkyl; R1 = H, alkyl; RR1N = C4-6 heterocyclyl; X = H, halo, haloalkyl, alkoxy, alkyl, 2-pyridinyl, etc.; A = 0-4] and their salts, were prepared 2-Chloropyridine was oxidized to the N-oxide which was nitrated to the nitro derivative which was reduced to the amino derivative The amino derivative,
Dabco and Me2CHNCO in DMF were stirred at ambient temperature for 5 days to give
N-(2-chloro-4-pyridinyl)-N'-(1-methylethyl)urea (II). In a wheat leaf antisenescence assay-chlorophyll retention test II at 2.5 and 25 ppm caused retention of chlorophyll.

IT **116652-66-5**
RL: PROC (Process)
(conversion of, to amino derivative)

RN 116652-66-5 CAPLUS

CN Carbamic acid, (2,6-dichloro-1-oxido-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 136 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:570370 CAPLUS

DOCUMENT NUMBER: 109:170370

TITLE: Inhibitors of cyclic AMP phosphodiesterase. 3. Synthesis and biological evaluation of pyrido and imidazolyl analogs of 1,2,3,5-tetrahydro-2-oxoimidazo[2,1-b]quinazoline

AUTHOR(S): Venuti, Michael C.; Stephenson, Robert A.; Alvarez, Robert; Bruno, John J.; Strosberg, Arthur M.

CORPORATE SOURCE: Inst. Bio-Org. Chem., Syntex Research, Palo Alto, CA, 94304, USA

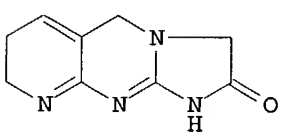
SOURCE: Journal of Medicinal Chemistry (1988), 31(11), 2136-45
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

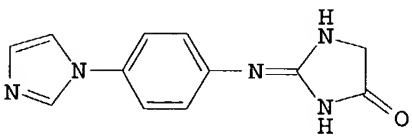
LANGUAGE: English

OTHER SOURCE(S): CASREACT 109:170370

GI



II

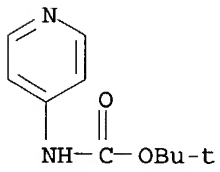


III

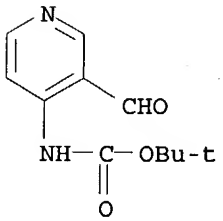
AB Hybridization of structural elements of the 1,2,3,5-tetrahydro-2-oxoimidazo[2,1-b]quinazoline ring system common to the cyclic (cAMP) phosphodiesterase (PDE) inhibitors lixazinone (RS-82856, I) and anagrelide with complementary features of other PDE inhibitor cardiotonic agents

prompted the design and synthesis of 8 title compds., e.g. II and III. The necessary features of these compds. were determined within the framework of the proposed active-site models for the high affinity form of cAMP PDE inhibited by cGMP (type IV). Evaluation of these targets, both in vitro as inhibitors of platelet or cardiac type IV PDE or in vivo as inotropic agents in the pentobarbital-anesthetized dog model of congestive heart failure, showed that these structures possessed negligibly enhanced activities over the parent heterocyclic system, and remained significantly inferior to I in all respects. This difference is ascribed to the absence of the N-cyclohexyl-N-methylbutyramidyl-4-oxy side chain of I. The proposal that the acidic lactam-type functionality, common to type IV PDE inhibitor inotropic agents, mimics and polarizable cyclic phosphate moiety of cAMP suggested that the side chain of I may function as an effective surrogate for selected characteristics of the adenine portion of cAMP. However, results show that incorporation of adenine-like H-bonding functionalities common to other type IIv PDE inhibitors into the 1,2,3,5-tetrahydro-2-oxoimidazo[2,1-b]quinazoline system did not enhance activity to the levels observed for I and analogs. These observations, coupled with the kinetic pattern of inhibition of type IV PDE observed for I and analogs, suggest that access to a secondary, lipophilic-tolerant binding site, possibly coincident with the adenine binding domain, and adjacent to the catalytic ribose-phosphate binding site of platelet and cardiac type IV PDE, is responsible for the increased potency of these compds.

IT **98400-69-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and formylation of)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **116026-93-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, reductive amination, and subsequent reactions of)
RN 116026-93-8 CAPLUS
CN Carbamic acid, (3-formyl-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 137 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:549546 CAPLUS

DOCUMENT NUMBER: 109:149546
TITLE: Preparation of 2-substituted-e-fused-[1,2,4]-triazolo[1,5-c]pyrimidines as drugs for the treatment of anxiety, asthma, etc
INVENTOR(S): Francis, John E.; Gelotte, Karl O.
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 263071	A1	19880406	EP 1987-810560	19870925
EP 263071	B1	19920812		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4831013	A	19890516	US 1987-20055	19870227
WO 8802370	A1	19880407	WO 1987-EP547	19870925
W: AU, DK, FI, JP				
AU 8780271	A1	19880421	AU 1987-80271	19870925
AU 612331	B2	19910711		
JP 01500996	T2	19890406	JP 1987-505839	19870925
JP 06104666	B4	19941221		
AT 79380	E	19920815	AT 1987-810560	19870925
ES 2051772	T3	19940701	ES 1987-810560	19870925
CA 1317590	A1	19930511	CA 1987-547940	19870928
FI 8802081	A	19880504	FI 1988-2081	19880504
FI 86727	B	19920630		
FI 86727	C	19921012		
DK 8802898	A	19880527	DK 1988-2898	19880527

PRIORITY APPLN. INFO.: US 1986-913173 19860930
US 1987-20055 19870227
US 1985-782234 19850930
US 1986-841986 19860320
EP 1987-810560 19870925
WO 1987-EP547 19870925

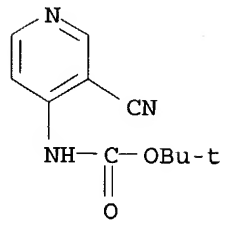
OTHER SOURCE(S): CASREACT 109:149546; MARPAT 109:149546

GI For diagram(s), see printed CA Issue.

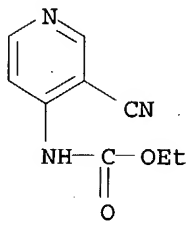
AB The title compds. I [X = O, NR, S; R = H, lower alkyl, alkenyl, alkynyl; R1 = (substituted) Ph, furyl, thienyl, pyridyl, pyrrolyl, etc.; ring A = C5-8 cycloalkene, heterocycle, etc., each ring A being unsubstituted or substituted by lower alkyl, alkoxy, OH, halo, CF3, NO2, NH2, carbamoyl, carbamoylalkyl, etc.], useful as drugs for the treatment of anxiety, asthma, etc. (no data) were prepared A mixture of 5.3 g Et carbamate of N-benzyl-3-cyano-4-amino-Δ3-piperidine and 2.53 g benzhydrazide in 70 mL dimethylacetamide containing 0.5 mL diisopropylethylamine was stirred at reflux under N atmospheric for 18 h to give 72% 9-benzyl-2-phenyl-7,8,9,10-tetrahydropyrido[3,4-e][1,2,4]triazolo[1,5-c]pyrimidin-5(6H)-one.

IT **116799-24-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of drugs for treatment of anxiety and asthma)

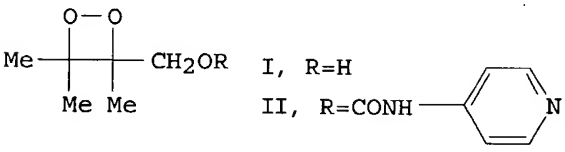
RN 116799-24-7 CAPLUS
CN Carbamic acid, (3-cyano-4-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **108442-59-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of drugs for treatment of anxiety and asthma)
RN 108442-59-7 CAPLUS
CN Carbamic acid, (3-cyano-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

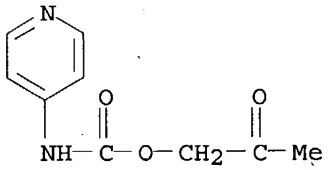


L8 ANSWER 138 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:181651 CAPLUS
DOCUMENT NUMBER: 108:181651
TITLE: Induction of the SOS function sfiA in E. coli by systems which generate triplet ketones
AUTHOR(S): Nassi, L.; Schiffmann, D.; Favre, A.; Adam, W.; Fuchs, R.
CORPORATE SOURCE: Dep. Biochem., Univ. Sao Paulo, Sao Paulo, Brazil
SOURCE: Mutation Research (1988), 198(1), 53-60
CODEN: MUREAV; ISSN: 0027-5107
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

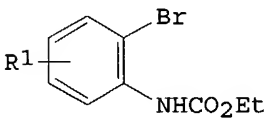


AB Generation of triplet ketones, either chemical through thermal decomposition of 3-hydroxymethyl-3,4,4-trimethyl-1,2-dioxetane (I) and 3-[N-(pyridino)carbamoyl]methyl-3,4,4-trimethyl-1,2-dioxetane (II) or enzymically via the aerobic oxidation of isobutyraldehyde trimethylsilyl enol ether catalyzed by horseradish peroxidase, triggers the SOS function sfiA in Escherichia coli. Although the observed effects are relatively weak and the triplet ketone scavenger tryptophan was ineffective in this system, results provide evidence for the involvement of triplet ketones in this type of DNA damage. Possible mechanisms are discussed.

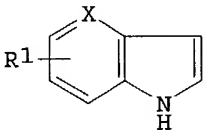
IT **114216-63-6**
RL: BIOL (Biological study)
(triplet, formation of, systems for, SOS response in Escherichia coli induction by)
RN 114216-63-6 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 2-oxopropyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 139 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:75166 CAPLUS
DOCUMENT NUMBER: 108:75166
TITLE: Condensed heteroaromatic ring systems. XII. Synthesis of indole derivatives from ethyl 2-bromocarbanilates
AUTHOR(S): Sakamoto, Takao; Kondo, Yoshinori; Iwashita, Shigeki; Yamanaka, Hiroshi
CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Aobayama, 980, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1987), 35(5), 1823-8
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:75166
GI



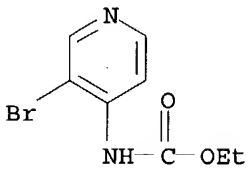
II



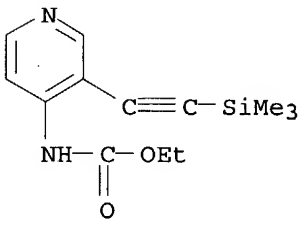
III

AB The Pd-catalyzed reaction of 2-RC6H4NHCO2Et (I; R = Br) with Me3SiC.tplbond.CH gave I (R = C.tplbond.CSiMe3), which was cyclized by NaOEt to give 93% indole. Similarly carbanilates II (R1 = 3-, 4-, 5-, 6-Me) gave indoles III (X = CH). Pyrrolopyridines, e.g., III (X = N, R1 = H), were prepared similarly from (bromopyridyl)carbamates.

IT **112671-56-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with trimethylsilylacetylene)
RN 112671-56-4 CAPLUS
CN Carbamic acid, (3-bromo-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



IT **112671-58-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, pyrrolopyridine from)
RN 112671-58-6 CAPLUS
CN Carbamic acid, [3-[(trimethylsilyl)ethynyl]-4-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 140 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:407210 CAPLUS
DOCUMENT NUMBER: 107:7210
TITLE: 2-Substituted-e-fused-[1,2,4,]triazolo[1,5-c]pyrimidines, pharmaceutical compositions and uses thereof
INVENTOR(S): Francis, John E.; Gelotte, Karl O.
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 217748	A2	19870408	EP 1986-810421	19860925
EP 217748	A3	19880107		
EP 217748	B1	19910206		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 60771	E	19910215	AT 1986-810421	19860925
FI 8603904	A	19870331	FI 1986-3904	19860926
FI 84068	B	19910628		
FI 84068	C	19911010		
CA 1288097	A1	19910827	CA 1986-519161	19860926
DK 8604643	A	19870331	DK 1986-4643	19860929
DK 168046	B1	19940124		
ES 2002012	A6	19880701	ES 1986-2265	19860929
JP 62135475	A2	19870618	JP 1986-230186	19860930
FI 88036	B	19921215	FI 1990-4878	19901003
FI 88036	C	19930325		
PRIORITY APPLN. INFO.:			US 1985-782234	19850930
			US 1986-841986	19860320

EP 1986-810421 19860925

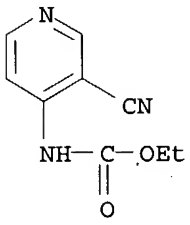
GI For diagram(s), see printed CA Issue.

AB The title compds. [I; A = atoms to complete a fused, (un)substituted, (un)saturated carbocyclic or heterocyclic ring comprising C, O, N, and S; X = O, S, RN; R = H, OH, hydroxyalkyl, aryl, H2NC(:NH), alkyl, alkenyl, alkynyl, optionally with hetero atom interrupters; R1 = (un)substituted carbocyclyl, heterocyclyl; R2 = (un)substituted Ph] and their tautomeric forms were prepared as benzodiazepine agonists and antagonists. 4-Amino-1-benzyl-1,2,5,6-tetrahydro-3-pyridinecarbonitrile was N-acylated with (EtO)2CO and the product was refluxed with 3-FC6H4CONHNH2 in AcNMe2 containing (Me2CH)2NEt to give 30% pyridotriazolopyrimidinone II. II displaced flunitrazepam from rat brain synaptosomal membrane with an IC50 of 2.4 nM.

IT **108442-59-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with (hetero)aromatic hydrazides)

RN 108442-59-7 CAPLUS

CN Carbamic acid, (3-cyano-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 141 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:138294 CAPLUS

DOCUMENT NUMBER: 106:138294

TITLE: Functionalized 1,2-dioxetanes as potential chemotherapeutic agents. The synthesis of dioxetane-substituted carbamates

AUTHOR(S): Adam, Waldemar; Bhushan, Vidya; Dirnberger, Thomas; Fuchs, Rainer

CORPORATE SOURCE: Inst. Org. Chem., Univ. Wuerzburg, Wuerzburg, D-8700, Fed. Rep. Ger.

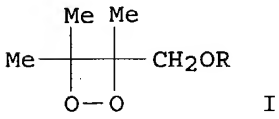
SOURCE: Synthesis (1986), (4), 330-2
CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:138294

GI

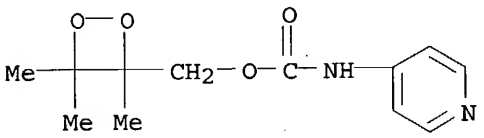


I

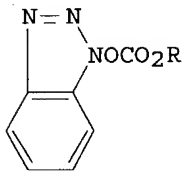
AB The title compds. I (R = CONHR1, R1 = H, cyclohexyl, Ph, p-ClC6H4, 3,5-Cl2C6H3, 4-pyridyl; R = piperidinocarbonyl) were prepared in 40-92% yields by the reaction of I (R = H) with R1NCO and/or the reaction of I (R = ClCO) with R1NH2.

IT **107323-99-9P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(preparation and spectra of)
RN 107323-99-9 CAPLUS
CN Carbamic acid, 4-pyridinyl-, (3,4,4-trimethyl-1,2-dioxetan-3-yl)methyl ester (9CI) (CA INDEX NAME)

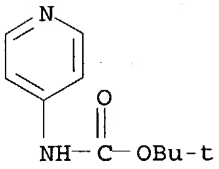


L8 ANSWER 142 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:19005 CAPLUS
DOCUMENT NUMBER: 106:19005
TITLE: Tert-butyl benzotriazol-1-yl carbonate and benzyl benzotriazol-1-yl carbonate. New reactive amino protective reagents for tert-butoxycarbonylation and benzyloxycarbonylation of amines and amino acids
AUTHOR(S): Kim, Sunggak; Chang, Heung
CORPORATE SOURCE: Dep. Chem., Korea Adv. Inst. Sci. Technol., Seoul, 131, S. Korea
SOURCE: Bulletin of the Korean Chemical Society (1986), 7(1), 70-3
CODEN: BKCSDE; ISSN: 0253-2964
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

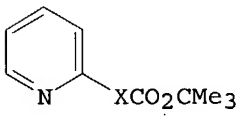


I

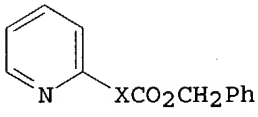
AB tert-Bu benzotriazol-1-yl carbonate (I, R = CMe3) (II) was prepared by treating 1-hydroxybenzotriazole (HOBt) with COCl2 and then with Me3COH, whereas benzyl benzotriazol-1-yl carbonate (I, R = CH2Ph) (III) was prepared by treating HOBt with PhCH2O2CCl. Amines and amino acids were treated with II to give the corresponding N-tert-butoxycarbonyl derivs. Amino acids were treated with III to give the corresponding N-benzyloxycarbonyl derivs.
IT **98400-69-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, tert-Bu benzotriazolyl carbonate as reagent for)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



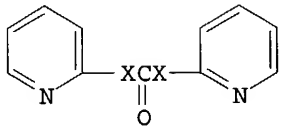
L8 ANSWER 143 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:5382 CAPLUS
DOCUMENT NUMBER: 106:5382
TITLE: New amino-protective reagents for tert-butoxycarbonylation and benzyloxycarbonylation of amines and amino acids
AUTHOR(S): Kim, Sunggak; Lee, Jae In; Yi, Kyu Yang
CORPORATE SOURCE: Dep. Chem., Korea Adv. Inst. Sci. Technol., Seoul, 131, S. Korea
SOURCE: Bulletin of the Chemical Society of Japan (1985), 58(12), 3570-5
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:5382
GI



I, X=O
II, X=S



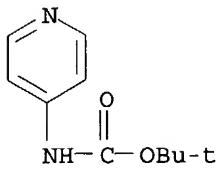
III, X=O
IV, X=S



V, X=O
VI, X=S

AB tert-Bu carbonates I and II were prepared as reagents for the tert-butoxycarbonylation of amines and amino acids, whereas benzyl carbonates III and IV were prepared as reagents for the benzyloxycarbonylation of amino compds. Thus, COCl2 was treated with 2 equiv of 2-pyridinol to give di-2-pyridyl carbonate (V), which was treated with tert-BuOH in the presence of DMAP to give I. II was prepared from 2-pyridinethiol and COCl2 via dithiocarbonate VI, whereas III and IV were prepared by treating ClCO2CH2Ph with 2-pyridinol and 2-pyridinethiol, resp. I reacted cleanly with amines and amino acids to give the corresponding N-tert-butoxycarbonyl derivs. in high yields. N-tert-Butoxycarbonyl amino acids were also prepared in high yields by tert-butoxycarbonylation with II. III and IV were effective in the benzyloxycarbonylation of amino acids.

IT **98400-69-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, via tert-butoxycarbonylation with tert-Bu pyridyl carbonate)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

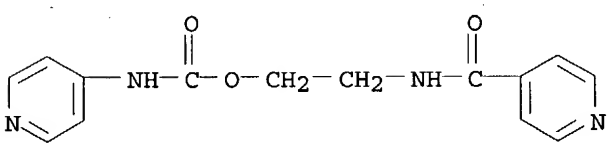


L8 ANSWER 144 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:496680 CAPLUS
DOCUMENT NUMBER: 105:96680
TITLE: Reactions of amino alcohols and their derivatives. II. Reaction of amino alcohols with carboxylic acid azides
AUTHOR(S): Shepel, F. G.; Sorochinskaya, T. G.
CORPORATE SOURCE: Med. Inst., Kishinev, USSR
SOURCE: Zhurnal Organicheskoi Khimii (1986), 22(1), 197-200
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 105:96680

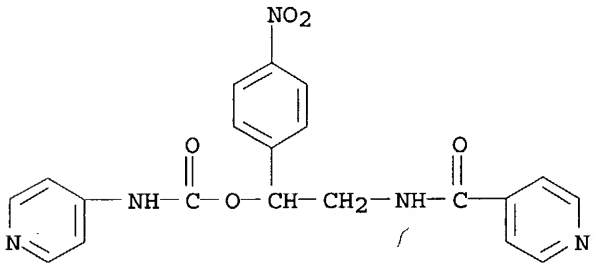
AB Amino alcs. H2NCH2CHROH (R = H, p-O2NC6H4) underwent N-acylation-O-carbamoylation on treatment with azides R1CON3 (R1 = Ph, 3- or 4-pyridyl) in dioxane for 1.5-2 h at 45-50°. The products R1CONHCH2CHRO2CNHR1 were obtained in 58-75% yield. Dicarbamoylation products R1NHCONHCH2CHRO2CNHR1 were obtained (similar yields) from the same reactants, but heating at reflux for 3-4 h.

IT **103791-65-7P 103791-66-8P 103791-70-4P 103791-71-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 103791-65-7 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 2-[(4-pyridinylcarbonyl)amino]ethyl ester (9CI) (CA INDEX NAME)

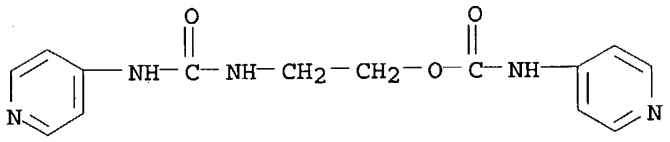


RN 103791-66-8 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1-(4-nitrophenyl)-2-[(4-pyridinylcarbonyl)amino]ethyl ester (9CI) (CA INDEX NAME)

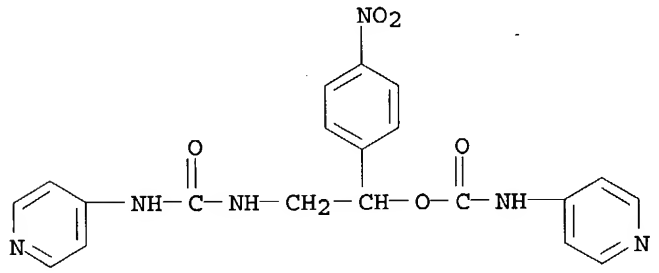


RN 103791-70-4 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 2-[[(4-pyridinylamino)carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)



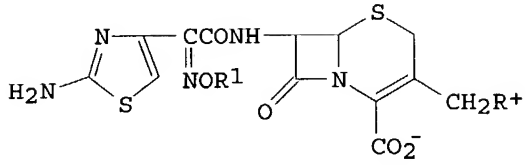
RN 103791-71-5 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1-(4-nitrophenyl)-2-[[(4-pyridinylamino)carbonyl]amino]ethyl ester (9CI) (CA INDEX NAME)



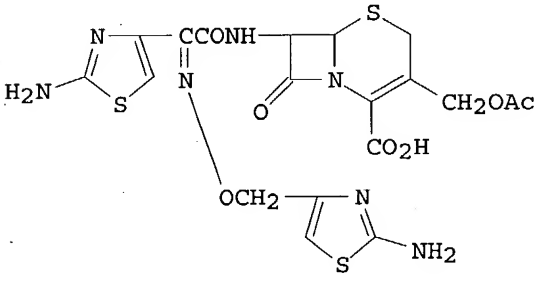
L8 ANSWER 145 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:541746 CAPLUS
DOCUMENT NUMBER: 103:141746
TITLE: Cephalosporin compounds and their salts and medicaments containing them
INVENTOR(S): Shibanuma, Tadao; Nagano, Noriaki; Hara, Ryuichiro; Nakano, Kohji; Koda, Akido; Yamazaki, Atsuki; Murakami, Yukiyasu
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 76 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 142274	A2	19850522	EP 1984-306967	19841011
EP 142274	A3	19860827		
R: DE, FR, GB, IT				
JP 60081186	A2	19850509	JP 1983-189555	19831011
JP 60132993	A2	19850716	JP 1983-217170	19831118
JP 60136586	A2	19850720	JP 1983-248929	19831226
JP 60169487	A2	19850902	JP 1984-26793	19840215
JP 60181090	A2	19850914	JP 1984-37019	19840228
JP 60188389	A2	19850925	JP 1984-41992	19840307
US 4690921	A	19870901	US 1984-656162	19840928
PRIORITY APPLN. INFO.:			JP 1983-189555	19831011
			JP 1983-217170	19831118
			JP 1983-248929	19831226
			JP 1984-26793	19840215
			JP 1984-37019	19840228
			JP 1984-41992	19840307

GI



I



II

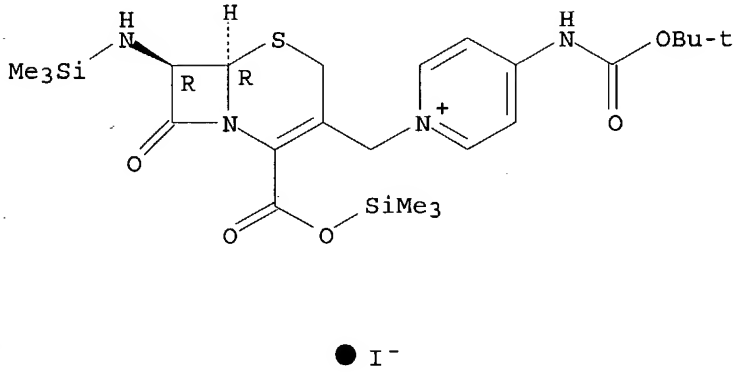
AB Cephalosporins I [R+ = (un)substituted pyridinio, cycloalkapyridinio; R1 = CH2CN, CH2CSNH2, 2-amino-4-thiazolylmethyl (Q)] were prepared Thus, the acetoxymethylcephem II was prepared by acylating benzhydryl 7-aminocephalosporanate with the protected acid and deblocking. II was treated with 3-formamidopyridine to give I (R+ = 3-formylpyridinio, R1 = Q) which had a min. inhibitory concentration of ≤0.2 μg/mL against Escherichia coli NIHJ.

IT **98400-70-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)

RN 98400-70-5 CAPLUS

CN Pyridinium, 4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-[[8-oxo-7-[(trimethylsilyl)amino]-2-[[(trimethylsilyl)oxy]carbonyl]-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, iodide, (6R-trans)- (9CI) (CA INDEX NAME)

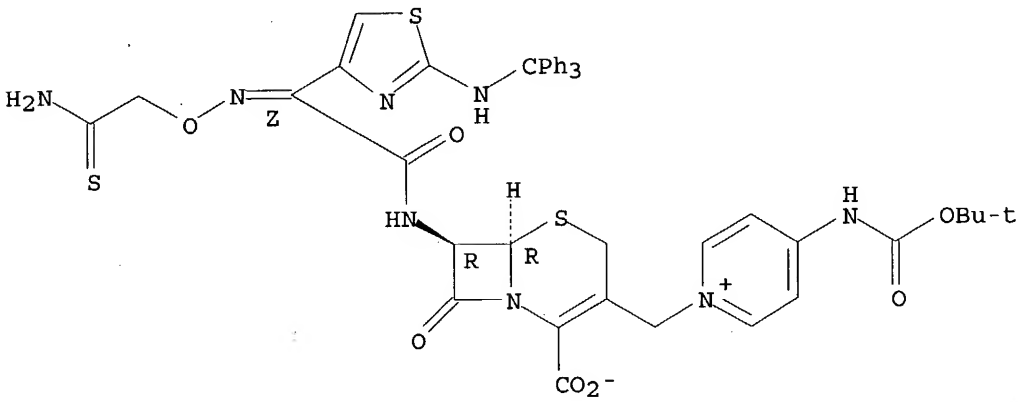
Absolute stereochemistry.



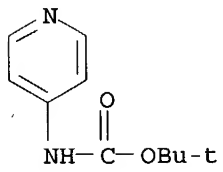
IT **98400-71-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)

RN 98400-71-6 CAPLUS
CN Pyridinium, 1-[[7-[[[(2-amino-2-thioxoethoxy)imino][2-[(triphenylmethyl)amino]-4-thiazolyl]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-4-[[(1,1-dimethylethoxy)carbonyl]amino]-, inner salt, [6R-[6α,7β(Z)]]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **98400-69-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(quaternization reaction of, with iodomethylcephem)
RN 98400-69-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

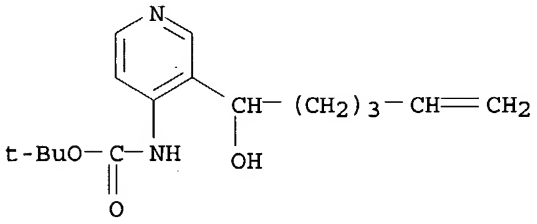


L8 ANSWER 146 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:113253 CAPLUS
DOCUMENT NUMBER: 102:113253
TITLE: A simple route to C-functionalized azaxylylenes and diazaxylylenes
AUTHOR(S): Fishwick, Colin W. G.; Storr, Richard C.; Manley, Paul W.
CORPORATE SOURCE: Robert Robinson Lab., Liverpool Univ., Liverpool, L69 3BX, UK
SOURCE: Journal of the Chemical Society, Chemical Communications (1984), (19), 1304-5
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:113253
AB Lithiation of Me3CO2CNHPh (I) or 4-(tert-butoxycarbonylamino)pyridine, followed by reaction with aldehydes, gave tert-butoxycarbonylamino alcs. which were converted into azaxylylenes and diazaxylylenes by flash pyrolysis. E.g., sequential treatment of I with Me3CLi in THF at -78° and PhCHO at -20° gave 2-HOCHPhC6H4NHCO2CMe3, which on

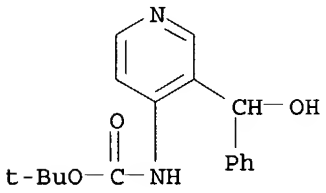
flash pyrolysis at 600° and 10-2 Torr gave acridine and dihydroacridine.

IT **95308-65-9P 95308-66-0P 95308-67-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and flash pyrolysis of)

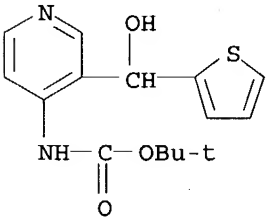
RN 95308-65-9 CAPLUS
CN Carbamic acid, [3-(1-hydroxy-5-hexenyl)-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 95308-66-0 CAPLUS
CN Carbamic acid, [3-(hydroxyphenylmethyl)-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

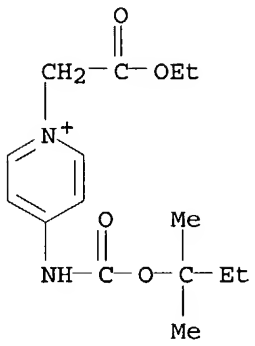


RN 95308-67-1 CAPLUS
CN Carbamic acid, [3-(hydroxy-2-thienylmethyl)-4-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



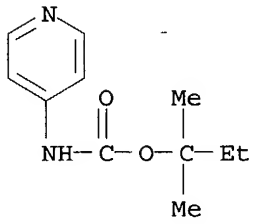
L8 ANSWER 147 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1981:586424 CAPLUS
DOCUMENT NUMBER:	95:186424
TITLE:	Equilibrium NH-acidity of benzenesulfonamide and its derivatives in dimethyl sulfoxide
AUTHOR(S):	Kravtsov, D. N.; Peregudov, A. S.; Petrov, E. S.; Terekhova, M. I.; Shatenshtein, A. I.
CORPORATE SOURCE:	Inst. Elementoorg. Soedin., Moscow, USSR
SOURCE:	Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1981), (6), 1259-64 CODEN: IASKA6; ISSN: 0002-3353
DOCUMENT TYPE:	Journal



● Br^-

IT **39255-70-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bromoacetylaminocephems)
RN 39255-70-4 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylpropyl ester (9CI) (CA INDEX NAME)



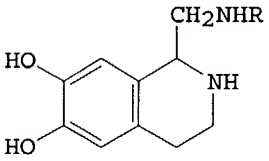
L8 ANSWER 152 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:439528 CAPLUS
DOCUMENT NUMBER: 87:39528
TITLE: 1,2,3,4-Tetrahydroisoquinolines
INVENTOR(S): Kishimoto, Teiji; Ueda, Ikuo; Kato, Masayuki
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Ger. Offen., 39 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2633692	A1	19770217	DE 1976-2633692	19760727
GB 1553230	A	19790926	GB 1975-31570	19750728
BE 844472	A1	19770124	BE 1976-169196	19760723
DK 7603366	A	19770129	DK 1976-3366	19760726
DK 143750	B	19811005		
DK 143750	C	19820329		
SE 7608453	A	19770129	SE 1976-8453	19760726
SE 423233	B	19820426		

SE 423233	C	19820805		
NL 7608319	A	19770201	NL 1976-8319	19760727
FR 2319357	A1	19770225	FR 1976-22896	19760727
FR 2319357	B1	19781117		
ES 450206	A1	19771116	ES 1976-450206	19760727
CA 1068276	A1	19791218	CA 1976-257828	19760727
AU 506715	B2	19800124	AU 1976-16290	19760727
AT 7605520	A	19800215	AT 1976-5520	19760727
AT 358588	B	19800925		
CH 623310	A	19810529	CH 1976-9609	19760727

PRIORITY APPLN. INFO.: GB 1975-31570 19750728

GI



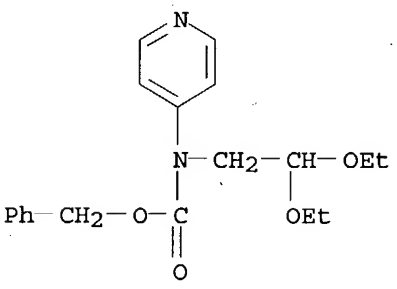
I

AB Antispasmodic I (R = 1-methyl-1H-tetrazol-5-yl, 1-phenyl-1H-tetrazol-5-yl, 2-pyrimidinyl, 1,3,4-thiadiazol-2-yl, 4-pyridinyl, 2-benzimidazolyl, 1-iodo-1-methylpyridinium-2-yl) are prepared by known procedures. Thus, reaction of 26 g 5-amino-1-methyl-1H-tetrazole with 53 g BrCH2CH(OEt)2 in DMF-(Me2N)3PO 4 h at room temperature and 1 h at 50° gives 20.35 g [(1-methyl-1H-tetrazol-5-yl)amino]acetaldehyde di-Et acetal (II). Cyclocondensation of 21.5 g II with 14.6 g 3,4-(HO)2C6H3CH2CH2NH2.HCl in EtOH-H2O in presence of HCl gives after 8 h reflux 15 g I (R = 1-methyl-1H-tetrazol-5-yl).

IT **63189-01-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, and cyclocondensation with dihydroxyphenethylamine)

RN 63189-01-5 CAPLUS

CN Carbamic acid, (2,2-diethoxyethyl)-4-pyridinyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 153 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:478018 CAPLUS

DOCUMENT NUMBER: 85:78018

TITLE: Ring-perchlorinated benzene, pyridine, and pyrazine isocyanates

INVENTOR(S): Ruetman, Sven H.

PATENT ASSIGNEE(S): Dow Chemical Co., USA

SOURCE: U.S., 5 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

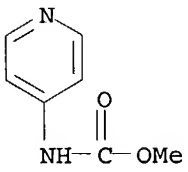
LANGUAGE: Russian

AB The pK values of PhSO2NHR (I; R = alkyl, Ph, substituted phenyl, 4-pyridyl) in Me2SO were determined by a transmetalation method. For I (R = alkyl) a linear Taft correlation was found: pK = 17.6-1.7σ*. For I (R = Ph, substituted phenyl) a linear Hammett correlation was obtained: pK = 12.5-2.7σ. The acidifying effect of the PhSO2 group on aniline and 4-pyridinamine was evaluated. A linear relation between the pK values of N-substituted anilines and 4-pyridinamines was found.

IT **79546-31-9**
RL: PRP (Properties)
(acidity of, in di-Me sulfoxide)

RN 79546-31-9 CAPLUS

CN Carbamic acid, 4-pyridinyl-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 148 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1980:495098 CAPLUS

DOCUMENT NUMBER: 93:95098

TITLE: Substituted polyaminopyridines

AUTHOR(S): Von Bebenburg, Walter; Steinmetz, Guenther; Thiele, Kurt

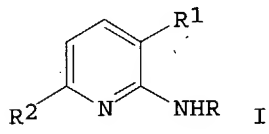
CORPORATE SOURCE: Pharmaforsch. Chemiewerk Homburg, DEGUSSA, Frankfurt/Main, D-6000/1, Fed. Rep. Ger.

SOURCE: Chemiker-Zeitung (1979), 103(12), 387-99
CODEN: CMKZAT; ISSN: 0009-2894

DOCUMENT TYPE: Journal

LANGUAGE: German

GI



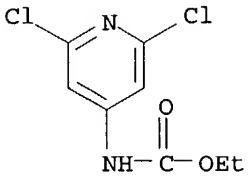
I

AB 2,6-Dichloro-3-nitropyridine was treated with NH3 or amines to give I (R = H, Me, Ph, CH2Ph, etc.; R1 = NO2; R2 = Cl), and these were treated with amines, phenols, mercaptans, etc., to give unsym. substituted amines I (R2 = Me2CHNH, cyclohexylamino, 2,4,6-Me3C6H2CH2NH, PhO, PhS, etc.). The nitro compds. were then reduced to I (R1 = NH2). Some of these compds. showed antiphlogistic and analgesic activity. A series of isosteric and other modifications of these compds. were described, which can serve to elucidate structure-activity relationships.

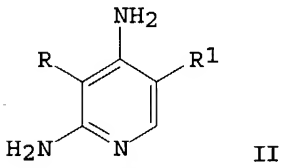
IT **73895-95-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and nitration of)

RN 73895-95-1 CAPLUS

CN Carbamic acid, (2,6-dichloro-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



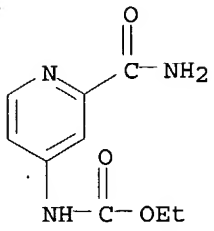
L8 ANSWER 149 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:163817 CAPLUS
DOCUMENT NUMBER: 92:163817
TITLE: 2,4-Diamino-5-benzylpyrimidines and analogs as antibacterial agents. 3. C-Benzylation of aminopyridines with phenolic Mannich bases. Synthesis of 1- and 3-deaza analogs of trimethoprim
AUTHOR(S): Rauckman, Barbara S.; Roth, Barbara
CORPORATE SOURCE: Wellcome Res. Lab., Burroughs Wellcome Co., Research Triangle park, NC, 27709, USA
SOURCE: Journal of Medicinal Chemistry (1980), 23(4), 384-91
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 92:163817
GI



II

AB Electrophilic substitution of 2,4-diaminopyridine by 4,3,5-HO(MeO)2C6H2CH2NMe2 (I) and by Br or F gave the 3-derivs. II [R = 4,3,5-HO(MeO)2C6H2CH2, Br, F; R1 = H] and a small amount of 3,5-disubstituted derivs. II [R = R1 = 4,3,5-HO(MeO)2C6H2CH2, Br]. Treating II (R = F, Br, R1 = H) with phenolic Mannich bases I or 4,3,5-HO(Me2CH)2C6H2CH2NMe2 gave derivs. with 5- and(or) N-benzylation. II [R = Br, R1 = 4,3,5-HO(MeO)2C6H2CH2] was methylated on the phenolic group in good yield and dehalogenated to give 3-deazatrimethoprim [II; R = H, R1 = 4,3,5-HO(MeO)2C6H2CH2], which is about 300 times less active as an inhibitor of Escherichia coli dihydrofolate reductase than is trimethoprim. 2,6-Diaminopyridine was readily benzylated at the 3,5-positions as well as on an amino group by 3,5,4-R1(HO)C6H2CH2NMe2 (R1 = OMe, Et). Using 4-fold excess diaminopyridine gave 50% of 3-benzylated-2,6-diaminopyridine which was inactive as an inhibitor of dihydrofolate reductase at 10-4M. 2- And 4-aminopyridines could not be C-benzylated under the conditions used.

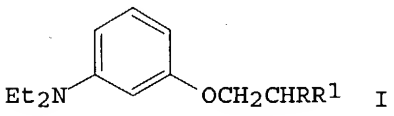
IT **72921-90-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 72921-90-5 CAPLUS
CN Carbamic acid, [2-(aminocarbonyl)-4-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 150 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:205792 CAPLUS
DOCUMENT NUMBER: 90:205792
TITLE: m-Aminophenol ethers for use as dye intermediates
INVENTOR(S): De Feo, Francesco; Burei, Giovanni; Cipolli, Roberto
PATENT ASSIGNEE(S): Aziende Colori Nazionali Affini (ACNA) S.p.A., Italy
SOURCE: Ger. Offen., 31 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2836330	A1	19790308	DE 1978-2836330	19780819
DE 2836330	C2	19880114		
NL 7808523	A	19790227	NL 1978-8523	19780817
CA 1105469	A1	19810721	CA 1978-309663	19780818
FR 2475541	A1	19810814	FR 1978-24100	19780818
FR 2475541	B1	19840323		
CH 642051	A	19840330	CH 1978-8776	19780818
GB 2003868	A	19790321	GB 1978-33986	19780821
GB 2003868	B2	19820519		
JP 54041828	A2	19790403	JP 1978-101516	19780822
JP 01046500	B4	19891009		
ES 472731	A1	19791016	ES 1978-472731	19780822
BE 869913	A1	19790223	BE 1978-190029	19780823
US 4335246	A	19820615	US 1979-78378	19790924
PRIORITY APPLN. INFO.:			IT 1977-26872	19770823
			US 1978-934676	19780818

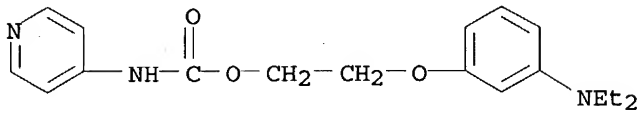
GI



AB M-aminophenyl β-substituted alkyl ethers were prepared from the corresponding β-chloro- or β-hydroxyalkyl ethers. For example, acylation of I (R = H, R1= OH) [65883-15-0] with Ac2O at reflux or with PhNCO in o-C6H4Cl2 at 80° gave I (R = H, R1= OAc) [70067-47-9] and I(R = H, R1 = O2CNHPh) [70067-48-0], resp. Thirteen other compds. were prepared, including I(R = Me, R1= O2CNHC6H4SO2NH2-p) [70067-49-1], I(R = H, R1= O2CCH2CH2CO2H) [70067-50-4], and I(R = H, R1= 2-methylimidazol-1-yl) [70067-51-5].

IT **70067-46-8P**
RL: PREP (Preparation)
(manufacture of, for use as dye intermediate)

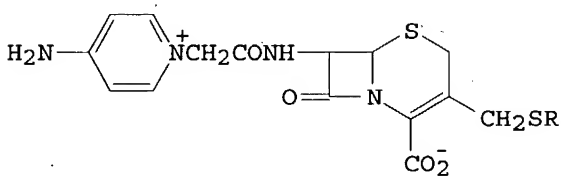
RN 70067-46-8 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 2-[3-(diethylamino)phenoxy]ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 151 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:502357 CAPLUS
DOCUMENT NUMBER: 87:102357
TITLE: Aminopyridiniumacetylaminocephalosporanates
INVENTOR(S): Bickel, Hans; Mueller, Johannes
PATENT ASSIGNEE(S): Ciba-Geigy Corp., USA
SOURCE: U.S., 10 pp. Division of U.S. 3,929,779.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4025509	A	19770524	US 1975-571181	19750424
US 3929779	A	19751230	US 1972-239802	19720330
PRIORITY APPLN. INFO.:			US 1972-239802	19720330
			CH 1971-5768	19710421
			CH 1971-8823	19710616
			CH 1971-14463	19711004

GI

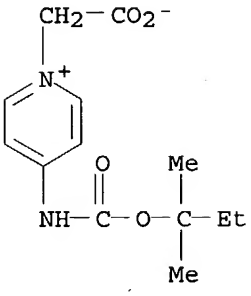


I

AB Cephalosporins I (R = 1-methyl-5-tetrazolyl, 2-methyl-1,3,4-thiadiazol-5-yl, 1,3,4-thiadiazol-2-yl, 2-methyl-1,3,4-oxadiazol-5-yl, 3-methyl-1,2,4-triazol-5-yl) were prepared e.g. by treating 7-bromoacetylaminocephalosporanic acid with 4-aminopyridine followed by the heterocyclic thiols. I (R = 1-methyl-5-tetrazolyl) had a min. inhibitory concentration against Staphylococcus aureus 511 at 0.2 μg/mL.

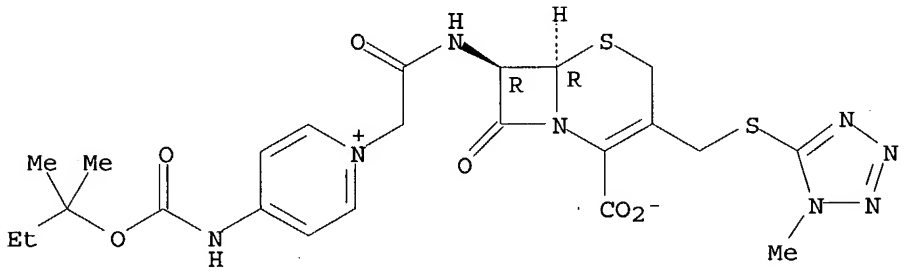
IT **39255-72-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of aminocephems by)

RN 39255-72-6 CAPLUS
CN Pyridinium, 1-(carboxymethyl)-4-[[(1,1-dimethylpropoxy)carbonyl]amino]-, inner salt (9CI) (CA INDEX NAME)

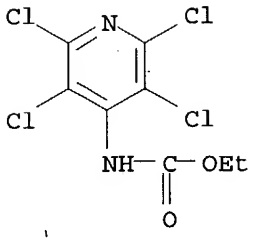


IT **39256-53-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)
RN 39256-53-6 CAPLUS
CN Pyridinium, 1-[2-[[2-carboxy-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl]amino]-2-oxoethyl]-4-[[(1,1-dimethylpropoxy)carbonyl]amino]-, inner salt, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



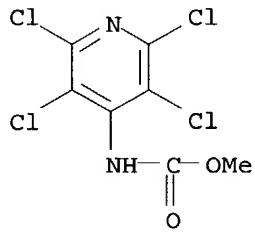
IT **39255-74-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
RN 39255-74-8 CAPLUS
CN Pyridinium, 4-[[(1,1-dimethylpropoxy)carbonyl]amino]-1-(2-ethoxy-2-oxoethyl)-, bromide (9CI) (CA INDEX NAME)



L8 ANSWER 157 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:497032 CAPLUS
DOCUMENT NUMBER: 83:97032
TITLE: Pyridyl isocyanurates
INVENTOR(S): Pews, Richard G.; McKendry, Lennon H.; Rodia, Ralph M.
PATENT ASSIGNEE(S): Dow Chemical Co., USA
SOURCE: U.S., 4 pp. Division of U.S. 3,804,844 (CA 81;25569c).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3879387	A	19750422	US 1973-411937	19731101
US 3804844	A	19740416	US 1972-285503	19720831
PRIORITY APPLN. INFO.:			US 1970-94622	19701202
			US 1972-285503	19720831

GI For diagram(s), see printed CA Issue.
AB Treatment of 4-(methylsulfonyl)-2,3,5,6-tetrachloropyridine (I) or pentachloropyridine with MOCN (M = Na, K) in ROH-MeCN (R = Me, Et) gave II. Similarly, 2,4-(O2N)2C6H3Cl yielded 2,4-(O2N)2C6H3NHCO2R. Reaction of I with KOCN in refluxing MeCN gave bis(2,3,5,6-tetrachloro-4-pyridyl) isocyanurate.
IT **52999-63-0P 52999-64-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 52999-63-0 CAPLUS
CN Carbamic acid, (2,3,5,6-tetrachloro-4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)

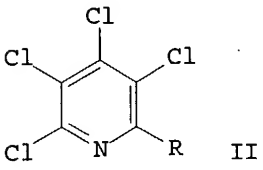


RN 52999-64-1 CAPLUS
CN Carbamic acid, (2,3,5,6-tetrachloro-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3957781	A	19760518	US 1974-440216	19740206
PRIORITY APPLN. INFO.:			US 1972-258074	19720530

GI



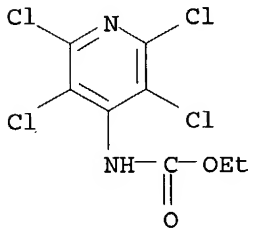
II

AB Ring-perchlorinated isocyanates were prepared in 47-70 mole% yield by rapidly and turbulently contacting prevaporized PhNHAc, 2- (I), 3-, 4-acetamidopyridine, or 2-acetamidopyrazine with excess Cl at 550-80° for .apprx.12-16 sec. The isocyanates formed were further reacted with an alc., mercaptan, or an amine to give carbamates and ureas. Thus, chlorination of I gave 70% yield of II (R = isocyanato), which was converted to II (R = NHCO2Et, NHCO2CHMe2, NHCONMe2.

IT **52999-64-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 52999-64-1 CAPLUS

CN Carbamic acid, (2,3,5,6-tetrachloro-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 154 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:26904 CAPLUS
DOCUMENT NUMBER: 84:26904
TITLE: Halogenated pyridines for tick control
INVENTOR(S): Webber, Lionel G.
PATENT ASSIGNEE(S): ICI Australia Ltd., Australia
SOURCE: Pat. Specif. (Aust.), 15 pp.
CODEN: ALXXAP
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 449458		19740613	AU 1970-23923	19700114

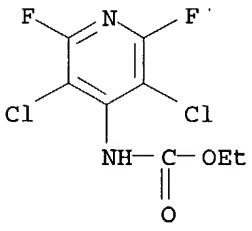
GI For diagram(s), see printed CA Issue.

AB Halogenated pyridines I (R and R2 = F, Cl, alkoxy, aralkyloxy, thiol, OH, sulfonyloxy amino, alkylamino, hydroxyamino, or alkoxycarbonylamino; R1, R3, and R4 = F or Cl; and at least one of R, R1, R2, R3, or R4 is F) are acaricides. Thus, a composition containing 40 g 4-amino-3,5-dichloro-2,6-difluoropyridine [I(R = R4 = F; R1 = R3 = Cl; R2 = NH2)] [2840-00-8], 10 g Teric N9 (nonylphenol condensation prod. with ethylene oxide), and cyclohexamine to make 50 ml at 0.01% caused 100% mortality in larvae of cattle ticks (Boophilus microplus), in the laboratory

IT **17723-48-7**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(acaricide)

RN 17723-48-7 CAPLUS

CN Carbamic acid, (3,5-dichloro-2,6-difluoro-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 155 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1976:4962 CAPLUS

DOCUMENT NUMBER: 84:4962

TITLE: 3-Pyridylmethyl carbamate rodenticides

INVENTOR(S): Kilbourn, Edward E.

PATENT ASSIGNEE(S): Rohm and Haas Co., USA

SOURCE: U.S., 3 pp. Division of U.S. 3,835,147.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3896134	A	19750722	US 1974-456933	19740401
US 3835147	A	19740910	US 1973-352854	19730418

PRIORITY APPLN. INFO.: US 1973-352854 19730418

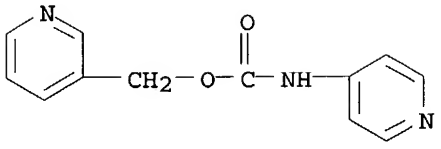
GI For diagram(s), see printed CA Issue.

AB Pyridylmethyl carbamates I (one tautomer shown) and II, useful as rodenticides, were prepared by treating 3,4-(O2N)2C6H3COCl or isonicotinoyl chloride with NaN3 and reacting the product with 3-pyridylcarbinol. At 50 mg/kg I and II killed 2 out of 2 rats tested (acute oral test), but only I killed the rats at 0.3% drug level in the paired-preference test.

IT **54012-96-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and rodenticidal activity of)

RN 54012-96-3 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)



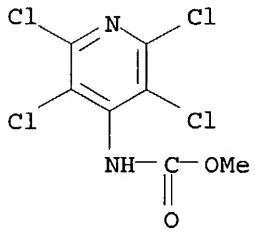
L8 ANSWER 156 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:497038 CAPLUS
DOCUMENT NUMBER: 83:97038
TITLE: Benzene isocyanurates
INVENTOR(S): Pews, Richard G.; McKendry, Lennon H.; Rodia, Ralph M.
PATENT ASSIGNEE(S): Dow Chemical Co., USA
SOURCE: U.S., 4 pp. Division of U.S. 3,804,844 (CA 81;25569c).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3882117	A	19750506	US 1973-411938	19731101
US 3804844	A	19740416	US 1972-285503	19720831
PRIORITY APPLN. INFO.:			US 1970-94622	19701202
			US 1972-285503	19720831

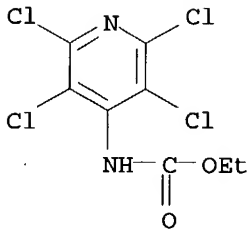
AB 4-(Methylsulfonyl)-2,3,5,6-tetrachloropyridine was treated with NaCN in MeOH and MeCN to give Me 2,3,5,6-tetrachloro-4-pyridinecarbamate, which was similarly prepared from pentachloropyridine. Me 2,4-dinitrocarbanilate was prepared from 2,4-dinitrochlorobenzene and KCN. Bis(2,3,5,6-tetrachloro-4-pyridyl)isocyanurate was prepared from 4-(methylsulfonyl)-2,3,5,6-tetrachloropyridine and KCN.

IT **52999-63-0P 52999-64-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 52999-63-0 CAPLUS
CN Carbamic acid, (2,3,5,6-tetrachloro-4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



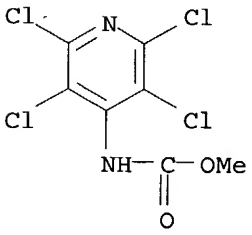
RN 52999-64-1 CAPLUS
CN Carbamic acid, (2,3,5,6-tetrachloro-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



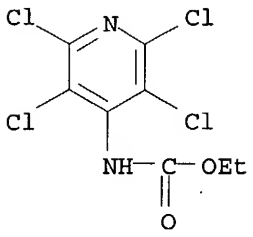
L8 ANSWER 158 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:479089 CAPLUS
DOCUMENT NUMBER: 83:79089
TITLE: Aminopyridines
INVENTOR(S): Pews, Richard G.; McKendry, Lennon H.; Rodia, Ralph M.
PATENT ASSIGNEE(S): Dow Chemical Co., USA
SOURCE: U.S., 4 pp. Division of U.S. 3,804,848.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3882135	A	19750506	US 1973-411944	19731101
US 3804844	A	19740416	US 1972-285503	19720831
PRIORITY APPLN. INFO.:			US 1970-94622	19701202
			US 1972-285503	19720831

GI For diagram(s), see printed CA Issue.
AB Carbanilate I and pyridine carbamates (II, R = Me, Et) and III were prepared by treating 1-chloro-2,4-dinitrobenzene or 2,3,5,6-tetrachloro-4-(methylsulfonyl)pyridine with an alkali metal cyanate in the presence of a high dielectric-aprotic solvent. Thus, a mixture of 25.0 g 1-chloro-2,4-dinitrobenzene, 12.0 g KCN, 7.5 ml MeOH, and 50 ml MeCN was refluxed for 5 days, filtered, and the filtrate cooled to -10° to give I (no yield given).
IT **52999-63-0P 52999-64-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 52999-63-0 CAPLUS
CN Carbamic acid, (2,3,5,6-tetrachloro-4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 52999-64-1 CAPLUS
CN Carbamic acid, (2,3,5,6-tetrachloro-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



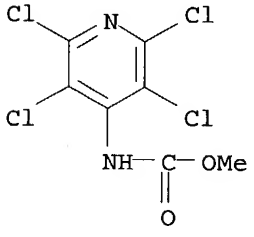
L8 ANSWER 159 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:443204 CAPLUS
DOCUMENT NUMBER: 83:43204
TITLE: Pyridylcarbamates and carbanilates
INVENTOR(S): Pews, Richard G.; McKendry, Lennon H.; Rodia, Ralph M.
PATENT ASSIGNEE(S): Dow Chemical Co., USA
SOURCE: U.S., 4 pp. Division of U.S. 3,804,844 (CA 81;25569c).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3882165	A	19750506	US 1973-411943	19731101
US 3804844	A	19740416	US 1972-285503	19720831
PRIORITY APPLN. INFO.:			US 1970-94622	19701202
			US 1972-285503	19720831

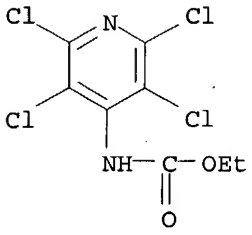
AB 2,4-(O2N)2C6H3NHCO2Me, Me (I) and Et 2,3,5,6-tetrachloro-4-pyridinecarbamate and bis(2,3,5,6-tetrachloro-4-pyridyl) isocyanurate were prepared by heating 2,4-(O2N)2C6H3Cl or pyridines bearing a good leaving group with MOCN (M = Na,K). Thus, 4-(methylsulfonyl)-2,3,5,6-tetrachloropyridine was treated with NaOCN and MeOH to give I.

IT **52999-63-0P 52999-64-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 52999-63-0 CAPLUS
CN Carbamic acid, (2,3,5,6-tetrachloro-4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 52999-64-1 CAPLUS
CN Carbamic acid, (2,3,5,6-tetrachloro-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 160 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:31298 CAPLUS
DOCUMENT NUMBER: 82:31298
TITLE: Organic sulfur compounds. XVI. Nucleophilic reaction of heterocyclic bases with alkoxycarbonyl isothiocyanates
AUTHOR(S): Matsui, Takashi; Nagano, Mitsuo; Tobitsuka, Junzo; Oyamada, Kozo
CORPORATE SOURCE: Agric. Chem. Res. Lab., Sankyo Co., Ltd., Tokyo, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1974), 22(9), 2118-22
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 82:31298

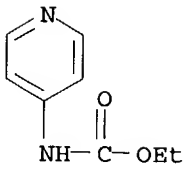
AB Reactions of ethoxycarbonyl isothiocyanate (I) with heterocyclic amines, e.g. 2-aminothiazole and 4-aminopyridine, whose pKa values range from 2.95 to 9.17 were examined Regardless of the base strengths, the amines except 4-aminopyridine gave addition products in a good yield in nucleophilic reactions with I. 4-Aminopyridine which afforded no addition product with I yielded Et N-(4-pyridyl)carbamate.

IT **54287-93-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 54287-93-3 CAPLUS
CN Carbamic acid, 4-pyridinyl-, ethyl ester, monothiocyanate (9CI) (CA INDEX NAME)

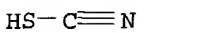
CM 1

CRN 54287-92-2
CMF C8 H10 N2 O2

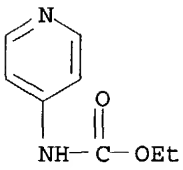


CM 2

CRN 463-56-9
CMF C H N S



IT **54287-92-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 54287-92-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)

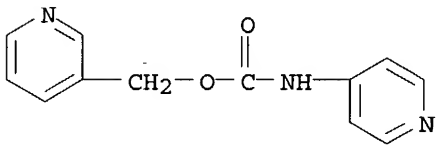


L8 ANSWER 161 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:4264 CAPLUS
DOCUMENT NUMBER: 82:4264
TITLE: 3-Pyridylmethyl ester of 5-benzofurazanylcarbamate-1-oxide
INVENTOR(S): Kilbourn, Edward E.
PATENT ASSIGNEE(S): Rohm and Haas Co.
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3835147	A	19740910	US 1973-352854	19730418
JP 49134840	A2	19741225	JP 1973-119782	19731024
JP 52043889	B4	19771102		
CA 982587	A1	19760127	CA 1973-185063	19731105
DD 108445	C	19740920	DD 1973-175554	19731220
ZA 7400573	A	19750326	ZA 1974-573	19740129
GB 1449352	A	19760915	GB 1974-4100	19740129
FR 2226399	A1	19741115	FR 1974-3056	19740130
DE 2404953	A1	19741107	DE 1974-2404953	19740201
BE 810582	A1	19740805	BE 1974-140534	19740204
AU 7466093	A1	19750828	AU 1974-66093	19740227
US 3896134	A	19750722	US 1974-456933	19740401
NL 7404933	A	19741022	NL 1974-4933	19740410
BR 7402840	A0	19741126	BR 1974-2840	19740410
AT 7403174	A	19761215	AT 1974-3174	19740417
HU 170056	P	19770328	HU 1974-RO775	19740417
CH 592414	A	19771031	CH 1974-5299	19740417
ES 425728	A1	19770616	ES 1974-425728	19740418

PRIORITY APPLN. INFO.: US 1973-352854 19730418
GI For diagram(s), see printed CA Issue.
AB Treatment of 3,4-(O2N)2C6H3COCl with NaN3 followed by heating with 3-pyridylcarbinol in PhMe gave the 5-benzofurazanylcarbamate I. Isonicotinyl chloride was similarly treated with NaN3 and 3-pyridylcarbinol to give the pyridinecarbamate II. At 50 mg/kg I and II killed rats.
IT **54012-96-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)

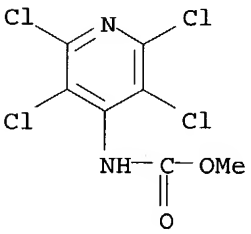
(preparation and rodenticidal activities of)
RN 54012-96-3 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)



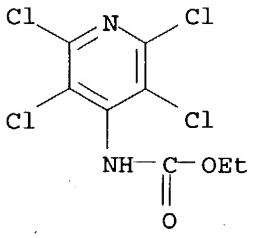
L8 ANSWER 162 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:425569 CAPLUS
DOCUMENT NUMBER: 81:25569
TITLE: Pyridylcarbamates
INVENTOR(S): Pews, Richard G.; McKendry, Lennon H.; Rodia, Ralph M.
PATENT ASSIGNEE(S): Dow Chemical Co.
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3804844	A	19740416	US 1972-285503	19720831
US 3879387	A	19750422	US 1973-411937	19731101
US 3882117	A	19750506	US 1973-411938	19731101
US 3882165	A	19750506	US 1973-411943	19731101
US 3882135	A	19750506	US 1973-411944	19731101
PRIORITY APPLN. INFO.:			US 1970-94622	19701202
			US 1972-285503	19720831

AB 2,4-(O2N)2C6H3NHCO2Me, Me and Et 2,3,5,6-tetrachloro-4-pyridinecarbamate, and bis(2,3,5,6-tetrachloro-4 pyridyl)isocyanurate were prepared by treating C6H6 or pyridines bearing a good leaving group with MOCN (M = Na, K). Thus, 4-(methylsulfonyl)-2,3,5,6-tetrachloropyridine was treated with sodium cyanate and MeOH to give Me 2,3,5,6-tetrachloro-4-pyridinecarbamate.
IT **52999-63-0P 52999-64-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 52999-63-0 CAPLUS
CN Carbamic acid, (2,3,5,6-tetrachloro-4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



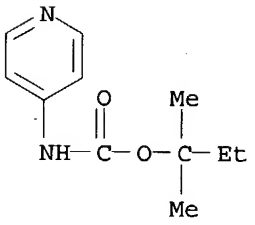
RN 52999-64-1 CAPLUS
CN Carbamic acid, (2,3,5,6-tetrachloro-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



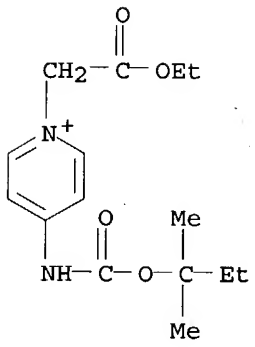
L8 ANSWER 163 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:108554 CAPLUS
DOCUMENT NUMBER: 80:108554
TITLE: 7-Aminocephalosporanic acid derivatives
INVENTOR(S): Bickel, Hans; Mueller, Johannes; Bosshardt, Rolf; Peter, Heinrich; Fechtig, Bruno
PATENT ASSIGNEE(S): Ciba-Geigy A.-G.
SOURCE: Patentschrift (Switz.), 4 pp. Division of Swiss 537,946 (CA 79;126513u).
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 545318	A	19740131	CH 1972-17623	19700821
PRIORITY APPLN. INFO.:			CH 1972-17623	19700821

GI For diagram(s), see printed CA Issue.
AB Antibacterial cephalosporanic acid I, was prepared by treating the mixed anhydride of pyridinium II (R1 = H) and ClCO2CH2CHMe2 with III and removing the protective group. Pyridinium II (R1 = H) was prepared by treating 4-aminopyridine with tert-C5H11O2CCl, treating the mixed anhydride with BrCH2CO2Et, and hydrolysis of II (R1 = Et).
IT **39255-70-4P 39255-74-8P 52113-58-3P**
52113-59-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 39255-70-4 CAPLUS
CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylpropyl ester (9CI) (CA INDEX NAME)

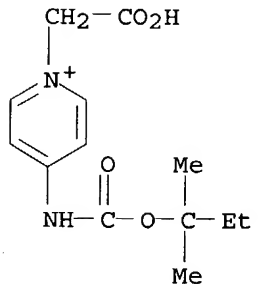


RN 39255-74-8 CAPLUS
CN Pyridinium, 4-[[(1,1-dimethylpropoxy)carbonyl]amino]-1-(2-ethoxy-2-oxoethyl)-, bromide (9CI) (CA INDEX NAME)



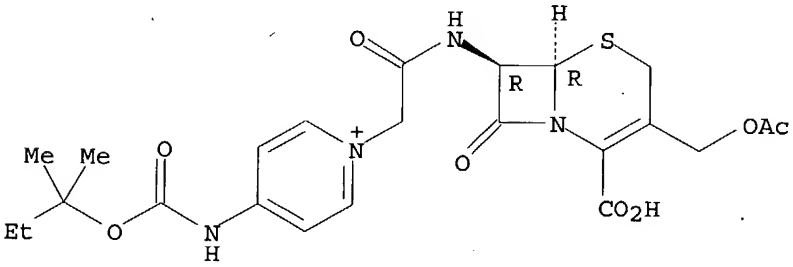
● Br^-

RN 52113-58-3 CAPLUS
CN Pyridinium, 1-(carboxymethyl)-4-[[(1,1-dimethylpropoxy)carbonyl]amino]-(9CI) (CA INDEX NAME)



RN 52113-59-4 CAPLUS
CN Pyridinium, 1-[2-[[3-[(acetyloxy)methyl]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl]amino]-2-oxoethyl]-4-[[(1,1-dimethylpropoxy)carbonyl]amino]-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 164 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:120253 CAPLUS
DOCUMENT NUMBER: 78:120253
TITLE: Eradicating ticks with halopyridines
PATENT ASSIGNEE(S): ICI Australia Ltd.
SOURCE: Brit., 6 pp.

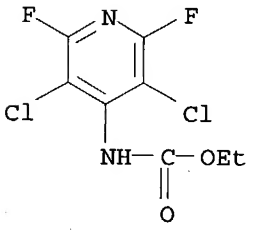
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1300851		19721220		
ZA 7100118		19710000	ZA	
PRIORITY APPLN. INFO.:			AU 1970-80	19700114

AB Chlorinated and fluorinated pyridine derivs. mixed with inert carriers and dispersants were useful for eradicating cattle ticks (Boophilus microplus). For example, a composition containing 0.4% 4-amino-3,5-dichloro-2,6-difluoropyridine (I) [2840-00-8] sprayed onto 2-year-old calves at 1 gallon/calf killed 100% of adult and nymph stages of the susceptible Yeerongpilly strain of B. microplus. The spray was also effective against the resistant Biarra strain. Powdered chalk, bentonite, water, and powdered kieselguhr, etc. are suitable carriers and disodium dinaphthylmethanesulfonate, Na laurylsulfate, and other dispersants may be used.

IT **17723-48-7**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(acaricides, for Boophilus microplus control)

RN 17723-48-7 CAPLUS
CN Carbamic acid, (3,5-dichloro-2,6-difluoro-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 165 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:29792 CAPLUS
DOCUMENT NUMBER: 78:29792
TITLE: 7-[(4-Aminopyridinio)acetylamino]-3-(thiomethyl)ceph-3-em-4-carboxylic acid derivatives
INVENTOR(S): Bickel, Hans; Mueller, Johannes
PATENT ASSIGNEE(S): CIBA-Geigy A.-G.
SOURCE: Ger. Offen., 44 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2217563	A	19721026	DE 1972-2217563	19720412
CH 553818	A	19740913	CH 1971-5768	19710421
IL 39094	A1	19760531	IL 1972-39094	19720327
GB 1392085	A	19750423	GB 1972-14507	19720328

ZA 7202116	A	19721227	ZA 1972-2116		19720329
DD 97212	C	19730423	DD 1972-162408		19720419
AU 7241345	A1	19731025	AU 1972-41345		19720419
HU 164882	P	19740528	HU 1972-CI1225		19720419
BE 782366	A1	19721020	BE 1972-116523		19720420
NL 7205352	A	19721024	NL 1972-5352		19720420
FR 2133980	A5	19721201	FR 1972-14055		19720420
FR 2133980	B1	19750620			
AT 316745	B	19740725	AT 1972-3461		19720420
AT 321468	B	19750410	AT 1973-8546		19720420
PRIORITY APPLN. INFO.:			CH 1971-5768		19710421
			CH 1971-8823		19710616
			CH 1971-14463		19711004

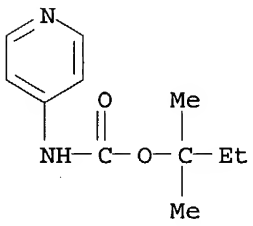
GI For diagram(s), see printed CA Issue.

AB Seven title compds. (I, R = 1-methyl-5-tetrazolyl, 2-methyl-1,3,4-thia(or -oxa-)diazol-5-yl, 3-methyl-1,2,4-triazol-5-yl, or 3-methyl-1,2,4-thiadiazol-5-yl; R1 = H or Me2EtCO2C), bactericides, were prepared either by reaction of II in (Me2CH)2NEt with 4-aminopyridine or its tert-amyloxycarbonyl derivative optionally followed by hydrolysis, of III with HSR in the presence of phosphate buffer (pH 6.7), of 4-(tert-amyloxycarbonylamino)-pyridinioacetic acid with ClCO2CH2CHMe2 and IV in DMF-THF in the presence of Et3N.

IT **39255-70-4P 39255-72-6P 39255-74-8P**
39256-53-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

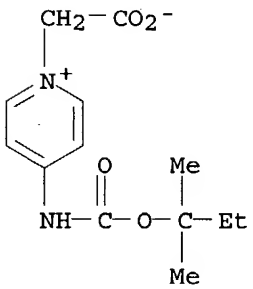
RN 39255-70-4 CAPLUS

CN Carbamic acid, 4-pyridinyl-, 1,1-dimethylpropyl ester (9CI) (CA INDEX NAME)



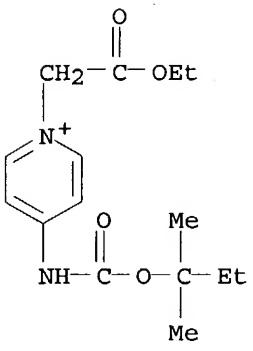
RN 39255-72-6 CAPLUS

CN Pyridinium, 1-(carboxymethyl)-4-[[(1,1-dimethylpropoxy)carbonyl]amino]-, inner salt (9CI) (CA INDEX NAME)



RN 39255-74-8 CAPLUS

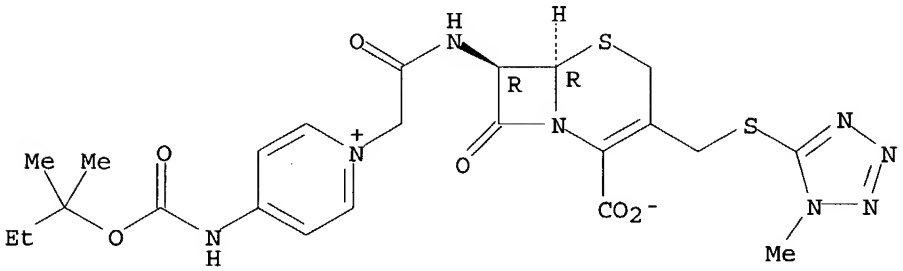
CN Pyridinium, 4-[[(1,1-dimethylpropoxy)carbonyl]amino]-1-(2-ethoxy-2-oxoethyl)-, bromide (9CI) (CA INDEX NAME)



● Br^-

RN 39256-53-6 CAPLUS
CN Pyridinium, 1-[2-[[2-carboxy-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-7-yl]amino]-2-oxoethyl]-4-[[(1,1-dimethylpropoxy)carbonyl]amino]-, inner salt, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 166 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:42037 CAPLUS
DOCUMENT NUMBER: 76:42037
TITLE: Synthesis and hypotensive activity of benzamidopiperidylethylindoles
AUTHOR(S): Archibald, J. L.; Alps, B. J.; Cavalla, J. F.; Jackson, J. L.
CORPORATE SOURCE: John Wyeth and Brother Ltd., Taplow/Maidenhead/Berkshire, UK
SOURCE: Journal of Medicinal Chemistry (1971), 14(11), 1054-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

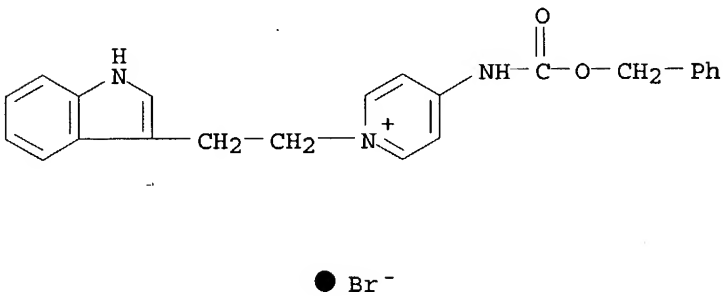
AB A series of 4-benzamidopiperidylethylindoles(I) were synthesized from 4-benzamidopiperidine [33953-37-6] by alkylating with a variety of indolealkyl halides or tosylates, by acylation with the appropriate indole-3-glyoxylyl chlorides, and by Mannich reactions with indoles. They were tested for hypotensive activity in normotensive rats. The I quaternary salts and 2- or 3-benzamido compds. were inactive. 3-[2-(4-Benzamidopiperidino)ethyl]indole (indoramin) [26844-12-2] (I, R = R1 = R2 = H) being the most potent hypotensive agent, of 85 compds. tested, was selected for further evaluation of effect on general hemodynamics in anesthetized cats. Substituents on the indole N generally

decreased the activity.

IT **26844-03-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 26844-03-1 CAPLUS

CN Pyridinium, 1-[2-(1H-indol-3-yl)ethyl]-4-[[(phenylmethoxy)carbonyl]amino]-, bromide (9CI) (CA INDEX NAME)



● Br^-

L8 ANSWER 167 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1970:121363 CAPLUS
DOCUMENT NUMBER: 72:121363
TITLE: Antiinflammatory 3-[2-[4-(substituted-benzamido)piperidino]ethyl]indoles
INVENTOR(S): Archibald, John L.; Jackson, John Lambert
PATENT ASSIGNEE(S): John Wyeth and Brother Ltd.
SOURCE: S. African, 38 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6803204		19691117	ZA	
DE 1770460			DE	
FR 1582086			FR	
FR 7787			FR	
GB 1218570			GB	
US 3527761		19700000	US	
PRIORITY APPLN. INFO.:			GB	19670524
			GB	19680301

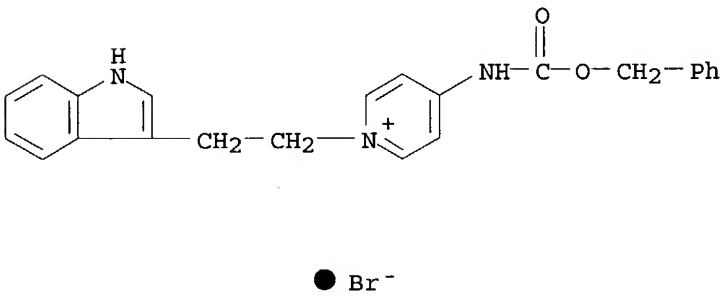
AB Title compds. with antiinflammatory activity and (or) cardiovascular and (sometimes) control nervous system activity, were prepared Thus, BzCl was added dropwise to an ice-cooled solution of 4-aminopyridine in pyridine to yield 4-benzamidopyridine. This (1.98 g) and 3-(2-bromoethyl)indole (2.24g) in 15 ml absolute EtOH was refluxed 2 hr, to yield 4-benzamido-1-[2-(3-indolyl)ethyl]pyridinium brom ide (I) as the hydrate, m. 267-9° (EtOH-H2O). NaBH4 (6.0g) was added over 30 min to a stirred suspension of 2.0 g I in 100 ml MeOH and the mixture stirred 1 hr to give 1.54 g 3-[2-(4-benzamido-1,2,5,6-tetrahydro-1-pyridyl)ethyl]indole, m. 209-11° (MeOH). Similarly prepared were the following 3-[2-(R-substituted)-ethyl]indoles (R and m.p. given): 3-benzamido-1,2,5,6-tetrahydro-1-pyridyl, 180-2° (MeCN); 4-benzyloxycarbonylamino-1,2,5,6-tetrahydro-1-pyridyl, 162-4° (EtOH); 4-[4-chlorobenzamido]-1,2,5,6-tetrahydro-1-pyridyl, 229-30° (EtOH-Me2SO); 4-[2,2-diphenylacetamido]-1,2,5,6-tetrahydro-1-pyridyl, 197-8° (EtOH); 4-benzylamino-1-pyridyl, 132-4°

(C6H6-80-100° petroleum ether); 4-benzamido-1-piperidyl, 208-10° (EtOH); and 3-benzamido-1-pyridyl, 135-40° (aqueous EtOH). Also prepared were the following 3-[2-[4-(R-substituted)-1-piperidyl)ethyl]indole. (R and m.p. given): 4-chlorobenzamido, 230-2° (EtOH); 4-methoxybenzamido, (as the HCl salt hydrate), 284-6° (EtOH-H2O); acetamido, 167-8° (EtOAc); amino, 106-10° (aqueous MeCN); 3-methoxybenzamido, 149-50° (MeCN); 2-methoxybenzamido, 152-4°; 3,4,5-trimethoxybenzamido(hydrate), 105-8° (EtOHH2O); indole-3-carboxamido, 242-4° (aqueous Me2CO); 2,2-diphenylacetamido, 160-2° (ag. EtOH); 2-methylbenzamido, 186-9°; 3-methylbenzamido, 172-4°; 4-methylbenzamido, 200-2°; 2-furancarboxamido, 146-8°; 2-chlorobenzamido, 163-4°; 3,4-meth-ylenedioxybenzamido, 189-90°; 2-carbo xybenzamido(hydrate), 165-70° (EtOH-H2O); 3-trifluoromethylbenzamido, 186-8°; 4-phenylbenzamido(monohydrate), 271-2°; and 4-phenylacetamido, 165-8°. Also prepared were the following 3-[2-(R-substituted-ethyl]-2-methylindoles (R and m.p. given): 4-benzamido-1-piperidyl, 209-11° (aqueous EtOH); 4-[4-methoxybenzyamido]-1-piperidyl(monohydrate), 110-14° (EtOH); and 4-(4-chlorobenzamido)-1-piperidyl (HCl salt), 243-5° (EtOHEt2O). Also prepared were the following 3-(R-substituted)-1-methylindoles. (R and m.p. given): 2-(4-benzamido-1-piperidyl)-ethyl, 178-9° (ag. EtOH); 2-[4-(4-chlorobenzamido)-1-piperidyl] ethyl, 212-14°; 2-[4-(-methylbenzamido)-1-peperidyl]ethyl, 198-9°; and 2-[4-(4-methoxybenzamido)-1-piperidyl]ethyl, 198-9°. Also prepared were the following 3-(R-substituted)-1-benzylindoles. (R and m.p. given): 2-(4-benzamido-1-piperidyl)ethyl, 152-3° (aqueous EtOH); 2-[4-(4-chlorobenzamido)-1-piperidyl]ethyl, 193-4°; and 2-[4-(4-methoxybenzamido)-1-piperidyl]ethyl, 191-2°. Also prepared were the following 3-[2-(R-substituted)-1-oxoethyl]indoles (R and m.p. given): 4-benzamido-1-piperidyl, 204-6°; 4-(4-chlorobenzamido)-1-piperidyl, 231-3°; and 4-(4-methoxybenzamido)-1-piperidyl, 227-9°; Also prepared were: 3-[2-(4-benzamido-1-piperidyl)ethyl]-5-methoxy-2-methylindole, m. 180-1° (EtOAc); and 3-[3-(4-benzamido-1-piperidyl)propyl]indole, m. 179-80° (aqueous EtOH).

IT **26844-03-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 26844-03-1 CAPLUS

CN Pyridinium, 1-[2-(1H-indol-3-yl)ethyl]-4-[[(phenylmethoxy)carbonyl]amino]-, bromide (9CI) (CA INDEX NAME)



● Br^-

L8 ANSWER 168 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1969:491313 CAPLUS
DOCUMENT NUMBER: 71:91313
TITLE: Pesticidal fluoropyridines
INVENTOR(S): Tomlin, Clive D. S.; Slater, John W.; Hartley, David
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.

SOURCE: Brit., 22 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1161492		19690813	GB	19650819
CA 989194			CA	

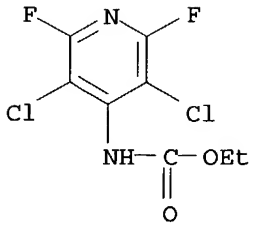
GI For diagram(s), see printed CA Issue.

AB Pesticidal compns. containing fluoropyridines (I) are claimed. The compds. are prepared by nucleophilic substitution of a halopyridine. E.g., 21.8 g. 3,4,5-trichlorodifluoropyridine was dissolved in 210 ml. of a 3:8 solution of NH3 and EtOH and allowed to stand overnight at room temperature Dilution with H2O precipitated 2-amino-3,4,5-trichlorofluoropyridine, m. 145-6°. Other I prepared were (R, R1, R2, R3, and m.p. or b.p.given): Cl, OH, Cl, OH, 219-21°; Cl, Cl, Cl, OMe, 61-3°; Cl, Cl, Cl, Cl, b. 234°; Cl, Cl, Cl, F, b. 196°; Cl, Cl, Cl, NH2, 146.5-7.5°; Cl, NH2, Cl, F, 112-13°; Cl, NHNH2, Cl, F, 139-40.5°; Cl, NHNH2, Cl, NHNH2, 145°; Cl, F, F, F, b. 117-18°; F, NH2, Cl, F, 116-17°; F, F, F, F, b. 82°; Cl, OCMe, Cl, OMe, 65-6°; Cl, NHOH, Cl, F, 136-7°; Cl, NHMe, Cl, F, 106-7°; Cl, NHNHPh, Cl, F, 154.5-5.5°; Cl, Cl, Cl, morpholino, b.0·35 124-8°; Cl, N3, Cl, F, 31-2°; mixture of F, morpholino, Cl, F, and Cl, F, F, morpholino, b0·25 83-93°; Cl, Cl, Cl, NHC2Et, 59.5-60°; Cl, Cl, Cl, NHNH2, 193.5-94° (decomposition) Cl, F, Cl, NMeCH2CO2Et, 41-2°; Cl, PhO, Cl, F, 69-71°; F, NHEt, Cl, F, b0·25 60-70°; Cl, CN, Cl, F, 80.5-82°; Cl, p-NO2C6H4O, Cl, F, 85.5-6.5°; Cl, Ph, Cl, F, 48.5-49°; Cl, OCH2CH2OMe, Cl, OCH2CH2OMe, b15 135-6°; Cl, OMe, Cl, F, b12·8 92-3° (n23D 1.5020); Cl, OCH2CH2OH, Cl, F, 47-8°; Cl, Cl, Cl, SCH2CO2H, 148-9°; Cl, C(NH)NMe2, Cl, F, 89-91°; Cl, OCH2CH2OMe, Cl, F, b0·1 75-7°; Cl, Cl, Cl, SCHMeCO2H, 140-2°; F, NH2, F, F, 84-5°; F, NHNH2, F, F, 57-8°; Cl, 2,4,6-(MeO)3C6H2O, Cl, 2,4,6-(MeO)3C6H2O, 154-5°; F, NO2, F, F, b18 50°; Cl, CONH2, Cl, F, 149-50°; Cl, Cn, Cl, NH2, 196-8°; Cl, NHMe, F, F, 86°; Cl, NHNH2, F, F, 90.5-1.5; Cl, Cl, Cl, NO2, b0·22 78-84°, (n23D 1.5640); Cl, 2,4,6-(MeO)3C6H2O, Cl, F, b0·05 96.8; Cl, C(:NH)NH2, Cl, F, 219.5-21.5°; Cl, Cl, Cl, 3,4,5-trichloro-6-fluoro-2-pyridoxyethoxy, 124-5°; Cl, OMe, Cl, OH, 179-80°; Cl, NHCO2Et, Cl, F, 115.5-16.5°; Cl, Cl, Cl, OCH2CH2OH, 82-3°; Cl, OMe, Cl, OEt, 50-1°; mixture of Cl, O(CH2)3OH, Cl, F, and Cl, F, Cl, O(CH2)3OH, b0·1 98-9°; Cl, NHCONH2, Cl, F, 210-10.5°; Cl, NHCO2CHMe2, Cl, F, 139-41°; Cl, NHCO2Me, Cl, OMe, 171-2°; Cl, OMe, Cl, NH2, 125°; Cl, p-O2NC6H4O, Cl, p-O2NC6H4O, 235-6°; and 1,5-bis(2,6-difluoro-3,5-dichloro-4-pyridyl)-2,4,6-trioxohexahydropyrimi-dine, m. 285° (decomposition); and N,N-bis(2,6-difluoro-3,5-dichloro-4-pyridyl)carbamate, m. 96-7°. I have herbicidal, insecticidal, nematicidal and fungicidal activity. Tests were given for preemergence herbicidal activity.

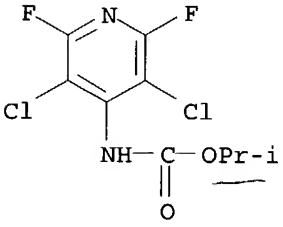
IT **17723-48-7P 17737-61-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 17723-48-7 CAPLUS

CN Carbamic acid, (3,5-dichloro-2,6-difluoro-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 17737-61-0 CAPLUS
CN 4-Pyridinecarbamic acid, 3,5-dichloro-2,6-difluoro-, isopropyl ester (8CI) (CA INDEX NAME)



L8 ANSWER 169 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:506565 CAPLUS
DOCUMENT NUMBER: 69:106565
TITLE: Carbamates and thiocarbamates useful as drugs
PATENT ASSIGNEE(S): S.A.M.I.P. (Societe Amilloise de Produits Chimiques)
SOURCE: Fr. M., 10 pp.
CODEN: FMXXAJ
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

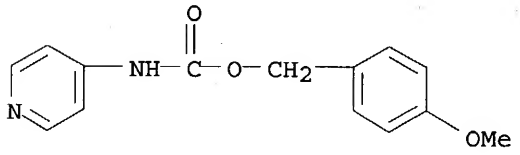
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 4898		19670417	FR	
DE 1620166			DE	
US 3428642		19690000	US	
PRIORITY APPLN. INFO.:			GB	19640902

AB The title compds. R1CH2OC(R3)NHR2 (I) which are useful as sedatives, hypnotics, and neuroleptics, are prepared from the appropriate acid azide, isocyanate, or isothiocyanate and a suitable carbinol. Thus, 11.65 g. of the azide of 3,4,5-trimethoxybenzoic acid and 5.45 g. 2-pyridylcarbinol were refluxed in 500 cc. dry C6H6 2 hrs., cooled, and concentrated to give 9 g. I (R1 = 2-pyridyl, R2 = 3,4,5-trimethoxyphenyl, R3 = O), m. 104° (iso-PrOH). The following I derivs. were similarly prepared (R1, R2, R3, and m.p. given): 3-pyridylmethyl, 3,4-dimethoxyphenyl, O, 122-4°; 3-pyridylmethyl, 3,5-dimethoxyphenyl, O, 152-4°; 3-pyridylmethyl, 3,4,5-trimethoxyphenyl, O, 150° (EtOH); 3-pyridylmethyl, 3-pyridyl, O, 150° (H2O); 4-pyridylmethyl, 3,4-dimethoxyphenyl, O, 130°; 4-pyridylmethyl, 3,5-dimethoxyphenyl, O, 186°; 4-pyridylmethyl, 3,4,5-trimethoxyphenyl, O, 147° (EtOH); 4-pyridylmethyl, 3-pyridyl, O, 134°; 4-pyridylmethyl, 4-pyridyl, O, 182° (iso-PrOH); 4-N-oxypyridylmethyl, 3,4,5-trimethoxyphenyl, O, 240° (iso-PrOH); 4-methoxybenzyl, 4-pyridyl, O, 168° (iso-PrOH); 3,4,5-trimethoxybenzyl, 3-pyridyl, O, 147° (EtOH); 3,4,5-trimethoxybenzyl, 4-pyridyl, O, 142° (iso-PrOH), and

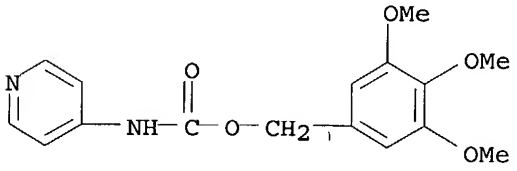
3,4,5-trimethoxybenzyl, N-oxy-3-pyridyl, O, 110° (iso-PrOH). Also, 10.9 g. 3-pyridylcarbinol (II) and 5.7 g. MeOCN was refluxed in 100 cc. dry C6H6 containing a few drops of NEt3 3 hrs. After the residue was distilled to give 11 g. I (R = 3-pyridylmethyl, R2 = Me, R3 = O), b1 135-8, m. 42° (EtOH). The following I derivs. were similarly prepared (R1, R2, R3, and m.p. given): 3-pyridylmethyl, Et, O, 43° (b1 139-42°); 3-pyridylmethyl, iso-Pr, O, 78-80°; 3-pyridylmethyl, 4-tolyl, O, 136°; 3-pyridylmethyl, 4-chlorophenyl, O, 180-2°; 3-pyridylmethyl, 4-methoxyphenyl, O, 148-50°; 4-pyridylmethyl, iso-Pr, O, 68°; 4-pyridyl, 4-tolyl, O, 143°; 4-pyridylmethyl, 4-chlorophenyl, O, 169-70°; 4-pyridylmethyl, 4-fluorophenyl, O, 148°; 4-pyridylmethyl, 4-methoxyphenyl, O, 114°; N-oxy-3-pyridylmethyl, Ph, O, 158° (Me2CO); N-oxy-4-pyridylmethyl, Ph, O, 176° (iso-PrOH). Also, 8.2 g. II and 10 g. PhSCN were refluxed in 75 cc. dry C6H6 10 hrs. and kept 72 hrs. at room temperature to give 8 g. I (R1 = 3-pyridylmethyl, R2 = Ph, R3 = S), m. 128° (Me2CO-H2O). I (R1 = 4-pyridylmethyl, R2 = PH, R3 = S), m. 144° (iso-PrOH) was similarly prepared

IT **4867-78-1P 4867-79-2P 6457-81-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

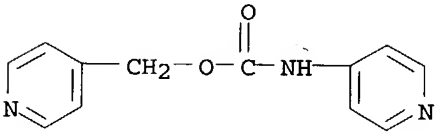
RN 4867-78-1 CAPLUS
CN Carbamic acid, 4-pyridinyl-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 4867-79-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, (3,4,5-trimethoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 6457-81-4 CAPLUS
CN 4-Pyridinecarbamic acid, 4-pyridylmethyl ester (7CI, 8CI) (CA INDEX NAME)



L8 ANSWER 170 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:486786 CAPLUS
DOCUMENT NUMBER: 69:86786

TITLE: Synthesis of isomeric 4- and 6-ethoxy-3-ethylpyridines
AUTHOR(S): Yakhontov, L. N.; Lapan, E. I.; Rubtsov, M. V.
CORPORATE SOURCE: Vses. Nauch.-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1967), (6), 1063-7
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian

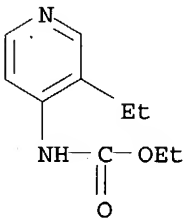
GI For diagram(s), see printed CA Issue.

AB A mixture of 18 g. Et 5-ethyl-α-picolinate (I) and 5.8 g. $N_2H_4.H_2O$ in 50 ml. EtOH was refluxed 5 hrs., and evaporated in vacuo to yield 15.98 g. 5-ethyl-α-picolinic acid hydrazide (II), m. 58-9° (EtOH). To 5.3 g. II in 60 ml. BuOH was added 9.1 ml. 19% HCl in BuOH, the mixture cooled to 0°, 5 g. freshly distilled Bu nitrate added over 20 min., and the whole stirred 3 hrs. at room temperature, refluxed 4 hrs., and worked up to give 5.42 g. Bu 5-ethyl-α-picolinate, b1 126-8°. 5-Ethyl-α-picolinamide (III), m. 141-2°, was prepared (83.5%) from I by treating with 20% NH_3 24 hrs. at room temperature To a solution of 22.6 g. NaOH in 210 ml. H_2O 4.7 g. Br was added dropwise at -7°, 4.5 g. III added and the whole heated at 70 1.5 hrs. with stirring and worked up to yield 1.16 g. 6-amino-3-ethylpyridine (IV), b3 90-2°. To a solution of 1 g. IV in 3 ml. 40% HBr at -5° were added dropwise 0.87 ml. Br, 1 ml. concentrated HCl, and finally a solution of 1.26 g. $NaNO_2$ in 2 ml. H_2O, stirring was continued 30 min. at -5°, 4.5 ml. 40% NaOH added, and the whole worked up to yield 1.32 g. 6-bromo-3-ethylpyridine (V), b23 120-2°, which heated 6 hrs. at 140° in a sealed tube with EtONa gave 63.8% 6-ethoxy-3-ethylpyridine, b22 98°. To 13 g. 3-ethyl-4-methylpyridine at the b.p. 18 g. SeO_2 was added over 30 min. The boiling temperature first fell from 190 to 150°, then rose again to 200° and the mixture was kept 30 min. at 200° and worked up to yield 15.87 g. 3-ethylisonicotinic acid (VI), m. 212-13° (EtOH). 3-Ethylisonicotinamide, m. 139-40° (EtOAc) was obtained from 3-ethylisonicotinic acid chloride and NH_3. Et 3-ethylisonicotinate (VII), b20 134-6°, was prepared (79.4%) from VI and a saturated EtOH solution of HCl. VII (5 g.) and 3 ml. $N_2H_4.H_2O$ was heated 7 hrs. in a sealed tube at 180°. Addition of HCl in EtOH gave 5.86 g. 3-ethylisonicotinic acid hydrazide-2HCl-H_2O (VIII), m. 174-5° (EtOH). To 5.5 g. VIII in 40 ml. of EtOH was added dropwise at 0° over 20 min. 4.6 g. Bu nitrate, and the whole stirred 3 hrs. at room temperature, refluxed 6 hrs. and worked up to yield 2.55 g. Et N-(3-ethylpyrid-4-yl)urethane-HCl (IX), m. 170-1.5°. 4-Amino-3-ethyl-pyridine-HCl (X), m. 209-10°, was obtained (86.3%) by hydrolysis of IX with concentrated HCl 10 hrs. under reflux. 4-Bromo-3-ethylpyridine (XI), b24 104°, was prepared (34.1%) similarly to V. 4-Ethoxy-3-ethylpyridine (XII), b30 100°, was obtained (83.4%) from XI by heating 6 hrs. at 140° with EtONa in EtOH in a sealed tube. XII.HCl m. 140-1.5° (EtOAc).

IT **19984-03-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 19984-03-3 CAPLUS

CN 4-Pyridinecarbamic acid, 3-ethyl-, ethyl ester, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

L8 ANSWER 171 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:59438 CAPLUS
DOCUMENT NUMBER: 68:59438
TITLE: Herbicidal compositions
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
SOURCE: Neth. Appl., 28 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
NL 6611766	A	19670220	NL 1966-11766		19660819
PRIORITY APPLN. INFO.:			GB 1965-35596	A	19650819
			GB 1966-33064	A	19660722

GI For diagram(s), see printed CA Issue.

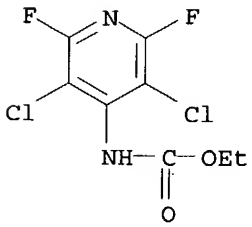
AB The active ingredient in the herbicidal preparation is a fluoropyridine (I) or its salt and is prepared in the usual way by reaction of an halopyridine with a nucleophilic reagent. The I prepared are given in the table. TABLE OMITTED] The compds. may be prepared as a powder with solid diluents or as aqueous dispersions with surface active agents. They contain 0.1-2 weight% of I

and concentrate contain 5-80 weight% I. They are useful for pre- or postemergent combating of broad and narrow leafed weeds. Some I are also pesticides, fungicides and nematocides. Test results are illustrated on barley, wheat, wild oats, lettuce, maize, and tomato.

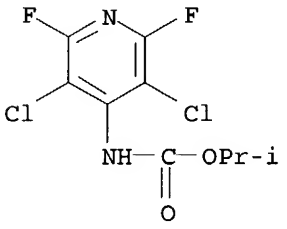
IT **17723-48-7P 17737-61-0P 17737-62-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 17723-48-7 CAPLUS

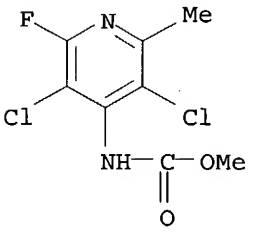
CN Carbamic acid, (3,5-dichloro-2,6-difluoro-4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 17737-61-0 CAPLUS
CN 4-Pyridinecarbamic acid, 3,5-dichloro-2,6-difluoro-, isopropyl ester (8CI) (CA INDEX NAME)



RN 17737-62-1 CAPLUS
CN 4-Pyridinecarbamic acid, 3,5-dichloro-2-fluoro-6-methyl-, methyl ester (8CI) (CA INDEX NAME)



L8 ANSWER 172 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1967:464245 CAPLUS
DOCUMENT NUMBER: 67:64245
TITLE: Alkyl and aryl substituted carbamates, and thiocarbamates
INVENTOR(S): Debay, Andre G.; Thery, Jacques L. M. J.
PATENT ASSIGNEE(S): Recherche et Pharmacologie
SOURCE: Brit., 17 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

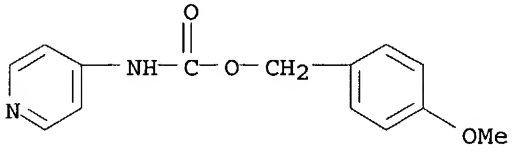
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1071035		19670607	GB	19640902

AB The titled compds. R1CH2O(X)CNHR2 (I) were prepared from R1CH2OH by reaction with an isocyanate, isothiocyanate or azide. Thus 100 millimoles alc. and 100 millimoles isocyanate, isothiocyanate, or azide in 100 ml. dry C6H6 was refluxed 3 hrs. In some cases it was found that the addition of Et3N (few drops) was advantageous. I either separated on cooling, or after the solution volume was reduced in vacuo. The material obtained in this way was purified by distillation, or crystallization The following I (X = O, R1 = 3-pyridyl) were prepared (R2 and m.p. given): Me, 42° (b1 135°); ethyl, 43° (b1 139°); iso-Pr, 78-80°; p-tolyl, 136°; p-chlorophenyl, 180-2°; p-methoxyphenyl, 148-50°; 3,4-dimethoxyphenyl, 122-4°; 3,5-dimethoxyphenyl, 152-4°; 3,4,5-trimethoxyphenyl, 150°; 3-pyridyl, 150°. Also prepared were I (R1 = 4-pyridyl, X = O) (same data given): iso-Pr, 68°; p-tolyl, 143°; p-chlorophenyl, 169-70°; p-fluorophenyl,

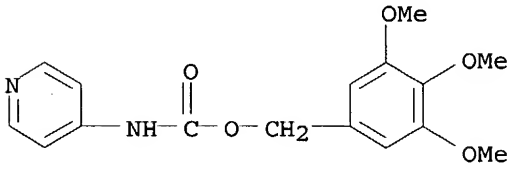
148°; p-methoxyphenyl, 114°; 3,4-dimethoxyphenyl, 130°; 3,5-dimethoxyphenyl, 186°; 3,4,5-trimethoxyphenyl, 147°; 3-pyridyl, 134°; 4-pyridyl, 182°. Also prepared were I (X = O) (R1, R2, and m.p. given): 2-pyridyl, 3,4,5-(MeO)3C6H2, 104°; 3-(N-oxypyridyl), Ph, 158°; 4-(N-oxypyridyl), Ph, 176°; 4-(N-oxypiperidyl), 3,4,5-(MeO)3C6H2, 240°; p-methoxyphenyl, 4-pyridyl, 168°; 3,4,5-(MeO)3C6H2, 3-pyridyl, 147°; 3,4,5-(MeO)3C6H2, 4-pyridyl, 142°; 3,4,5-(MeO)3C6H2, 3-(N-oxypyridyl), 110°. Also prepared were I (R1, R2, X, and m.p. given): 3-pyridyl, Ph, S, 128°; 4-pyridyl, Ph, S, 144°. I when administered to mice at the level of 10-50 mg./kg. caused hypnotic, neuroleptic, myorelaxing, and spasmodic effects.

IT **4867-78-1P 4867-79-2P 6457-81-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

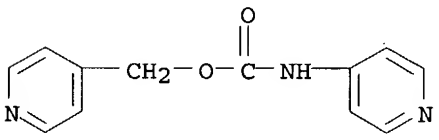
RN 4867-78-1 CAPLUS
CN Carbamic acid, 4-pyridinyl-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 4867-79-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, (3,4,5-trimethoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

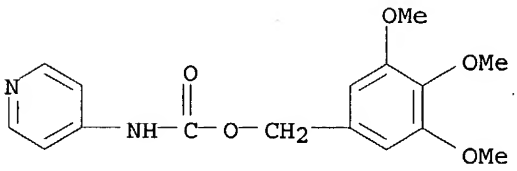


RN 6457-81-4 CAPLUS
CN 4-Pyridinecarbamic acid, 4-pyridylmethyl ester (7CI, 8CI) (CA INDEX NAME)



L8 ANSWER 173 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:412167 CAPLUS
DOCUMENT NUMBER: 65:12167
ORIGINAL REFERENCE NO.: 65:2213h,2214a-b
TITLE: Reaction of anthrapyridones with nucleophilic reagents
AUTHOR(S): Kazankov, M. V.; Ufimtsev, V. N.
CORPORATE SOURCE: Sci.-Res. Inst. Org. Intermediates and Dyes, Moscow
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1966), (2), 315-16
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal

LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB When N-methylanthrapyridone (I) is treated with an aqueous dioxane solution of NaOH, II, m. 299-300°, is obtained in 50% yield. Its structure is established by NaOH treatment of III (Ger. 268,793, CA 8, 2068). Similarly, treatment of I with NaCN gives IV, which is identical with the compound obtained by treating III with KCN (U.S. 3,047,577, CA 58, 3534a). If the unsubstituted anthropyridone (V) is treated with NaOH no substitution reaction occurs, presumably because V exists principally as the unfavorable enolate ion.
IT **4867-79-2**, 4-Pyridinecarbamic acid, 3,4,5-trimethoxybenzyl ester (preparation of)
RN 4867-79-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, (3,4,5-trimethoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

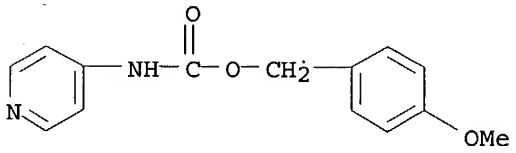


L8 ANSWER 174 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:412166 CAPLUS
DOCUMENT NUMBER: 65:12166
ORIGINAL REFERENCE NO.: 65:2213d-h
TITLE: Carbamates with pyridine ring
AUTHOR(S): Billiotte, J. C.; Debay, A.
CORPORATE SOURCE: Serv. Rech. Lab. Lematte Boinot, Paris
SOURCE: Chim. Therap. (1966), (3), 164-8
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB A stirred mixture of 10.9 g. 3-pyridylcarbinol (Ia), 13 g. NaNCO, and 32.7 g. Cl3CCO2H in 200 ml. dioxane was kept anhydrous at 40-5° 8 hrs. and at 20° 15 hrs. The mixture was evaporated in vacuo, the residue dissolved in 34% NH4OH, the solution filtered, and extracted with CHCl3 to give 33% I (R = H, n = 3) (n is side-chain position throughout this abstr), m. 132-4° (1N HCl-aqueous NH3). A solution of 10.9 g. Ia and 5.7 g. MeNCO (II) in 100 ml. C6H6 containing some Et3N was refluxed 3 hrs. to give 60% I (R = Me, n = 3), m. 42°, b1 135-8°. Similarly prepared were I [given n, R, m.p., % yield, and L.D.50 (mg./kg., intravenous, mouse)]: 4, iso-Pr, 68°, 75, 217 [effective sedative, potentiated the effect of barbitals, antagonistic to cardiazol (III) and strychnine (IV)]; 3, Et, 43°, 65, -. A solution of 10.9 g. 4-analog of Ia and 20.7 g. 3,4-(MeO)2C6H3CON3 in 100 ml. C6H6 was refluxed 2.5 hrs. to give I (R = 3,4-(MeO)2C6H3, n = 4), 130° (0.1N HCl-NaOH), 64%, -. Similarly prepare were I (R = Ph, n = 2), 98°, 76%, -; I (R = 3,4,5-(MeO)3C6H2, n = 2), 104° , 60%, -; I (R = Ph, n = 3), 136°, 83°,-; I(R = 4-MeC6H4, n = 3), 136°, 86%, -; I(R = 4-ClC6H4, n = 3), 180-2°, 50%, -; I(R = 4-MeOC6H4, n = 3), 148-50°, 85%, -; I[R = 3,4-(MeO)2C6H3, n = 3], 122-4°, 66%,-; I(R = 3,5-(MeO)2C6H3, n = 3), 152-4°, 60%, -; I[R = 3,4,5-MeO)3C6H2, n = 3], 150°, 82%,-; I(R = Ph, n = 4), 126° , 85%,-; I(R = 4-MeC6H4, n = 4), 143° 79%, 50; I(R = 4-ClC6H4, n = 4) (V), 169-70°, 72%, -; I(R = 4-FC6H4, n = 4) (VI), 148° 80%, 60; I(R = 4-MeOC6H4, n = 4) (VII), 114° 75%, 65; I[R = 3,5-(MeO)2C6H3, n - 4] (VIII), 186° 73%, --; I[R = 3,4,5-(MeO)3C6H2, n = 4], 147°, 76°, 57; I(R = 4-pyridyl, n = 4) (IX), 182°

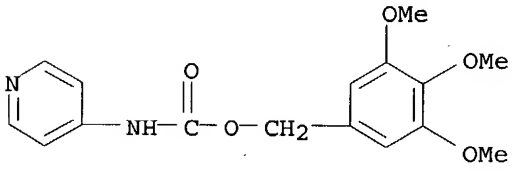
42%, -; I(R = 3-pyridyl, n = 4) (X), 134° 56%, -; and I(R = 3-pyridyl, n = 3) (XI), 150°, 67%, 200. C6H6 (100 ml.) containing 19.8 g. 3,4,5-(MeO)3C6H2CH2OH and 14.8 g. nicotinyl azide was refluxed 2 hrs. to give 77% XII (R = 3,4,5-(MeO)3C6H2, n = 3), m. 147° (EtOH). Similarly prepared were: XII (R = 4-MeOC6H4, n = 4) (XIII), 168°, 47%, 30; and 4-XII[R = 3,4,5(MeO)3C6H2CH2, n = 4] (XIV), 147°, 54%, 73. V, VI, VII, and VIII were antagonists to III and IV in pharmacol. tests; IX, X, and XI potentiated the effects of III; XIII and XIV were antagonists of reserpine, enhanced the effects of III, and increased blood pressure.

IT **4867-78-1**, Benzyl alcohol, p-methoxy-, 4-pyridinecarbamate
4867-79-2, 4-Pyridinecarbamic acid, 3,4,5-trimethoxybenzyl ester
6457-81-4, 4-Pyridinecarbamic acid, 4-pyridylmethyl ester
(preparation of)

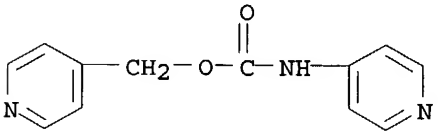
RN 4867-78-1 CAPLUS
CN Carbamic acid, 4-pyridinyl-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 4867-79-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, (3,4,5-trimethoxyphenyl)methyl ester (9CI) (CA INDEX NAME)



RN 6457-81-4 CAPLUS
CN 4-Pyridinecarbamic acid, 4-pyridylmethyl ester (7CI, 8CI) (CA INDEX NAME)



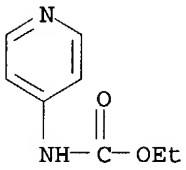
L8 ANSWER 175 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1962:423143 CAPLUS
DOCUMENT NUMBER: 57:23143
ORIGINAL REFERENCE NO.: 57:4632h-i
TITLE: A simple synthesis of viridicatin
AUTHOR(S): Eistert, Bernd; Selzer, Herbert
CORPORATE SOURCE: Univ. Saarland, Saarbrucken, Germany
SOURCE: Zeitschrift fuer Naturforschung (1962), 17b, 202
CODEN: ZNTFA2; ISSN: 0372-9516
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. Cunningham and Freeman, CA 57, 3925h; Bracken, et al., CA 48, 12893d.

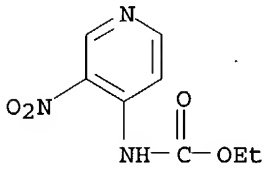
The title compound (3-hydroxy-4-phenylcarbostyril) (I) was obtained by dissolving 3 g. isatin in ether containing about 10 g. phenyldiazomethane (Yates and Shapiro, CA 53, 13084f). After 3 days at room temperature 3 g. I was obtained, m. 267-8° (MeOH). Similarly N-methylisatin gave N-methylviridicatin (H), m. 208-9° (MeOH). II treated with diazomethane or Me2SO4 gave 3-methoxy-N-methyl-4-phenylcarbostyril (III). I gave a color reaction with FeCl3 while III did not.

IT **54287-92-2**, 4-Pyridinecarbamic acid, ethyl ester
90223-38-4, 4-Pyridinecarbamic acid, 3-nitro-, ethyl ester
(preparation of)

RN 54287-92-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 90223-38-4 CAPLUS
CN 4-Pyridinecarbamic acid, 3-nitro-, ethyl ester (6CI, 7CI) (CA INDEX NAME)



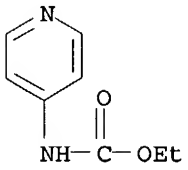
L8 ANSWER 176 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1962:423142 CAPLUS
DOCUMENT NUMBER:	57:23142
ORIGINAL REFERENCE NO.:	57:4632g-h
TITLE:	Preparation of 3,4-diamino-3-amino-4-methylamino-and 4-amino-3-methylaminopyridine
AUTHOR(S):	Clark-Lewis, J. W.; Singh, R. P.
CORPORATE SOURCE:	Univ. Adelaide, Australia
SOURCE:	Journal of the Chemical Society, Abstracts (1962) 2379-82 CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE:	Journal
LANGUAGE:	Unavailable
OTHER SOURCE(S):	CASREACT 57:23142

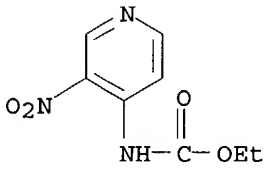
AB Convenient syntheses of 3,4-diamino-and 4-alkylamino-3-aminopyridines are described. A route to 3-alkylamino-4-aminopyridines is illustrated by preparation of 4-amino-3-methylaminopyridine from 3-bromo4-nitropyridine/-oxide.

IT **54287-92-2**, 4-Pyridinecarbamic acid, ethyl ester
90223-38-4, 4-Pyridinecarbamic acid, 3-nitro-, ethyl ester
(preparation of)

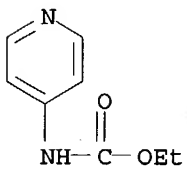
RN 54287-92-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 90223-38-4 CAPLUS
CN 4-Pyridinecarbamic acid, 3-nitro-, ethyl ester (6CI, 7CI) (CA INDEX NAME)



L8 ANSWER 177 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1960:66608 CAPLUS
DOCUMENT NUMBER: 54:66608
ORIGINAL REFERENCE NO.: 54:12778i
TITLE: Infrared absorption of substituents in heteroaromatic systems. IV. Ethyl N-arylurethans
AUTHOR(S): Katritzky, A. R.; Jones, R. A.
CORPORATE SOURCE: Univ. Chem. Lab., Cambridge, UK
SOURCE: Journal of the Chemical Society, Abstracts (1960) 676-9
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The absorption caused by the group NHCO2Et for 15 compds. is recorded. Tentative assignments are suggested for most of the characteristic bands and the variations of the intensity and position of these bands are discussed.
IT **54287-92-2**, 4-Pyridinecarbamic acid, ethyl ester
(spectrum of)
RN 54287-92-2 CAPLUS
CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 178 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1959:6676 CAPLUS
DOCUMENT NUMBER: 53:6676
ORIGINAL REFERENCE NO.: 53:1195i,1196a-c
TITLE: Synthesis of organic fluorine compounds. XIII. Derivatives of 2-fluoroethylurethan
AUTHOR(S): Olah, Gyorgy; Pavlath, Attila; Noszko, Laszlo H.
CORPORATE SOURCE: Central Research Inst. Chem., Hungarian Acad. Sci., Budapest

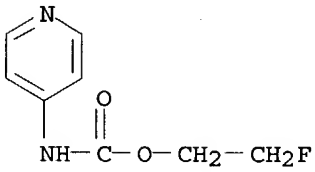
SOURCE: Acta Chimica Academiae Scientiarum Hungaricae (1955), 7, 443-9
CODEN: ACASA2; ISSN: 0001-5407
DOCUMENT TYPE: Journal
LANGUAGE: English

AB cf. C.A. 49, 6094i; 52, 3691f. The following 2-fluoroethyl urethans, RNHCO2(CH2)2F, were prepared by adding 0.1 mole ClCO2(CH2)2F (I) to 0.2 mole RNH2 cooled in 50 ml. Et2O, allowing the mixture to stand overnight, filtering if necessary, drying the filtrate, and evaporating the Et2O (R, crystallizing solvent, m.p., and % yield given): Ph, -, 54-5°, 83.7; o-MeC6H4, -, 74-5°, 76.1; m-MeC6H4, -, b25 171-4°, 68.6; p-MeC6H4, -, 59-60°, 82.7; p-FC6H4, hexane, 75°, 80.6; p-FC6H4CH2, ligroine, 58-9°, 83.8; p-ClC6H4, hexane, 64°, 60.8; p-BrC6H4, hexane, 94°, 94.6; p-I C6H4, hexane, 111-12° (yellow crystals), 82.3; o-O2-NC6H4, 30% EtOH, 62° (yellow crystals), 86.7; m-O2-NC6H4, 30% EtOH, 51-2°, 81.1; p-O2NC6H4, 30% EtOH, 124-5° (yellow), 88.7; N-phenyl-N-methyl, -, - (b4 125-7°), 92.4; N-phenyl-N-ethyl, -, - (b3 118-20°), 86.3; α-pyridyl, EtOH, 123.5° 81.4; β-pyridyl, EtOH, 106°, 75.9; γ-pyridyl, EtOH, 139°, 80.2; N,N-bis(2-chloroethyl), -, - (b5 136-40°), 87.8; N-(1-hydroxy-2,2,2-trichloroethyl) (II), Me2CO, 92°, 63.3; N-(2,2,2-trichloroethylidene), -, 121°, 85.1. Similarly prepared were: N,N'-ethylene-2- fluoroethyldiurethan, H2O, 115° 94.2; N,N'-(2,2,2-trichloroethylidene)-2-fluoroethyldiurethan, Me2CO, 159°, 65.3; 2-fluoroethylurethan N-(2-fluoroethyl)carboxylate, Me2CO, 68-9°, 56.4. With esterase blocking agents (e.g. diisopropyl fluorophosphate), toxic doses of these compds. administered to animals produced no toxic symptoms. The compds. are being tested as growth inhibitors for exptl. cancerous tumors.

IT **2707-20-2**, 4-Pyridinecarbamic acid, 2-fluoroethyl ester
(preparation of)

RN 2707-20-2 CAPLUS

CN 4-Pyridinecarbamic acid, 2-fluoroethyl ester (8CI) (CA INDEX NAME)



L8 ANSWER 179 OF 180 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1958:104407 CAPLUS
DOCUMENT NUMBER: 52:104407
ORIGINAL REFERENCE NO.: 52:18475f-h

TITLE: N-(4-Pyridyl)-N,N',N'-tris(hydroxymethyl)urea

INVENTOR(S): Richter, Carl; Sieber, Peter
PATENT ASSIGNEE(S): Cilag Ltd.

DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 324439		19571115	CH	

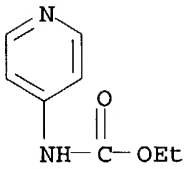
AB 4-Aminopyridine (94 g.) and 132 g. NEt3 is dissolved in 800 cc. absolute acetone, 120 g. chlorocarbonic ethyl ester added dropwise while the whole is ice-cooled and stirred at 20° for 2-3 hrs. and at 40-50°

for 1 hr. The NEt3.HCl is eliminated, the product vacuum evaporated, suspended in H2O, suction-filtered, and dried to yield 142 g. Et N-pyridyl-4-carbamate (I), m. 128-9°. I (70 g.) with a solution of 115 g. NH3 in 700 cc. alc. is heated at 120-30° 20 hrs. in an autoclave. The alc. is distilled after cooling. H2O H2O and glacial AcOH are added to pH 6-7. The precipitate formed is suction-filtered, washed, dissolved in H2O, and recrystd. The resulting product is N-(4-pyridyl)urea (II), m. 187° (decomposition). II (16.9 g.) is mixed with 36.6 g. aqueous CH2O (38%), the pH adjusted to 8, the reaction mixture kept for several days at room temperature and for some time afterwards at 0° and subsequently filtered, the filtrate vacuum-evaporated to dryness and triturated with acetone, the crystals suction-filtered, dissolved in absolute alc. acetone mixture, and recrystd. to give N-(4-pyridyl)-N,N',N'-tris(hydroxymethyl)urea, m. 140-41° (decomposition). The compound has disinfectant properties.

IT **54287-92-2**, 4-Pyridinecarbamic acid, ethyl ester (preparation of)

RN 54287-92-2 CAPLUS

CN Carbamic acid, 4-pyridinyl-, ethyl ester (9CI) (CA INDEX NAME)



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ACCESSION NUMBER: 1957:12837 CAPLUS

DOCUMENT NUMBER: 51:12837

ORIGINAL REFERENCE NO.: 51:2742g-i

TITLE: Pyridine derivatives containing sulfur. XLVII. Behavior of 3-nitro-4-thiocyanatopyridine with aliphatic alcohols. 2

AUTHOR(S): Takahashi, Torizo; Ueda, Kanichi

CORPORATE SOURCE: Univ. Kyoto

SOURCE: Pharmaceutical Bulletin (1956), 4, 133-5
CODEN: PHBUA9; ISSN: 0369-9471

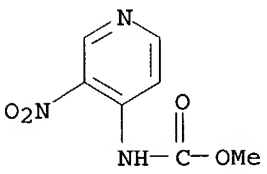
DOCUMENT TYPE: Journal

LANGUAGE: English

AB Needed confirmation is presented for the conclusion previously reported (C. A. 50,336b) that 3-nitro-4-thiocyanatopyridine (I) gave Et 3-nitropyridyl-4-thiocarbamate (II) with EtOH. II, m. 74° (from ether-petr. ether), was synthesized as before. By the Kitamura reaction (C.A. 32, 37592) 0.5 g. II in aqueous KOH (0.5 g. in 8 cc. H2O) treated dropwise with 3 cc. 30% H2O2 in the cold, and neutralized after standing 1 hr. at room temperature yielded 0.31 g. Et 3 nitropyridyl-4-carbamate (III), colorless needles, m. 62° (from petr. ether); Me analog, m. 140-2°, 0.33 g. from 0.4 g. Me analog of II. 3-Nitro-4-aminopyridine (0.4 g.) and 0.16 g. Na2CO3 in 20 cc. ether and 2 cc. H2O, treated dropwise with stirring during 30 min. with 0.6 g. ClCO2Et, and stirred an addnl. 30 min., yielded from the ether layer and the ether extract of the aqueous layer 0.16 g. III, identical by mixed m.p. This identity establishes the structure of III, and the change by oxidation of the CSOEt to the CO2Et group, confirmed by the infrared spectra of II and III, each of which shows a peak at 3 μ (characteristic of NH), whereas only III shows one at 5.74 μ (CO). The reaction mechanism of I with alcs. is discussed.

IT **98279-90-4**, 4-Pyridinecarbamic acid, 3-nitro-, Me ester

(preparation of)
RN 98279-90-4 CAPLUS
CN Carbamic acid, (3-nitro-4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



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